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(71) Applicant: RHONE-POULENC AGRICULTURE LIMITED [GB/GB]; Fyfield Road, Ongar, Essex CM5 OHW (GB).

(72) Inventors: ARAKI, Kouichi; 4-39, Kamiya 2-chome, Ushiku-shi, Ami-machi, Imashiki-gun, Ibaraki-ken 300-1216 (JP). BRETT, Takako; Apartment 901, 1-go, 11-ban, Kameari 3-chome, Katsushika-ku, Tokyo 125 (JP). GO, Atsushi; 1977-7, Osaka-machi, Ushiku-shi, Ibaraki-ken 300-1145 (JP). ITO, Masahito; 3-27, Kami-kashiwada 4-chome, Ushiku-shi, Ibaraki-ken 300-1232 (JP). MORRIS, John; Rhône-Poulenc Agriculture Ltd., Fyfield Road, Ongar, Essex CM5 OHW (GB). MUKAIDA, Hideshi; 25-74, Goshogaoka 5-chome, Moriya-machi, Souma-gun, Ibaraki-ken 302-0119 (JP). OE, Yukiko; Apartment 203, 61-1, Sakae-machi 3-chome, Ushiku-shi, Ibaraki-ken 300-1233 (JP). SASAKI, Norio; 33-go, 6-ban, Chuo 4-chome, Ami-machi, Inashiki-gun, Ibaraki-ken 300-03 (JP). GEACH, Neil, Jonathan; Rhône-Poulenc Agriculture Ltd., Fyfield Road, Ongar, Essex CM5 OHW (GB). DOMOM, Kei; 1680-11-201 Arakawaoko, Ami, Inashiki, Ibaraki 300-11 (JP).

(74) Agent: BRACHOTTE, Charles, Yves; Rhône-Poulenc Agro - DPI, Boîte postale 9163, F-69263 Lyon Cedex 09 (FR).

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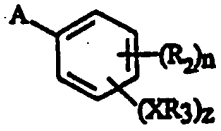
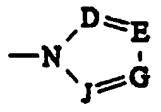



(I)

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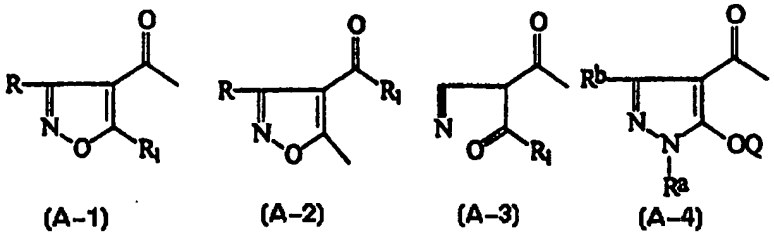


(A-1) (A-2) (A-3) (A-4)

(57) Abstract

Compounds of formula (I) wherein A represents a group (A-1), (A-2), (A-3) or (A-4); z represents one or two; when z represents two the $XR^3$ groups may be the same or different; $R^3$ represents a 5-membered heteroaromatic ring of formula (II) in which D, E, G and J independently represent $CR^{14}$ or a nitrogen atom, with at least one of D, E, G and J representing $CR^{14}$ (when more than one $CR^{14}$ group is present they may be the same or different); or two adjacent groups $CR^{14}$ may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups $R^{15}$; and when present the 5- to 7-membered heterocyclic ring contains from one to four heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur; X represents $-(CR^9R^{10})_v-$; and their use as herbicides.

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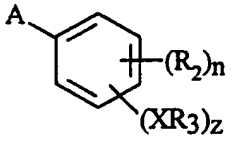
# 4-BENZOYL-ISOXAZOLE- AND -PYRAZOLE DERIVATIVES AND 2-CYANO 1,3-DIONE DERIVATIVES AS HERBICIDES

This invention relates to novel 4-benzoylisoxazole derivatives, 5-phenylisoxazole derivatives, 2-cyano-1,3-dione derivatives, 4-benzoylpyrazole derivatives, compositions containing them, processes for their preparation, intermediates in their preparation and their use as herbicides.

Herbicidal 4-benzoylisoxazoles are described in a number of patent publications for example European Patent Publication Numbers 0418175, 0487357, 0527036, 0527037, 0560482 and 0560483. Herbicidal 2-cyano-1,3-diones are described in European Patent Publication Numbers 0213892, 0496630 and 0496631 and International Patent Publication No. WO 95/25099. Herbicidal 5-phenylisoxazoles are described in European Patent Publication Number 0524018. Herbicidal 4-benzoylpyrazoles are described in European Patent Publication Numbers 0352543 and 0282944 as well as DE 19518054.

However none of the above publications disclose or suggest the presence of an aromatic heterocyclic ring linked by a ring nitrogen atom (optionally via methylene) to the benzoyl ring or the related compounds described and claimed hereinafter.

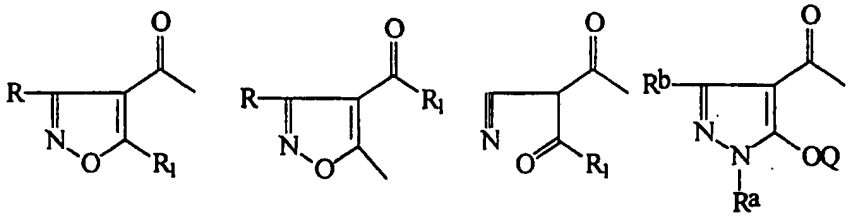
The present invention provides phenyl derivatives of formula (I):



(I)

wherein:

A represents a group (A-1), (A-2), (A-3) or (A-4):



(A-1) (A-2) (A-3) (A-4);

z represents one or two; when z represents two the $XR^3$ groups may be the same or different;

R represents hydrogen or $-CO_2R^4$;

$R^1$ represents:-

lower alkyl or lower haloalkyl; or

a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more $R^{12}$ groups or one or more halogen atoms;

$R^a$ represents lower alkyl, lower haloalkyl, lower alkenyl or lower alkynyl;

$R^b$ represents hydrogen, lower alkyl, lower haloalkyl, lower alkoxy, halogen, $-S(O)_xR^4$, $-CO_2R^4$, $-CH_2OR^4$, $-CH_2SR^4$ or cyclopropyl;

x represents zero, one or two;

$R^2$ represents:-

halogen;

lower alkyl which is substituted by one or more groups $-OR^5$;

a cycloalkyl group containing from three to six carbon atoms; or a group selected from nitro, cyano, $-CO_2R^5$, $-NR^5R^6$, $-S(O)_pR^7$, $-O(CH_2)_mOR^5$, $-COR^5$, $-N(R^8)SO_2R^7$, $-OR^7$, $-OH$, $-OSO_2R^7$, $-(CR^9R^{10})_tS(O)_qR^7$, $-CONR^5R^6$, $-N(R^8)-C(Z)=Y$, $-C(R^9R^{10})NR^8R^{11}$, $-CH_2P(=O)R^{5a}R^{5b}$, $R^{12}$, $SF_5$ and benzyl optionally substituted by from one to five groups $R^{13}$ which may be the same or different;

or two groups $R^2$, together with adjacent carbon atoms of the phenyl ring form a second phenyl ring or a 5- or 6-membered saturated or unsaturated heterocyclic ring which is fused to the first ring and contains one or two oxygen or sulphur atoms and is optionally substituted by one or more halogen , lower alkyl, lower haloalkyl or lower alkoxy groups, or one of the ring carbon atoms of the heterocyclic ring forms part of a carbonyl group or an oxime or lower alkoxyimine derivative thereof; (examples of the optionally substituted fused ring systems when formed include thiochroman, chroman, 2H-thiochromene, 2H-chromene, 4H-thiochromene, 4H-chromene, isothiochroman, isochroman, isothiochromene, isochromene, 1,3-benzodithiole, 1,3-

benzodioxole, 1,3-benzoxathiole, 1,4-benzodithiin, 1,4-benzoxathiin, 1,4-benzoxathian, 1,3-benzoxathian, 3,1-benzoxathian and 1,3-benzodithian);

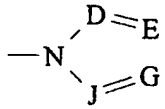
n represents zero or an integer from one to three; where n is greater than one the groups $R^2$ may be the same or different;

m represents one, two or three;

p and q represent zero, one or two;

t represents one, two, three or four (preferably one); when t is more than one, $R^9$ and $R^{10}$ may be the same or different;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II):



(II)

in which D, E, G and J independently represent $CR^{14}$ or a nitrogen atom, with at least one of D, E, G and J representing $CR^{14}$ (when more than one $CR^{14}$ group is present they may be the same or different); or

two adjacent groups $CR^{14}$ may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups $R^{15}$; and when present the 5- to 7- membered heterocyclic ring contains from one to four heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur;

X represents $-(CR^9R^{10})_v-$;

$R^4$ represents:-

lower alkyl or lower haloalkyl;

$R^5$ and $R^6$ which may be the same of different, each represents hydrogen or $R^{12}$;

$R^{5a}$ and $R^{5b}$ which may be the same of different, each represents lower alkyl or lower alkoxy;

$R^7$ represents:-

$R^{12}$; or a cycloalkyl group containing from three to six carbon atoms; or a group $-(CH_2)_w-$[phenyl optionally substituted by from one to five groups $R^{13}$ which may be the same or different];

w represents zero or one;

$R^8$ represents:-

hydrogen, $R^7$, or $OR^{16}$;

$R^9$ and $R^{10}$ independently represent hydrogen, lower alkyl or lower haloalkyl (preferably containing up to three carbon atoms);

$R^{11}$ represents $-SO_2R^7$ or -C(Z)=Y;

$R^{12}$ represents:-

lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl or lower haloalkynyl;

$R^{13}$ represents a group selected halogen, $R^{17}$, nitro, cyano, $-CO_2R^5$, $-S(O)_pR^{16}$, $-OR^{16}$ and $-NR^5R^6$;

$R^{14}$ represents:-

a group selected from hydrogen, halogen, $R^{17}$, nitro, cyano, $-CO_2R^5$, $-S(O)_pR^{16}$, $-OR^{16}$, $-NR^5R^6$ and cyclopropyl;

$R^{15}$ represents halogen, or $R^{12}$;

$R^{16}$ represents lower alkyl or lower haloalkyl;

$R^{17}$ represents a straight- or branched- chain alkyl group containing one to three carbon atoms optionally substituted by one or more halogen atoms;

Y is oxygen or sulphur (preferably Y represents oxygen);

Z represents a group selected from $R^{12}$, $-NR^{18}R^{19}$, $-SR^7$ and $-OR^7$;

$R^{18}$ and $R^{19}$ independently represent hydrogen or $R^7$;

Q represents hydrogen, lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl, $-CH_2CN$, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, $-(C_uH_{2u})C(O)R^{20}$, $-CH_2OCO_2R^{12}$, $-CH_2NHC(O)R^{12}$, $-CH_2NHCO_2R^{12}$, $-COCH_2OC(O)R^{16}$, $-COCH_2OR^{12}$, $-COCH_2OR^{20}$, $-CONR^5R^6$, $-CONR^{20}R^{21}$, $-CONR^{22}R^{23}$, $-CO_2R^{12}$, $-CO_2R^{20}$, $-P(O)R^{5a}R^{5b}$ and lower alkyl substituted by a group selected from $-CONR^9R^{10}$, $OR^9$, $-NR^5R^6$, $-CO_2R^9$, $R^{20}$ and $-S(O)_pR^{12}$;

$R^{20}$ represents phenyl optionally substituted by one to five groups selected from halogen, lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, nitro, cyano, $-S(O)_pR^7$ and $-NR^5R^6$;

$R^{21}$ represents $R^5$ or $R^{20}$;

$R^{22}$ and $R^{23}$ together with the adjacent nitrogen atom represent a piperidine, pyrrolidine, piperazine or morpholine ring;

s and u represent zero or one to six;

and wherein v represents the value zero when A represents a formula (A-1), (A-2) or (A-3); or when A represents a formula (A-4), v represents the value zero or one;

and agriculturally acceptable salts and metal complexes thereof, which possess valuable herbicidal properties.

In the description unless otherwise specified the following terms are generally defined thus:-

'lower alkyl' means a straight- or branched- chain alkyl group having one to six carbon atoms;

'lower haloalkyl' means a straight- or branched- chain alkyl group having one to six carbon atoms, substituted by one or more halogens;

'lower alkoxy' means a straight- or branched- chain alkoxy group having one to six carbon atoms;

'lower haloalkoxy' means a straight- or branched- chain alkoxy group having one to six carbon atoms, substituted by one or more halogens;

'lower alkenyl' means a straight- or branched- chain alkenyl group having two to six carbon atoms;

'lower haloalkenyl' means a straight- or branched- chain alkenyl group having two to six carbon atoms, substituted by one or more halogens;

'lower alkynyl' means a straight- or branched- chain alkynyl group having three to six carbon atoms;

'lower haloalkynyl' means a straight- or branched- chain alkynyl group having three to six carbon atoms, substituted by one or more halogens;

'halogen' means a fluorine, chlorine, bromine or iodine atom.

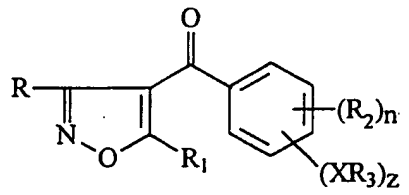
By the term "agriculturally acceptable salts" is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for agricultural or horticultural use. Preferably the salts are water-soluble. Suitable salts with bases include alkali metal (eg. sodium and potassium), alkaline earth metal (eg. calcium and magnesium), ammonium and amine (eg. diethanolamine,

triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts, formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids, for example acetic acid.

Furthermore in certain cases the substituents R and $R^1$ to $R^{23}$ may contribute to optical isomerism and/or stereoisomerism. All such forms are embraced by the present invention.

The compounds of the invention, in certain aspects of their properties, for example their control of weeds found in maize and soya such as Abutilon theophrasti, or in rice for example Echinochloa oryzicola and Lindernia procumbens, show advantageous properties over known compounds.

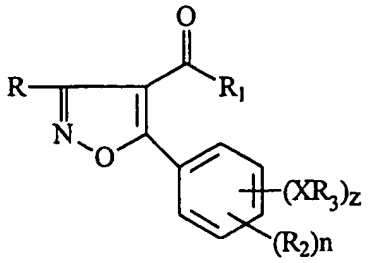
The present invention includes 4-benzoylisoxazole derivatives of formula (Ia):



(Ia)

wherein X represents $-(CR^9R^{10})_v-$ and v represents zero.

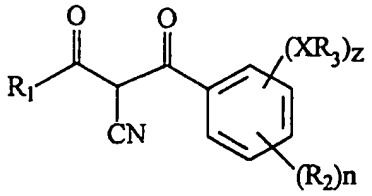
The present invention includes 5-phenylisoxazole derivatives of formula (Ib):



(Ib)

wherein X represents $-(CR^9R^{10})_v-$ and v represents zero.

The present invention includes 2-cyano-1,3-dione derivatives of formula (Ic):



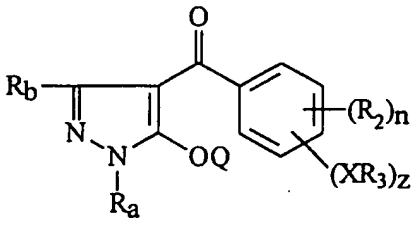
(Ic)

wherein X represents $-(CR^9R^{10})_v-$ and v represents zero.

Compounds of formula (Ic) may exist in enolic tautomeric forms that may give rise to geometric isomers around the enolic double bond.

By the term "metal complexes" is meant compounds in which one or both of the oxygen atoms of the 1,3-dione of formula (Ic) act as chelating agents to a metal cation. Examples of such cations include zinc, manganese, cupric, cuprous, ferric, ferrous, titanium and aluminium.

The present invention also includes 4-benzoylpyrazole derivatives of formula (Id):



(Id)

wherein X represents $-(CR^9R^{10})_v-$ and v represents zero or one.

In the description that follows, reference to compounds of formula (I) means reference to a compound of formula (Ia), (Ib), (Ic) or (Id).

Compounds of formula (Ia) above are preferred.

Compounds in which one $XR^3$ group is present are preferred (preferably the $XR^3$ group is at the 2- or 4- position on phenyl).

Compounds in which the 2- and 4-positions of phenyl are substituted are also preferred.

Compounds wherein X represents $-(CH_2)_v-$ are preferred.

Compounds in which v represents zero are especially preferred.

Preferably $R^3$ is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and

1,2,3,4-tetrazol-1-yl (1,2,3-triazol-1-yl and 1,2,3-triazol-2-yl are more preferred, and 1,2,4-triazol-1-yl is most preferred).

Preferably $R^3$ is a ring of formula (II) wherein $R^{14}$ represents hydrogen, halogen or $R^{17}$.

In formula (I) above, preferably the 5- and 6- positions of phenyl are unsubstituted.

Preferably R represents hydrogen or $CO_2R^4$ wherein $R^4$ represents a straight- or branched- chain alkyl group containing up to three carbon atoms.

Preferably $R^1$ represents cyclopropyl or 1-methylcyclopropyl (cyclopropyl is especially preferred).

Preferably $R^2$ represents halogen, a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; a group selected from nitro, cyano, $-S(O)_pR^7$, $-OR^7$ and $-CH_2S(O)_qR^7$ wherein $R^7$ represents lower alkyl or lower haloalkyl; or benzyl optionally substituted by $-S(O)_pR^{16}$ wherein $R^{16}$ represents lower alkyl; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring.

Preferably n represents one or two.

Compounds of formula (Id) wherein Q represents $-SO_2R^{20}$, $CH_2COR^{20}$ or $CH_2R^{20}$ are preferred.

Compounds of formula (Id) wherein $R^a$ represents methyl or ethyl are preferred.

Compounds of formula (Id) wherein $R^b$ represents hydrogen, $C_{1-3}$ alkyl, haloalkyl or cyclopropyl are preferred (methyl is especially preferred).

A preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or $-CO_2R^4$;

$R^1$ represents cyclopropyl or 1-methylcyclopropyl;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^7$, $-OR^7$, $-CH_2S(O)_qR^7$, $-CH_2NR^8R^{11}$, $-N(R^8)SO_2R^7$, $-N(R^8)CO_2R^7$, $-CH_2P(O)R^{5a}R^{5b}$ and benzyl optionally substituted by $-S(O)_pR^{16}$; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

n represents zero, one or two;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl, indazol-1-yl, benzotriazol-1-yl, benzimidazol-1-yl and indol-1-yl, which are substituted by one or two groups $R^{14}$ (and wherein one or two $R^3$ groups are present);

$R^4$ represents methyl or ethyl;

$R^7$ and $R^8$ independently represent lower alkyl or lower haloalkyl;

$R^{11}$ represents $-SO_2R^7$ or $CO_2R^7$;

$R^{14}$ represents hydrogen, halogen, a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or $-S(O)_pR^{16}$, $NO_2$ or $CO_2R^5$ wherein $R^5$ represents lower alkyl; and

$R^{16}$ represents lower alkyl.

A particularly preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

$R^1$ represents cyclopropyl;

$R^2$ represents:-

halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from $-S(O)_pR^7$, $-CH_2S(O)_qR^7$, $-OR^7$ and benzyl optionally substituted by $-S(O)_pR^{16}$; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring; or two groups $R^2$ together with adjacent carbon atoms form a 1,4-benzoxathian ring;

$R^3$ represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl or benz-1,2,3-

triazol-1-yl ring substituted by one or two $R^{14}$ groups, wherein $R^{14}$ represents hydrogen, optionally halogenated methyl or $-S(O)_pR^{16}$;

$R^7$ and $R^{16}$ represent methyl or ethyl; and

n represents 0, 1 or 2.

A further particularly preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

$R^1$ represents cyclopropyl;

$R^2$ represents:-

halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from $-S(O)_pR^7$, $-CH_2S(O)_qR^7$, $-OR^7$ and benzyl optionally substituted by $-S(O)_pR^{16}$; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

$R^3$ represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl or 1,2,3,4-tetrazol-1-yl ring substituted by one or two $R^{14}$ groups, wherein $R^{14}$ represents hydrogen, optionally halogenated methyl or $-S(O)_pR^{16}$;

$R^7$ and $R^{16}$ represent methyl or ethyl; and

n represents 0, 1 or 2.

A further particularly preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

$R^1$ represents cyclopropyl;

$R^2$ represents:-

halogen, optionally halogenated methyl, $-S(O)_pCH_3$, $OCH_3$ or benzyl optionally substituted by $-S(O)_pCH_3$;

$R^3$ represents imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl or 1,2,4-triazol-1-yl, optionally substituted on the ring carbon atoms by one or two methyl groups; and

n represents one or two.

A most preferred class of compounds of formula (Ia) above are those wherein:-

R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

$R^1$ represents cyclopropyl;

$R^2$ represents 2-$CF_3$ and $R^3$ represents 4-(1,2,3-triazol-1-yl), 4-(1,2,3-triazol-2-yl) or 4-(1,2,4-triazol-1-yl); or

$R^2$ represents 4-$CF_3$ and $R^3$ represents 2-(1,2,3-triazol-1-yl), 2-(1,2,3-triazol-2-yl) or 2-(1,2,4-triazol-1-yl).

A preferred class of compounds of formula (Id) above are those wherein:-

$R^a$ represents methyl or ethyl;

Q represents a group selected from hydrogen, lower alkyl, lower alkenyl, lower alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, and $-(C_uH_{2u})C(O)R^{20}$; or methyl or ethyl substituted by $CO_2R^9$ or $R^{20}$;

s and u represent zero or one;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^{12}$, $-OR^{12}$, $-CH_2S(O)_qR^{12}$, $-CH_2NR^8R^{11}$, $-N(R^8)SO_2R^{12}$, and $-N(R^8)CO_2R^{12}$; or benzyl optionally substituted by $-S(O)_pR^{16}$;

n represents zero, one or two;

X represents $-(CH_2)_v-$;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl,

1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl and benzimidazol-1-yl, the ring systems of which are substituted by one or two $R^{14}$ groups;

z represents one;

$R^b$ represents hydrogen or methyl;

$R^8$, $R^9$ and $R^{12}$ independently represent $C_{1-4}$ alkyl or haloalkyl;

$R^{11}$ represents $-SO_2R^{12}$ or $CO_2R^{12}$;

$R^{16}$ represents $C_{1-4}$ alkyl; and

$R^{17}$ represents phenyl optionally substituted by $C_{1-3}$ alkyl.

A particularly preferred class of compounds of formula (Id) above are those wherein:-

$R^a$ represents methyl;

Q represents a group selected from hydrogen, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{3-4}$ alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, and $-(C_uH_{2u})C(O)R^{20}$; or methyl or ethyl substituted by a group selected from $CO_2R^9$ and $R^{20}$;

s and u represent zero or one;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^{12}$, $-OR^{12}$ and $-CH_2S(O)_qR^{12}$; or benzyl optionally substituted by $-S(O)_pR^{16}$;

n represents one;

X represents a bond;

$R^3$ is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and 1,2,3,4-tetrazol-1-yl, the ring systems of which are substituted by one or two $R^{14}$ groups;

z represents one;

$R^b$ represents hydrogen or methyl;

$R^9$, $R^{12}$, $R^{14}$ and $R^{16}$ represent $C_{1-4}$ alkyl; and

$R^{20}$ represents phenyl optionally substituted by methyl.

A further particularly preferred class of compounds of formula (Id) above are those wherein:-

$R^a$ represents methyl;

$R^b$ represents hydrogen or methyl;

Q represents a group selected from hydrogen, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{3-4}$ alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-(CH_2)_sC(O)R^{12}$, and $-(CH_2)_uC(O)R^{20}$; or methyl or ethyl substituted by a group selected from $CO_2R^9$ and $R^{20}$;

s and u represent zero or one;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms;

n represents one;

X represents a bond;

$R^3$ represents a 1,2,4-triazol-1-yl ring;

z represents one;

$R^9$ and $R^{12}$ represent $C_{1-4}$ alkyl; and

$R^{20}$ represents phenyl optionally substituted by methyl.

A further particularly preferred class of compounds of formula (Id) above are those wherein:-

$R^a$ represents methyl;

$R^b$ represents hydrogen or methyl;

Q represents a group selected from hydrogen, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{3-4}$ alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-C(O)R^{12}$, and $-(CH_2)_uC(O)R^{20}$; or $-CH_2R^{20}$;

u represents zero or one;

$R^2$ represents:-

halogen or a straight- or branched- chain alkyl group containing up to three carbon atoms substituted by one or more halogen atoms;

n and z represent one;

X represents a bond;

$R^3$ represents a 1,2,4-triazol-1-yl ring;

$R^{12}$ and $R^{16}$ represent $C_{1-4}$ alkyl; and

$R^{20}$ represents phenyl optionally substituted by methyl.

A further particularly preferred class of compounds of formula (Id) above are those wherein:-

$R^a$ represents methyl;

$R^b$ represents hydrogen or methyl;

$R^2$ represents:-

optionally halogenated methyl;

n represents one;

Q represents $-SO_2R^{20}$, $CH_2COR^{20}$ or $CH_2R^{20}$;

X represents a bond;

$R^3$ represents a 1,2,4-triazol-1-yl ring;

z represents one; and

$R^{20}$ represents phenyl optionally substituted by methyl.

Particularly important compounds of the invention include:

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 1)

ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 2)

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 3)

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 4),

ethyl 5-cyclopropyl-4-[2-iodo-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 5)

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 6)

ethyl 5-cyclopropyl-4-[2-benzyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 7)

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 8)

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 9)

ethyl 5-cyclopropyl-4-[2-chloro-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 10)

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-iodobenzoyl]isoxazole-3-carboxylate (Compound 11)

ethyl 5-cyclopropyl-4-[4-(pyrazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 12)

ethyl 5-cyclopropyl-4-[2-chloro-4-(pyrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 13)

5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole (Compound 14)

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 15)

5-cyclopropyl-4-[2-(pyrazol-1-yl)benzoyl]isoxazole (Compound 16)

ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 17)

ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 18)

ethyl 5-cyclopropyl-4-[4-(3-methylsulphinyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 19)

ethyl 5-cyclopropyl-4-[4-(3-methylsulfonyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate(Compound 20)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 21)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 22)

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 23)

ethyl 5-cyclopropyl-4-[2-methylthio-3,4-bis-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 24)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 25)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 26)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 27)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 28)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 29)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 30)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 31)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 32)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 33)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 34)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 35)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 36)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 37)

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(5-methyl-1,2,4-triazol-4-yl)benzoyl]isoxazole-3-carboxylate (Compound 38)

ethyl 5-cyclopropyl-4-[2-methylthiomethyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 39)

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 40)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 41)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 42)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 43)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 44)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 45)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 46)

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 47)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 48)

2-cyano-3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione (Compound 49)

5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 51)

5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)-benzoyl]isoxazole (Compound 56)

5-cyclopropyl-4-[2-methylsulfinyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 91)

5-cyclopropyl-4-[2-methylsulfonyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 92)

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 116)

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 129)

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 132)

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 154)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 155)

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 158)

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 160)

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 167)

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 173)

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-benztriazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 184)

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole (Compound 246)

ethyl 5-cyclopropyl-4-[2-(1,2,3,4-tetrazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 291)

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 311)

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-2-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 321)

2-cyano-3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione (Compound 424)

5-cyclopropyl-4-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole (Compound 428)

ethyl 5-cyclopropyl-4-[8-(1,2,3,4-tetrazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 429)

ethyl 5-cyclopropyl-4-[8-(1,2,3-triazol-2-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 430)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 431)

ethyl 5-cyclopropyl-4-[8-(1,2,3-benztriazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 432)

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 433)

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(5-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 434)

1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 501)

1,3-dimethyl-5-phenacyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 502)

1,3-dimethyl-5-methoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 503)

5-ethoxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 504)

1,3-dimethyl-5-isopropyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 505)

5-benzyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 506)

1,3-dimethyl-5-methoxycarbonylmethoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 507)

1,3-dimethyl-5-[1-(methoxycarbonyl)ethoxy]-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 508)

1,3-dimethyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 509)

1,3-dimethyl-5-propargyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 510)

5-benzenesulfonyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 511)

5-acetyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 512)

5-benzoyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 513)

1,3-dimethyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 514)

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 515)

1,3-dimethyl-5-isopropylsulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 516)

5-hydroxy-1-methyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 517)

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 546)

1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 1116)

1-methyl-5-benzoyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1117)

1-methyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1118)

1-methyl-5-benzenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1119)

1-methyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1120)

1,3-dimethyl-5-acetoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1121)

1,3-dimethyl-5-pivaloyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1122)

1,3-dimethyl-5-benzenesulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1123)

1,3-dimethyl-5-isopropylsulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole(Compound 1124)

1,3-dimethyl-5-methoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1125)

1,3-dimethyl-5-phenacyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1126)

1,3-dimethyl-5-benzyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1127)

1,3-dimethyl-5-propargyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1128)

1,3-dimethyl-5-allyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1129)

1-methyl-5-acetoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1130)

1-methyl-5-benzyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1131) and

1-methyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1132).

The following compounds of formula (Ia) in which $R^1$ represents cyclopropyl and the group $(XR^3)_z$ is attached either to the 4-position of the phenyl ring (Table 1), or to the 2-position of the phenyl ring (Table 2), or to the 3-position of the phenyl ring (Table 3) form part of the present invention. Note that in the Tables that follow, Me means methyl, Et means ethyl, Pr means propyl, cPr means cyclopropyl, Bu means butyl, and Ph means phenyl. Where subscripts do not appear in the Table it will be understood that in appropriate cases they are present (e.g. CF3 means $CF_3$ etc.). Where a second $XR^3$ group is present in the phenyl ring, its locant is shown in the Tables under the $(XR^3)_z$ column.

**TABLE 1**

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 1 | CO2Et | 2-CF3 | 1,2,4-triazol-1-yl |
| 2 | CO2Et | 2-CF3 | 3-SMe-1,2,4-triazol-1-yl |
| 4 | CO2Et | 2-Cl | 1,2,4-triazol-1-yl |
| 5 | CO2Et | 2-I | 1,2,4-triazol-1-yl |
| 6 | CO2Et | 2-Me | 1,2,4-triazol-1-yl |
| 7 | CO2Et | 2-CH2Ph | 1,2,4-triazol-1-yl |
| 8 | CO2Et | 2-CF3 | imidazol-1-yl |
| 10 | CO2Et | 2-Cl | imidazol-1-yl |
| 11 | CO2Et | 2-I | imidazol-1-yl |
| 12 | CO2Et | 2-CF3 | pyrazol-1-yl |
| 13 | CO2Et | 2-Cl | pyrazol-1-yl |
| 14 | H | 2-CF3 | 1,2,4-triazol-1-yl |
| 19 | CO2Et | 2-CF3 | 3-SOMe-1,2,4-triazol-1-yl |
| 20 | CO2Et | 2-CF3 | 3-SO2Me-1,2,4-triazol-1-yl |
| 21 | CO2Et | 2-SMe-3-SMe | 1,2,4-triazol-1-yl |
| 22 | CO2Et | 2-SMe-3-SMe | imidazol-1-yl |
| 23 | CO2Et | 2-SMe-3-F | 1,2,4-triazol-1-yl |
| 24 | CO2Et | 2-SMe | 1,2,4-triazol-1-yl-3-(1,2,4-triazol-1-yl |
| 25 | CO2Et | 2-SMe-3-Br | 1,2,4-triazol-1-yl |
| 26 | CO2Et | 2-SMe | 1,2,4-triazol-1-yl |
| 27 | CO2Et | 2-SMe | imidazol-1-yl |
| 28 | CO2Et | 2-Et-3-SMe | 1,2,4-triazol-1-yl |
| 29 | CO2Et | 2-iBu | 1,2,4-triazol-1-yl |
| 30 | CO2Et | 2-iBu | imidazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 31 | CO2Et | 2-SMe-3-OMe | 1,2,4-triazol-1-yl |
| 32 | CO2Et | 2-SMe-3-SMe | 1,2,3-triazol-2-yl |
| 33 | CO2Et | 2-SMe-3-Br | 1,2,3-triazol-2-yl |
| 34 | CO2Et | 2-SMe-3-Br | 1,2,3-triazol-1-yl |
| 35 | CO2Et | 2-SMe | 1,2,3-triazol-2-yl |
| 36 | CO2Et | 2-SMe-3-SMe | 3-Me-1,2,4-triazol-1-yl |
| 37 | CO2Et | 2-SMe-3-Br | 3-Me-1,2,4-triazol-1-yl |
| 38 | CO2Et | 2-SMe-3-Br | 5-Me-1,2,4-triazol-1-yl |
| 39 | CO2Et | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 40 | CO2Et | 2-SMe-3-SMe | tetrazol-1-yl |
| 41 | CO2Et | 2-SMe | tetrazol-1-yl |
| 42 | CO2Et | 2-Et-3-SMe | tetrazol-1-yl |
| 43 | CO2Et | 2-Et-3-SMe | 1,2,3-triazol-2-yl |
| 44 | CO2Et | 2-Et-3-SMe | 1,2,3-triazol-1-yl |
| 45 | CO2Et | 2-iBu | 1,2,3-triazol-1-yl |
| 46 | CO2Et | 2-SMe | 3-Me-1,2,4-triazol-1-yl |
| 47 | CO2Et | 2-Et-3-SMe | 3-Me-1,2,4-triazol-1-yl |
| 48 | CO2Et | 2-iBu | 3-Me-1,2,4-triazol-1-yl |
| 50 | CO2Et | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 51 | H | 2-SMe | 1,2,4-triazol-1-yl |
| 52 | H | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 53 | H | 2-SMe-3-F | 1,2,4-triazol-1-yl |
| 54 | H | 2-Et-3-SMe | 1,2,4-triazol-1-yl |
| 55 | H | 2-iBu | 1,2,4-triazol-1-yl |
| 56 | H | 2-Me | 1,2,4-triazol-1-yl |
| 57 | H | 2-I | 1,2,4-triazol-1-yl |
| 58 | H | 2-SMe-3-Br | 1,2,4-triazol-1-yl |
| 59 | H | 2-Cl | 1,2,4-triazol-1-yl |
| 60 | H | 2-SMe-3-SMe | 1,2,4-triazol-1-yl |
| 61 | CO2Et | 2-NO2 | 1,2,4-triazol-1-yl |
| 62 | CO2Et | 2-SOMe | 1,2,4-triazol-1-yl |
| 63 | CO2Et | 2-SO2Me | 1,2,4-triazol-1-yl |
| 64 | CO2Et | 2-CH2SOMe | 1,2,4-triazol-1-yl |
| 65 | CO2Et | 2-CH2SO2Me | 1,2,4-triazol-1-yl |
| 66 | CO2Et | 2-SMe-3-Cl | 1,2,4-triazol-1-yl |
| 67 | CO2Et | 2-SOMe-3-Cl | 1,2,4-triazol-1-yl |
| 68 | CO2Et | 2-SO2Me-3-Cl | 1,2,4-triazol-1-yl |
| 69 | CO2Et | 2-SOMe-3-OMe | 1,2,4-triazol-1-yl |
| 70 | CO2Et | 2-SO2Me-3-OMe | 1,2,4-triazol-1-yl |
| 71 | CO2Et | 2-Br | 1,2,4-triazol-1-yl |
| 72 | CO2Et | 2-OMe | 1,2,4-triazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 73 | CO2Et | 2-Me-3-SMe | 1,2,4-triazol-1-yl |
| 74 | CO2Et | 2-Me-3-SOMe | 1,2,4-triazol-1-yl |
| 75 | CO2Et | 2-Me-3-SO2Me | 1,2,4-triazol-1-yl |
| 76 | CO2Et | 2-OMe-3-SMe | 1,2,4-triazol-1-yl |
| 77 | CO2Et | 2-OMe-3-SOMe | 1,2,4-triazol-1-yl |
| 78 | CO2Et | 2-OMe-3-SO2Me | 1,2,4-triazol-1-yl |
| 79 | CO2Et | 2-NmeSO2Me | 1,2,4-triazol-1-yl |
| 80 | CO2Et | 2-NmeCO2Me | 1,2,4-triazol-1-yl |
| 81 | CO2Et | 2-CH2Ph | 1,2,4-triazol-1-yl |
| 82 | CO2Et | 2-CH2[(2-MeS)Ph] | 1,2,4-triazol-1-yl |
| 83 | CO2Et | 2-CH2[(2-MeSO)Ph] | 1,2,4-triazol-1-yl |
| 84 | CO2Et | 2-CH2[(2-MeSO2)Ph] | 1,2,4-triazol-1-yl |
| 85 | CO2Et | 2-CH2N(Me)SO2Me | 1,2,4-triazol-1-yl |
| 86 | CO2Et | 2-CH2N(Me)CO2Me | 1,2,4-triazol-1-yl |
| 87 | CO2Et | 2-CH2P(O)(OEt)2 | 1,2,4-triazol-1-yl |
| 88 | CO2Et | - | 1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 89 | CO2Et | - | 1,2,4-triazol-1-yl-2-(imidazol-1-yl) |
| 90 | CO2Et | 2-NO2 | 1,2,4-triazol-1-yl |
| 91 | H | 2-SOMe | 1,2,4-triazol-1-yl |
| 92 | H | 2-SO2Me | 1,2,4-triazol-1-yl |
| 93 | H | 2-CH2SOMe | 1,2,4-triazol-1-yl |
| 94 | H | 2-CH2SO2Me | 1,2,4-triazol-1-yl |
| 95 | H | 2-SMe-3-OMe | 1,2,4-triazol-1-yl |
| 96 | H | 2-SOMe-3-OMe | 1,2,4-triazol-1-yl |
| 97 | H | 2-SO2Me-3-OMe | 1,2,4-triazol-1-yl |
| 98 | H | 2-OMe-3-SMe | 1,2,4-triazol-1-yl |
| 99 | H | 2-OMe-3-SOMe | 1,2,4-triazol-1-yl |
| 100 | H | 2-OMe-3-SO2Me | 1,2,4-triazol-1-yl |
| 101 | H | 2-OMe | 1,2,4-triazol-1-yl |
| 102 | H | - | 1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 103 | H | - | 1,2,4-triazol-1-yl-2-(imidazol-1-yl) |
| 104 | H | 2-CF3 | imidazol-1-yl |
| 105 | H | 2-SMe | imidazol-1-yl |
| 106 | H | 2-CH2SMe | imidazol-1-yl |
| 107 | H | 2-SMe-3-F | imidazol-1-yl |
| 108 | H | 2-Et-3-SMe | imidazol-1-yl |
| 109 | H | 2-iBu | imidazol-1-yl |
| 110 | H | 2-Me | imidazol-1-yl |
| 111 | H | 2-I | imidazol-1-yl |
| 112 | H | 2-SMe-3-Br | imidazol-1-yl |
| 113 | H | 2-Cl | imidazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 114 | H | 2-SMe-3-SMe | imidazol-1-yl |
| 115 | CO2Et | 2-CH2SMe | imidazol-1-yl |
| 116 | CO2Et | 2-SMe-3-F | imidazol-1-yl |
| 117 | CO2Et | 2-Et-3-SMe | imidazol-1-yl |
| 118 | CO2Et | 2-Me | imidazol-1-yl |
| 119 | CO2Et | 2-SMe-3-Br | imidazol-1-yl |
| 120 | CO2Et | 2-SMe | imidazol-1-yl-3-(1,2,4-triazol-1-yl) |
| 121 | H | 2-CF3 | imidazol-1-yl |
| 122 | H | 2-SMe | imidazol-1-yl |
| 123 | H | 2-CH2SMe | imidazol-1-yl |
| 124 | H | 2-Me | imidazol-1-yl |
| 125 | CO2Et | 2-CF3 | tetrazol-1-yl |
| 126 | CO2Et | 2-CH2SMe | tetrazol-1-yl |
| 127 | CO2Et | 2-SMe-3-F | tetrazol-1-yl |
| 128 | CO2Et | 2-iBu | tetrazol-1-yl |
| 129 | CO2Et | 2-Me | tetrazol-1-yl |
| 130 | CO2Et | 2-I | tetrazol-1-yl |
| 131 | CO2Et | 2-SMe-3-Br | tetrazol-1-yl |
| 132 | CO2Et | 2-Cl | tetrazol-1-yl |
| 133 | CO2Et | 2-SMe | tetrazol-1-yl-3-(1,2,4-triazol-1-yl) |
| 134 | H | 2-CF3 | tetrazol-1-yl |
| 135 | H | 2-SMe | tetrazol-1-yl |
| 136 | H | 2-CH2SMe | tetrazol-1-yl |
| 137 | H | 2-Me | tetrazol-1-yl |
| 138 | CO2Et | 2-CF3 | benzimidazol-1-yl |
| 139 | CO2Et | 2-SMe | benzimidazol-1-yl |
| 140 | CO2Et | 2-CH2SMe | benzimidazol-1-yl |
| 141 | CO2Et | 2-SMe-3-F | benzimidazol-1-yl |
| 142 | CO2Et | 2-Et-3-SMe | benzimidazol-1-yl |
| 143 | CO2Et | 2-iBu | benzimidazol-1-yl |
| 144 | CO2Et | 2-Me | benzimidazol-1-yl |
| 145 | CO2Et | 2-I | benzimidazol-1-yl |
| 146 | CO2Et | 2-SMe-3-Br | benzimidazol-1-yl |
| 147 | CO2Et | 2-Cl | benzimidazol-1-yl |
| 148 | CO2Et | 2-SMe-3-SMe | benzimidazol-1-yl |
| 149 | CO2Et | 2-SMe | benzimidazol-1-yl-3-(1,2,4-triazol-1-yl) |
| 150 | H | 2-CF3 | benzimidazol-1-yl |
| 151 | H | 2-SMe | benzimidazol-1-yl |
| 152 | H | 2-CH2SMe | benzimidazol-1-yl |
| 153 | H | 2-Me | benzimidazol-1-yl |
| 154 | CO2Et | 2-CF3 | 1,2,3-triazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 155 | CO2Et | 2-SMe | 1,2,3-triazol-1-yl |
| 156 | CO2Et | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 157 | CO2Et | 2-SMe-3-F | 1,2,3-triazol-1-yl |
| 158 | CO2Et | 2-Me | 1,2,3-triazol-1-yl |
| 159 | CO2Et | 2-I | 1,2,3-triazol-1-yl |
| 160 | CO2Et | 2-Cl | 1,2,3-triazol-1-yl |
| 161 | CO2Et | 2-SMe-3-SMe | 1,2,3-triazol-1-yl |
| 162 | CO2Et | 2-SMe | 1,2,3-triazol-1-yl-3-(1,2,4-triazol-1-yl) |
| 163 | H | 2-CF3 | 1,2,3-triazol-1-yl |
| 164 | H | 2-SMe | 1,2,3-triazol-1-yl |
| 165 | H | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 166 | H | 2-Me | 1,2,3-triazol-1-yl |
| 167 | CO2Et | 2-CF3 | 1,2,3-triazol-2-yl |
| 168 | CO2Et | 2-CH2SMe | 1,2,3-triazol-2-yl |
| 169 | CO2Et | 2-SMe-3-F | 1,2,3-triazol-2-yl |
| 170 | CO2Et | 2-iBu | 1,2,3-triazol-2-yl |
| 171 | CO2Et | 2-Me | 1,2,3-triazol-2-yl |
| 172 | CO2Et | 2-I | 1,2,3-triazol-2-yl |
| 173 | CO2Et | 2-Cl | 1,2,3-triazol-2-yl |
| 174 | CO2Et | 2-SMe | 1,2,3-triazol-2-yl-3-(1,2,4-triazol-1-yl) |
| 175 | H | 2-CF3 | 1,2,3-triazol-2-yl |
| 176 | H | 2-SMe | 1,2,3-triazol-2-yl |
| 177 | H | 2-CH2SMe | 1,2,3-triazol-2-yl |
| 178 | H | 2-Me | 1,2,3-triazol-2-yl |
| 179 | CO2Et | 2-CF3 | 3-Methylpyrazol-1-yl |
| 180 | CO2Et | 2-SMe | 3-Methylpyrazol-1-yl |
| 181 | CO2Et | 2-CH2SMe | 3-Methylpyrazol-1-yl |
| 182 | CO2Et | 2-Me | 3-Methylpyrazol-1-yl |
| 183 | CO2Et | 2-CF3 | benzotriazol-1-yl |
| 184 | CO2Et | 2-SMe | benzotriazol-1-yl |
| 185 | CO2Et | 2-CH2SMe | benzotriazol-1-yl |
| 186 | CO2Et | 2-Me | benzotriazol-1-yl |
| 187 | CO2Et | 2-CF3 | benzotriazol-2-yl |
| 188 | CO2Et | 2-SMe | benzotriazol-2-yl |
| 189 | CO2Et | 2-CH2SMe | benzotriazol-2-yl |
| 190 | CO2Et | 2-Me | benzotriazol-2-yl |
| 191 | CO2Et | 2-CF3 | 5-MeS-tetrazol-1-yl |
| 192 | CO2Et | 2-SMe | 5-MeS-tetrazol-1-yl |
| 193 | CO2Et | 2-CH2SMe | 5-MeS-tetrazol-1-yl |
| 194 | CO2Et | 2-Me | 5-MeS-tetrazol-1-yl |
| 195 | CO2Et | 2-CF3 | 5-MeS-tetrazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 196 | CO2Et | 2-SMe | 5-MeS-tetrazol-1-yl |
| 197 | CO2Et | 2-CH2SMe | 5-MeS-tetrazol-1-yl |
| 198 | CO2Et | 2-Me | 5-MeS-tetrazol-1-yl |
| 199 | CO2Et | 2-CF3 | indol-1-yl |
| 200 | CO2Et | 2-SMe | indol-1-yl |
| 201 | CO2Et | 2-CH2SMe | indol-1-yl |
| 202 | CO2Et | 2-Me | indol-1-yl |
| 203 | CO2Et | 2-SMe | pyrazol-1-yl |
| 204 | CO2Et | 2-CH2SMe | pyrazol-1-yl |
| 205 | CO2Et | 2-Me | pyrazol-1-yl |
| 206 | CO2Et | 2-CF3 | 2-NO2-imidazol-1-yl |
| 207 | CO2Et | 2-CF3 | 4-NO2-imidazol-1-yl |
| 208 | CO2Et | 2-CF3 | 3-Et-imidazol-1-yl |
| 209 | CO2Et | 2-CF3 | 4-CO2Me-imidazol-1-yl |
| 210 | CO2Et | 2-CF3 | 5-SMe-tetrazol-1-yl |
| 211 | CO2Et | 2-CF3 | indazol-1-yl |
| 428 | H | 2,3-SCH2CH2O- | 1,2,4-triazol-1-yl |
| 429 | CO2Et | 2,3-SCH2CH2O- | 1,2,3,4-tetrazol-1-yl |
| 430 | CO2Et | 2,3-SCH2CH2O- | 1,2,3-triazol-1-yl |
| 431 | CO2Et | 2-SMe-3-OMe | 1,2,3-triazol-1-yl |
| 432 | CO2Et | 2,3-SCH2CH2O- | benz-1,2,3-triazol-1-yl |
| 433 | CO2Et | 2-SMe-3-OMe | imidazol-1-yl |
| 434 | CO2Et | 2-iBu | 5-Me-1,2,4-triazol-1-yl |
| 435 | CO2Et | 2-F | 1,2,4-triazol-1-yl |
| 436 | H | 2-F | 1,2,4-triazol-1-yl |
| 437 | H | 2-Br | 1,2,4-triazol-1-yl |

**TABLE 2**

| Cpd. No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 15 | H | - | 1,2,4-triazol-1-yl |
| 16 | H | - | pyrazol-1-yl |
| 17 | CO2Et | - | 1,2,4-triazol-1-yl |
| 18 | CO2Et | 4-CF3 | 1,2,4-triazol-1-yl |
| 212 | CO2Et | 4-SMe | 1,2,4-triazol-1-yl |
| 213 | CO2Et | 4-F | 1,2,4-triazol-1-yl |
| 214 | CO2Et | 4-Cl | 1,2,4-triazol-1-yl |
| 215 | CO2Et | 4-Br | 1,2,4-triazol-1-yl |
| 216 | CO2Et | 4-I | 1,2,4-triazol-1-yl |
| 217 | CO2Et | 4-Me | 1,2,4-triazol-1-yl |
| 218 | CO2Et | 4-OMe | 1,2,4-triazol-1-yl |

| Cpd. No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 219 | CO2Et | 4-SOMe | 1,2,4-triazol-1-yl |
| 220 | CO2Et | 4-SO2Me | 1,2,4-triazol-1-yl |
| 221 | CO2Et | 3-SMe | 1,2,4-triazol-1-yl |
| 222 | CO2Et | 3-CF3 | 1,2,4-triazol-1-yl |
| 223 | CO2Et | 3-SMe-4-F | 1,2,4-triazol-1-yl |
| 224 | CO2Et | 3-F | 1,2,4-triazol-1-yl |
| 225 | CO2Et | 3-Cl | 1,2,4-triazol-1-yl |
| 226 | CO2Et | 3-Br | 1,2,4-triazol-1-yl |
| 227 | CO2Et | 3-I | 1,2,4-triazol-1-yl |
| 228 | CO2Et | 3-Me | 1,2,4-triazol-1-yl |
| 229 | CO2Et | 3-OMe | 1,2,4-triazol-1-yl |
| 230 | CO2Et | 3-SOMe | 1,2,4-triazol-1-yl |
| 231 | CO2Et | 3-SO2Me | 1,2,4-triazol-1-yl |
| 232 | CO2Et | 3-SOMe-4-F | 1,2,4-triazol-1-yl |
| 233 | CO2Et | 3-SO2Me-4-F | 1,2,4-triazol-1-yl |
| 234 | CO2Et | 3-SMe-4-OMe | 1,2,4-triazol-1-yl |
| 235 | CO2Et | 3-SOMe-4-OMe | 1,2,4-triazol-1-yl |
| 236 | CO2Et | 3-SO2Me-4-OMe | 1,2,4-triazol-1-yl |
| 237 | CO2Et | 3-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 238 | CO2Et | 3-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 239 | CO2Et | 3-Me-4-F | 1,2,4-triazol-1-yl |
| 240 | CO2Et | 3-Me-4-SMe | 1,2,4-triazol-1-yl |
| 241 | CO2Et | 3-CH2SOMe | 1,2,4-triazol-1-yl |
| 242 | CO2Et | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 243 | CO2Et | 3,4-Cl2 | 1,2,4-triazol-1-yl |
| 244 | CO2Et | 3,4-F2 | 1,2,4-triazol-1-yl |
| 245 | CO2Et | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 246 | H | 4-CF3 | 1,2,4-triazol-1-yl |
| 247 | H | 4-SMe | 1,2,4-triazol-1-yl |
| 248 | H | 4-F | 1,2,4-triazol-1-yl |
| 249 | H | 4-Cl | 1,2,4-triazol-1-yl |
| 250 | H | 4-Br | 1,2,4-triazol-1-yl |
| 251 | H | 4-I | 1,2,4-triazol-1-yl |
| 252 | H | 4-Me | 1,2,4-triazol-1-yl |
| 253 | H | 4-OMe | 1,2,4-triazol-1-yl |
| 254 | H | 4-SOMe | 1,2,4-triazol-1-yl |
| 255 | H | 4-SO2Me | 1,2,4-triazol-1-yl |
| 256 | H | 3-SMe | 1,2,4-triazol-1-yl |
| 257 | H | 3-CF3 | 1,2,4-triazol-1-yl |
| 258 | H | 3-SMe-4-F | 1,2,4-triazol-1-yl |

| Cpd. No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 259 | H | 3-F | 1,2,4-triazol-1-yl |
| 260 | H | 3-Cl | 1,2,4-triazol-1-yl |
| 261 | H | 3-Br | 1,2,4-triazol-1-yl |
| 262 | H | 3-I | 1,2,4-triazol-1-yl |
| 263 | H | 3-Me | 1,2,4-triazol-1-yl |
| 264 | H | 3-OMe | 1,2,4-triazol-1-yl |
| 265 | H | 3-SOMe | 1,2,4-triazol-1-yl |
| 266 | H | 3-SO2Me | 1,2,4-triazol-1-yl |
| 267 | H | 3-SOMe-4-F | 1,2,4-triazol-1-yl |
| 268 | H | 3-SO2Me-4-F | 1,2,4-triazol-1-yl |
| 269 | H | 3-SMe-4-OMe | 1,2,4-triazol-1-yl |
| 270 | H | 3-SOMe-4-OMe | 1,2,4-triazol-1-yl |
| 271 | H | 3-SO2Me-4-OMe | 1,2,4-triazol-1-yl |
| 272 | H | 3-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 273 | H | 3-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 274 | H | 3-Me-4-F | 1,2,4-triazol-1-yl |
| 275 | H | 3-Me-4-SMe | 1,2,4-triazol-1-yl |
| 276 | H | 3-CH2SOMe | 1,2,4-triazol-1-yl |
| 277 | H | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 278 | H | 3,4-Cl2 | 1,2,4-triazol-1-yl |
| 279 | H | 3,4-F2 | 1,2,4-triazol-1-yl |
| 280 | H | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 281 | CO2Et | 4-CF3 | imidazol-1-yl |
| 282 | CO2Et | 4-SMe | imidazol-1-yl |
| 283 | CO2Et | 4-F | imidazol-1-yl |
| 284 | CO2Et | 4-Cl | imidazol-1-yl |
| 285 | CO2Et | 4-Br | imidazol-1-yl |
| 286 | H | 4-CF3 | imidazol-1-yl |
| 287 | H | 4-SMe | imidazol-1-yl |
| 288 | H | 4-F | imidazol-1-yl |
| 289 | H | 4-Cl | imidazol-1-yl |
| 290 | H | 4-Br | imidazol-1-yl |
| 291 | CO2Et | 4-CF3 | tetrazol-1-yl |
| 292 | CO2Et | 4-SMe | tetrazol-1-yl |
| 293 | CO2Et | 4-F | tetrazol-1-yl |
| 294 | CO2Et | 4-Cl | tetrazol-1-yl |
| 295 | CO2Et | 4-Br | tetrazol-1-yl |
| 296 | H | 4-CF3 | tetrazol-1-yl |
| 297 | H | 4-SMe | tetrazol-1-yl |
| 298 | H | 4-F | tetrazol-1-yl |

| Cpd. No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 299 | H | 4-Cl | tetrazol-1-yl |
| 300 | H | 4-Br | tetrazol-1-yl |
| 301 | CO2Et | 4-CF3 | benzimidazol-1-yl |
| 302 | CO2Et | 4-SMe | benzimidazol-1-yl |
| 303 | CO2Et | 4-F | benzimidazol-1-yl |
| 304 | CO2Et | 4-Cl | benzimidazol-1-yl |
| 305 | CO2Et | 4-Br | benzimidazol-1-yl |
| 306 | H | 4-CF3 | benzimidazol-1-yl |
| 307 | H | 4-SMe | benzimidazol-1-yl |
| 308 | H | 4-F | benzimidazol-1-yl |
| 309 | H | 4-Cl | benzimidazol-1-yl |
| 310 | H | 4-Br | benzimidazol-1-yl |
| 311 | CO2Et | 4-CF3 | 1,2,3-triazol-1-yl |
| 312 | CO2Et | 4-SMe | 1,2,3-triazol-1-yl |
| 313 | CO2Et | 4-F | 1,2,3-triazol-1-yl |
| 314 | CO2Et | 4-Cl | 1,2,3-triazol-1-yl |
| 315 | CO2Et | 4-Br | 1,2,3-triazol-1-yl |
| 316 | H | 4-CF3 | 1,2,3-triazol-1-yl |
| 317 | H | 4-SMe | 1,2,3-triazol-1-yl |
| 318 | H | 4-F | 1,2,3-triazol-1-yl |
| 319 | H | 4-Cl | 1,2,3-triazol-1-yl |
| 320 | H | 4-Br | 1,2,3-triazol-1-yl |
| 321 | CO2Et | 4-CF3 | 1,2,3-triazol-2-yl |
| 322 | CO2Et | 4-SMe | 1,2,3-triazol-2-yl |
| 323 | CO2Et | 4-F | 1,2,3-triazol-2-yl |
| 324 | CO2Et | 4-Cl | 1,2,3-triazol-2-yl |
| 325 | CO2Et | 4-Br | 1,2,3-triazol-2-yl |
| 326 | H | 4-CF3 | 1,2,3-triazol-2-yl |
| 327 | H | 4-SMe | 1,2,3-triazol-2-yl |
| 328 | H | 4-F | 1,2,3-triazol-2-yl |
| 329 | H | 4-Cl | 1,2,3-triazol-2-yl |
| 330 | H | 4-Br | 1,2,3-triazol-2-yl |

**TABLE 3**

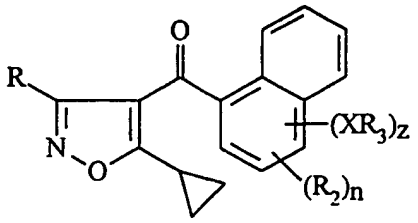
| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 331 | H | - | 1,2,4-triazol-1-yl |
| 332 | CO2Et | - | 1,2,4-triazol-1-yl |
| 333 | CO2Et | 2-CF3 | 1,2,4-triazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 334 | CO2Et | 2-SMe | 1,2,4-triazol-1-yl |
| 335 | CO2Et | 2-F | 1,2,4-triazol-1-yl |
| 336 | CO2Et | 2-Cl | 1,2,4-triazol-1-yl |
| 337 | CO2Et | 2-Br | 1,2,4-triazol-1-yl |
| 338 | CO2Et | 2-SOMe | 1,2,4-triazol-1-yl |
| 339 | CO2Et | 2-SO2Me | 1,2,4-triazol-1-yl |
| 340 | CO2Et | - | 1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 341 | CO2Et | 2-SMe-4-CF3 | 1,2,4-triazol-1-yl |
| 342 | CO2Et | 2-SMe-4-F | 1,2,4-triazol-1-yl |
| 343 | CO2Et | 2-SMe-4-Br | 1,2,4-triazol-1-yl |
| 344 | CO2Et | 2-SMe-4-Cl | 1,2,4-triazol-1-yl |
| 345 | CO2Et | 4-Cl | 1,2,4-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 346 | CO2Et | 2-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 347 | CO2Et | 2-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 348 | CO2Et | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 349 | H | 2-CF3 | 1,2,4-triazol-1-yl |
| 350 | H | 2-SMe | 1,2,4-triazol-1-yl |
| 351 | H | 2-SO2Me | 1,2,4-triazol-1-yl |
| 352 | H | - | imidazol-1-yl |
| 353 | CO2Et | - | imidazol-1-yl |
| 354 | CO2Et | 2-CF3 | imidazol-1-yl |
| 355 | CO2Et | 2-SMe | imidazol-1-yl |
| 356 | CO2Et | 2-F | imidazol-1-yl |
| 357 | CO2Et | 2-Cl | imidazol-1-yl |
| 358 | CO2Et | 2-Br | imidazol-1-yl |
| 359 | CO2Et | 2-SOMe | imidazol-1-yl |
| 360 | CO2Et | 2-SO2Me | imidazol-1-yl |
| 361 | CO2Et | - | imidazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 362 | CO2Et | 2-SMe-4-CF3 | imidazol-1-yl |
| 363 | CO2Et | 2-SMe-4-F | imidazol-1-yl |
| 364 | CO2Et | 2-SMe-4-Br | imidazol-1-yl |
| 365 | CO2Et | 2-SMe-4-Cl | imidazol-1-yl |
| 366 | CO2Et | 4-Cl | imidazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 367 | CO2Et | 2-SO2Me-4-SO2Me | imidazol-1-yl |
| 368 | CO2Et | 2-SMe-4-SMe | imidazol-1-yl |
| 369 | CO2Et | 2-CH2SMe | imidazol-1-yl |
| 370 | H | 2-CF3 | imidazol-1-yl |
| 371 | H | 2-SMe | imidazol-1-yl |
| 372 | H | 2-SO2Me | imidazol-1-yl |
| 373 | H | - | tetrazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 374 | CO2Et | - | tetrazol-1-yl |
| 375 | CO2Et | 2-CF3 | tetrazol-1-yl |
| 376 | CO2Et | 2-SMe | tetrazol-1-yl |
| 377 | CO2Et | 2-F | tetrazol-1-yl |
| 378 | CO2Et | 2-Cl | tetrazol-1-yl |
| 379 | CO2Et | 2-Br | tetrazol-1-yl |
| 380 | CO2Et | 2-SOMe | tetrazol-1-yl |
| 381 | CO2Et | 2-SO2Me | tetrazol-1-yl |
| 382 | CO2Et | - | tetrazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 383 | CO2Et | 2-SMe-4-CF3 | tetrazol-1-yl |
| 384 | CO2Et | 2-SMe-4-F | tetrazol-1-yl |
| 385 | CO2Et | 2-SMe-4-Br | tetrazol-1-yl |
| 386 | CO2Et | 2-SMe-4-Cl | tetrazol-1-yl |
| 387 | CO2Et | 4-Cl | tetrazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 388 | CO2Et | 2-SO2Me-4-SO2Me | tetrazol-1-yl |
| 389 | CO2Et | 2-SMe-4-SMe | tetrazol-1-yl |
| 390 | CO2Et | 2-CH2SMe | tetrazol-1-yl |
| 391 | H | 2-CF3 | tetrazol-1-yl |
| 392 | H | 2-SMe | tetrazol-1-yl |
| 393 | H | 2-SO2Me | tetrazol-1-yl |
| 394 | H | - | 1,2,3-triazol-1-yl |
| 395 | CO2Et | - | 1,2,3-triazol-1-yl |
| 396 | CO2Et | 2-CF3 | 1,2,3-triazol-1-yl |
| 397 | CO2Et | 2-SMe | 1,2,3-triazol-1-yl |
| 398 | CO2Et | 2-F | 1,2,3-triazol-1-yl |
| 399 | CO2Et | 2-Cl | 1,2,3-triazol-1-yl |
| 400 | CO2Et | 2-Br | 1,2,3-triazol-1-yl |
| 401 | CO2Et | 2-SOMe | 1,2,3-triazol-1-yl |
| 402 | CO2Et | 2-SO2Me | 1,2,3-triazol-1-yl |
| 403 | CO2Et | - | 1,2,3-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 404 | CO2Et | 2-SMe-4-CF3 | 1,2,3-triazol-1-yl |
| 405 | CO2Et | 2-SMe-4-F | 1,2,3-triazol-1-yl |
| 406 | CO2Et | 2-SMe-4-Br | 1,2,3-triazol-1-yl |
| 407 | CO2Et | 2-SMe-4-Cl | 1,2,3-triazol-1-yl |
| 408 | CO2Et | 4-Cl | 1,2,3-triazol-1-yl-2-(1,2,4-triazol-1-yl) |
| 409 | CO2Et | 2-SO2Me-4-SO2Me | 1,2,3-triazol-1-yl |
| 410 | CO2Et | 2-SMe-4-SMe | 1,2,3-triazol-1-yl |
| 411 | CO2Et | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 412 | H | 2-CF3 | 1,2,3-triazol-1-yl |
| 413 | H | 2-SMe | 1,2,3-triazol-1-yl |

| Cpd No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 414 | H | 2-SO2Me | 1,2,3-triazol-1-yl |

The following compounds of formula (Ie) wherein n represents 0 or 1 shown in Table 4 also form part of the invention.




(Ie)

**TABLE 4**

| Cpd.No. | R | (R2)n | (XR3)z |
|---|---|---|---|
| 3 | CO2Et | H | 4-(1,2,4-triazol-1-yl) |
| 9 | CO2Et | H | 4-(imidazol-1-yl) |
| 415 | CO2Et | H | 2-(1,2,4-triazol-1-yl) |
| 416 | CO2Et | H | 3-(1,2,4-triazol-1-yl) |
| 417 | H | H | 4-(1,2,4-triazol-1-yl) |
| 418 | H | H | 4-(imidazol-1-yl) |
| 419 | H | H | 2-(1,2,4-triazol-1-yl) |
| 420 | H | H | 3-(1,2,4-triazol-1-yl) |

The following compounds of formula (Ic) shown in Table 5 wherein $R^1$ represents cyclopropyl also form part of the invention.

**TABLE 5**

| Cpd.No. | (R2)n | (R3)x |
|---|---|---|
| 49 | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 421 | 2-SMe | 4-(1,2,4-triazol-1-yl) |
| 422 | 2-Cl | 4-(1,2,4-triazol-1-yl) |
| 423 | 2-Me | 4-(1,2,4-triazol-1-yl) |
| 424 | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 425 | 4-SMe | 2-(1,2,4-triazol-1-yl) |
| 426 | 4-Cl | 2-(1,2,4-triazol-1-yl) |
| 427 | 4-Me | 2-(1,2,4-triazol-1-yl) |

The following compounds of formula (Id) in which $R^a$ represents methyl, and the group $(XR^3)_z$ is attached either to the 4-position of the phenyl ring (Table 6), or to the 2-position of the phenyl ring (Table 7), or to the 3-position of the phenyl ring (Table 8), also form part of the present invention.

**TABLE 6**

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 501 | Me | H | 2-CF3 | 1,2,4-triazol-1-yl |
| 502 | Me | CH2COPh | 2-CF3 | 1,2,4-triazol-1-yl |
| 503 | Me | Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 504 | Me | Et | 2-CF3 | 1,2,4-triazol-1-yl |
| 505 | Me | iPr | 2-CF3 | 1,2,4-triazol-1-yl |
| 506 | Me | CH2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 507 | Me | CH2CO2Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 508 | Me | CH(CO2Me)Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 509 | Me | CH2CH=CH2 | 2-CF3 | 1,2,4-triazol-1-yl |
| 510 | Me | prop-2-ynyl | 2-CF3 | 1,2,4-triazol-1-yl |
| 511 | Me | SO2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 512 | Me | COMe | 2-CF3 | 1,2,4-triazol-1-yl |
| 513 | Me | COPh | 2-CF3 | 1,2,4-triazol-1-yl |
| 514 | Me | COtBu | 2-CF3 | 1,2,4-triazol-1-yl |
| 515 | Me | SO2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 516 | Me | SO2iPr | 2-CF3 | 1,2,4-triazol-1-yl |
| 517 | H | H | 2-CF3 | 1,2,4-triazol-1-yl |
| 518 | Me | CH2CO(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 519 | Me | SO2(4-Me Ph) | 2-CF3 | 1,2,3-triazol-1-yl |
| 520 | Me | SO2(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 521 | Me | SO2(4-Me Ph) | 2-Cl | 1,2,3-triazol-1-yl |
| 522 | Me | SO2(4-Me Ph) | 2-Me | 1,2,3-triazol-1-yl |
| 523 | Me | SO2(4-Me Ph) | 2-SMe | 1,2,3-triazol-1-yl |
| 524 | Me | SO2(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-1-yl |
| 525 | Me | SO2(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-1-yl |
| 526 | Me | SO2(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-1-yl |
| 527 | Me | SO2(4-Me Ph) | 2-CF3 | 1,2,3-triazol-2-yl |
| 528 | Me | SO2(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-2-yl |
| 529 | Me | SO2(4-Me Ph) | 2-Cl | 1,2,3-triazol-2-yl |
| 530 | Me | SO2(4-Me Ph) | 2-Me | 1,2,3-triazol-2-yl |
| 531 | Me | SO2(4-Me Ph) | 2-SMe | 1,2,3-triazol-2-yl |
| 532 | Me | SO2(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-2-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 533 | Me | SO2(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-2-yl |
| 534 | Me | SO2(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-2-yl |
| 535 | Me | SO2(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 536 | Me | SO2(4-Me Ph) | 2-CH2[(2-MeS)Ph] | 1,2,4-triazol-1-yl |
| 537 | Me | SO2(4-Me Ph) | 2-CH2[(2-MeSO)Ph] | 1,2,4-triazol-1-yl |
| 538 | Me | SO2(4-Me Ph) | 2-CH2[(2-MeSO2)Ph] | 1,2,4-triazol-1-yl |
| 539 | Me | SO2(4-Me Ph) | 2-CH2N(Me)CO2Me | 1,2,4-triazol-1-yl |
| 540 | Me | SO2(4-Me Ph) | 2-CH2N(Me)SO2Me | 1,2,4-triazol-1-yl |
| 541 | Me | SO2(4-Me Ph) | 2-CH2Ph | 1,2,4-triazol-1-yl |
| 542 | Me | SO2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 543 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 544 | Me | SO2(4-Me Ph) | 2-CH2SO2Me | 1,2,4-triazol-1-yl |
| 545 | Et | SO2(4-Me Ph) | 2-CH2SOMe | 1,2,4-triazol-1-yl |
| 546 | Me | SO2(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |
| 547 | Me | SO2(4-Me Ph) | 2-Me | 1,2,4-triazol-1-yl |
| 548 | Me | SO2(4-Me Ph) | 2-Me-3-SMe | 1,2,4-triazol-1-yl |
| 549 | Me | SO2(4-Me Ph) | 2-Me-3-SO2Me | 1,2,4-triazol-1-yl |
| 550 | Me | SO2(4-Me Ph) | 2-Me-3-SOMe | 1,2,4-triazol-1-yl |
| 551 | Me | SO2(4-Me Ph) | 2-NMeCO2Me | 1,2,4-triazol-1-yl |
| 552 | Me | SO2(4-Me Ph) | 2-NMeSO2Me | 1,2,4-triazol-1-yl |
| 553 | Me | SO2(4-Me Ph) | 2-OMe | 1,2,4-triazol-1-yl |
| 554 | Me | SO2(4-Me Ph) | 2-OMe-3-SMe | 1,2,4-triazol-1-yl |
| 555 | Me | SO2(4-Me Ph) | 2-OMe-3-SO2Me | 1,2,4-triazol-1-yl |
| 556 | Me | SO2(4-Me Ph) | 2-OMe-3-SOMe | 1,2,4-triazol-1-yl |
| 557 | Me | SO2(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 558 | Me | SO2(4-Me Ph) | 2-SMe-3-Br | 1,2,4-triazol-1-yl |
| 559 | Me | SO2(4-Me Ph) | 2-SMe-3-Cl | 1,2,4-triazol-1-yl |
| 560 | Me | SO2(4-Me Ph) | 2-SMe-3-F | 1,2,4-triazol-1-yl |
| 561 | Me | SO2(4-Me Ph) | 2-SMe-3-OMe | 1,2,4-triazol-1-yl |
| 562 | Me | SO2(4-Me Ph) | 2-SMe-3-SMe | 1,2,4-triazol-1-yl |
| 563 | Me | SO2(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 564 | Me | SO2(4-Me Ph) | 2-SO2Me-3-Cl | 1,2,4-triazol-1-yl |
| 565 | Me | SO2(4-Me Ph) | 2-SO2Me-3-OMe | 1,2,4-triazol-1-yl |
| 566 | Me | SO2(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 567 | Me | SO2(4-Me Ph) | 2-SOMe-3-Cl | 1,2,4-triazol-1-yl |
| 568 | Me | SO2(4-Me Ph) | 2-SOMe-3-OMe | 1,2,4-triazol-1-yl |
| 569 | Me | SO2(4-Me Ph) | 2-NO2 | 1,2,4-triazol-1-yl |
| 570 | Me | SO2(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 571 | Me | SO2(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 572 | Me | SO2(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 573 | Me | SO2(4-Me Ph) | 2-Me | imidazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 574 | Me | SO2(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 575 | Me | SO2(4-Me Ph) | 2-SMe-3-Br | imidazol-1-yl |
| 576 | Me | SO2(4-Me Ph) | 2-SMe-3-F | imidazol-1-yl |
| 577 | Me | SO2(4-Me Ph) | 2-SMe-3-SMe | imidazol-1-yl |
| 578 | Me | SO2(4-Me Ph) | 2-CF3 | pyrazol-1-yl |
| 579 | Me | SO2(4-Me Ph) | 2-CH2SMe | pyrazol-1-yl |
| 580 | Me | SO2(4-Me Ph) | 2-Cl | pyrazol-1-yl |
| 581 | Me | SO2(4-Me Ph) | 2-Me | pyrazol-1-yl |
| 582 | Me | SO2(4-Me Ph) | 2-SMe | pyrazol-1-yl |
| 583 | Me | SO2(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 584 | Me | SO2(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 585 | Me | SO2(4-Me Ph) | 2-SMe-3-SMe | tetrazol-1-yl |
| 586 | Me | CH2CO(4-Me Ph) | 2-CF3 | 1,2,3-triazol-1-yl |
| 587 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 588 | Me | CH2CO(4-Me Ph) | 2-Cl | 1,2,3-triazol-1-yl |
| 589 | Me | CH2CO(4-Me Ph) | 2-Me | 1,2,3-triazol-1-yl |
| 590 | Me | CH2CO(4-Me Ph) | 2-SMe | 1,2,3-triazol-1-yl |
| 591 | Me | CH2CO(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-1-yl |
| 592 | Me | CH2CO(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-1-yl |
| 593 | Me | CH2CO(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-1-yl |
| 594 | Me | CH2CO(4-Me Ph) | 2-CF3 | 1,2,3-triazol-2-yl |
| 595 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-2-yl |
| 596 | Me | CH2CO(4-Me Ph) | 2-Cl | 1,2,3-triazol-2-yl |
| 597 | Me | CH2CO(4-Me Ph) | 2-Me | 1,2,3-triazol-2-yl |
| 598 | Me | CH2CO(4-Me Ph) | 2-SMe | 1,2,3-triazol-2-yl |
| 599 | Me | CH2CO(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-2-yl |
| 600 | Me | CH2CO(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-2-yl |
| 601 | Me | CH2CO(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-2-yl |
| 602 | Me | CH2CO(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 603 | Et | SO2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 604 | Me | CH2CO(4-Me Ph) | 2-CH2[(2-MeS)Ph] | 1,2,4-triazol-1-yl |
| 605 | Me | CH2CO(4-Me Ph) | 2-CH2[(2-MeSO)Ph] | 1,2,4-triazol-1-yl |
| 606 | Me | CH2CO(4-Me Ph) | 2-CH2[(2-MeSO2)Ph] | 1,2,4-triazol-1-yl |
| 607 | Me | CH2CO(4-Me Ph) | 2-CH2N(Me)CO2Me | 1,2,4-triazol-1-yl |
| 608 | Me | CH2CO(4-Me Ph) | 2-CH2N(Me)SO2Me | 1,2,4-triazol-1-yl |
| 609 | Me | CH2CO(4-Me Ph) | 2-CH2Ph | 1,2,4-triazol-1-yl |
| 610 | Me | CH2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 611 | Et | SO2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 612 | Me | CH2CO(4-Me Ph) | 2-CH2SO2Me | 1,2,4-triazol-1-yl |
| 613 | Et | CH2CO(4-Me Ph) | 2-CH2SOMe | 1,2,4-triazol-1-yl |
| 614 | Me | CH2CO(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 615 | Me | CH2CO(4-Me Ph) | 2-Me | 1,2,4-triazol-1-yl |
| 616 | Me | CH2CO(4-Me Ph) | 2-Me-3-SMe | 1,2,4-triazol-1-yl |
| 617 | Me | CH2CO(4-Me Ph) | 2-Me-3-SO2Me | 1,2,4-triazol-1-yl |
| 618 | Me | CH2CO(4-Me Ph) | 2-Me-3-SOMe | 1,2,4-triazol-1-yl |
| 619 | Me | CH2CO(4-Me Ph) | 2-NMeCO2Me | 1,2,4-triazol-1-yl |
| 620 | Me | CH2CO(4-Me Ph) | 2-NMeSO2Me | 1,2,4-triazol-1-yl |
| 621 | Me | CH2CO(4-Me Ph) | 2-OMe | 1,2,4-triazol-1-yl |
| 622 | Me | CH2CO(4-Me Ph) | 2-OMe-3-SMe | 1,2,4-triazol-1-yl |
| 623 | Me | CH2CO(4-Me Ph) | 2-OMe-3-SO2Me | 1,2,4-triazol-1-yl |
| 624 | Me | CH2CO(4-Me Ph) | 2-OMe-3-SOMe | 1,2,4-triazol-1-yl |
| 625 | Me | CH2CO(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 626 | Me | CH2CO(4-Me Ph) | 2-SMe-3-Br | 1,2,4-triazol-1-yl |
| 627 | Me | CH2CO(4-Me Ph) | 2-SMe-3-Cl | 1,2,4-triazol-1-yl |
| 628 | Me | CH2CO(4-Me Ph) | 2-SMe-3-F | 1,2,4-triazol-1-yl |
| 629 | Me | CH2CO(4-Me Ph) | 2-SMe-3-OMe | 1,2,4-triazol-1-yl |
| 630 | Me | CH2CO(4-Me Ph) | 2-SMe-3-SMe | 1,2,4-triazol-1-yl |
| 631 | Me | CH2CO(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 632 | Me | CH2CO(4-Me Ph) | 2-SO2Me-3-Cl | 1,2,4-triazol-1-yl |
| 633 | Me | CH2CO(4-Me Ph) | 2-SO2Me-3-OMe | 1,2,4-triazol-1-yl |
| 634 | Me | CH2CO(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 635 | Me | CH2CO(4-Me Ph) | 2-SOMe-3-Cl | 1,2,4-triazol-1-yl |
| 636 | Me | CH2CO(4-Me Ph) | 2-SOMe-3-OMe | 1,2,4-triazol-1-yl |
| 637 | Me | CH2CO(4-Me Ph) | 2-NO2 | 1,2,4-triazol-1-yl |
| 638 | Me | CH2CO(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 639 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 640 | Me | CH2CO(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 641 | Me | CH2CO(4-Me Ph) | 2-Me | imidazol-1-yl |
| 642 | Me | CH2CO(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 643 | Me | CH2CO(4-Me Ph) | 2-SMe-3-Br | imidazol-1-yl |
| 644 | Me | CH2CO(4-Me Ph) | 2-SMe-3-F | imidazol-1-yl |
| 645 | Me | CH2CO(4-Me Ph) | 2-SMe-3-SMe | imidazol-1-yl |
| 646 | Me | CH2CO(4-Me Ph) | 2-CF3 | pyrazol-1-yl |
| 647 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | pyrazol-1-yl |
| 648 | Me | CH2CO(4-Me Ph) | 2-Cl | pyrazol-1-yl |
| 649 | Me | CH2CO(4-Me Ph) | 2-Me | pyrazol-1-yl |
| 650 | Me | CH2CO(4-Me Ph) | 2-SMe | pyrazol-1-yl |
| 651 | Me | CH2CO(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 652 | Me | CH2CO(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 653 | Me | CH2CO(4-Me Ph) | 2-SMe-3-SMe | tetrazol-1-yl |
| 654 | Me | CH2(4-Me Ph) | 2-CF3 | 1,2,3-triazol-1-yl |
| 655 | Me | CH2(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 656 | Me | CH2(4-Me Ph) | 2-Cl | 1,2,3-triazol-1-yl |
| 657 | Me | CH2(4-Me Ph) | 2-Me | 1,2,3-triazol-1-yl |
| 658 | Me | CH2(4-Me Ph) | 2-SMe | 1,2,3-triazol-1-yl |
| 659 | Me | CH2(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-1-yl |
| 660 | Me | CH2(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-1-yl |
| 661 | Me | CH2(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-1-yl |
| 662 | Me | CH2(4-Me Ph) | 2-CF3 | 1,2,3-triazol-2-yl |
| 663 | Me | CH2(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-2-yl |
| 664 | Me | CH2(4-Me Ph) | 2-Cl | 1,2,3-triazol-2-yl |
| 665 | Me | CH2(4-Me Ph) | 2-Me | 1,2,3-triazol-2-yl |
| 666 | Me | CH2(4-Me Ph) | 2-SMe | 1,2,3-triazol-2-yl |
| 667 | Me | CH2(4-Me Ph) | 2-SMe-3-Br | 1,2,3-triazol-2-yl |
| 668 | Me | CH2(4-Me Ph) | 2-SMe-3-F | 1,2,3-triazol-2-yl |
| 669 | Me | CH2(4-Me Ph) | 2-SMe-3-SMe | 1,2,3-triazol-2-yl |
| 670 | Me | CH2(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 671 | Me | CH2(4-Me Ph) | 2-CH2[(2-MeS)Ph] | 1,2,4-triazol-1-yl |
| 672 | Me | CH2(4-Me Ph) | 2-CH2[(2-MeSO)Ph] | 1,2,4-triazol-1-yl |
| 673 | Me | CH2(4-Me Ph) | 2-CH2[(2-MeSO2)Ph] | 1,2,4-triazol-1-yl |
| 674 | Me | CH2(4-Me Ph) | 2-CH2N(Me)CO2Me | 1,2,4-triazol-1-yl |
| 675 | Me | CH2(4-Me Ph) | 2-CH2N(Me)SO2Me | 1,2,4-triazol-1-yl |
| 676 | Me | CH2(4-Me Ph) | 2-CH2Ph | 1,2,4-triazol-1-yl |
| 677 | Et | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 678 | Et | CH2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 679 | Me | CH2(4-Me Ph) | 2-CH2SO2Me | 1,2,4-triazol-1-yl |
| 680 | Et | CH2(4-Me Ph) | 2-CH2SOMe | 1,2,4-triazol-1-yl |
| 681 | Me | CH2(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |
| 682 | Me | CH2(4-Me Ph) | 2-Me | 1,2,4-triazol-1-yl |
| 683 | Me | CH2(4-Me Ph) | 2-Me-3-SMe | 1,2,4-triazol-1-yl |
| 684 | Me | CH2(4-Me Ph) | 2-Me-3-SO2Me | 1,2,4-triazol-1-yl |
| 685 | Me | CH2(4-Me Ph) | 2-Me-3-SOMe | 1,2,4-triazol-1-yl |
| 686 | Me | CH2(4-Me Ph) | 2-NMeCO2Me | 1,2,4-triazol-1-yl |
| 687 | Me | CH2(4-Me Ph) | 2-NMeSO2Me | 1,2,4-triazol-1-yl |
| 688 | Me | CH2(4-Me Ph) | 2-OMe | 1,2,4-triazol-1-yl |
| 689 | Me | CH2(4-Me Ph) | 2-OMe-3-SMe | 1,2,4-triazol-1-yl |
| 690 | Me | CH2(4-Me Ph) | 2-OMe-3-SO2Me | 1,2,4-triazol-1-yl |
| 691 | Me | CH2(4-Me Ph) | 2-OMe-3-SOMe | 1,2,4-triazol-1-yl |
| 692 | Me | CH2(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 693 | Me | CH2(4-Me Ph) | 2-SMe-3-Br | 1,2,4-triazol-1-yl |
| 694 | Me | CH2(4-Me Ph) | 2-SMe-3-Cl | 1,2,4-triazol-1-yl |
| 695 | Me | CH2(4-Me Ph) | 2-SMe-3-F | 1,2,4-triazol-1-yl |
| 696 | Me | CH2(4-Me Ph) | 2-SMe-3-OMe | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 697 | Me | CH2(4-Me Ph) | 2-SMe-3-SMe | 1,2,4-triazol-1-yl |
| 698 | Me | CH2(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 699 | Me | CH2(4-Me Ph) | 2-SO2Me-3-Cl | 1,2,4-triazol-1-yl |
| 700 | Me | CH2(4-Me Ph) | 2-SO2Me-3-OMe | 1,2,4-triazol-1-yl |
| 701 | Me | CH2(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 702 | Me | CH2(4-Me Ph) | 2-SOMe-3-Cl | 1,2,4-triazol-1-yl |
| 703 | Me | CH2(4-Me Ph) | 2-SOMe-3-OMe | 1,2,4-triazol-1-yl |
| 704 | Me | CH2(4-Me Ph) | 2-NO2 | 1,2,4-triazol-1-yl |
| 705 | Me | CH2(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 706 | Me | CH2(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 707 | Me | CH2(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 708 | Me | CH2(4-Me Ph) | 2-Me | imidazol-1-yl |
| 709 | Me | CH2(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 710 | Me | CH2(4-Me Ph) | 2-SMe-3-Br | imidazol-1-yl |
| 711 | Me | CH2(4-Me Ph) | 2-SMe-3-F | imidazol-1-yl |
| 712 | Me | CH2(4-Me Ph) | 2-SMe-3-SMe | imidazol-1-yl |
| 713 | Me | CH2(4-Me Ph) | 2-CF3 | pyrazol-1-yl |
| 714 | Me | CH2(4-Me Ph) | 2-CH2SMe | pyrazol-1-yl |
| 715 | Me | CH2(4-Me Ph) | 2-Cl | pyrazol-1-yl |
| 716 | Me | CH2(4-Me Ph) | 2-Me | pyrazol-1-yl |
| 717 | Me | CH2(4-Me Ph) | 2-SMe | pyrazol-1-yl |
| 718 | Me | CH2(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 719 | Me | CH2(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 720 | Me | CH2(4-Me Ph) | 2-SMe-3-SMe | tetrazol-1-yl |
| 721 | Me | SO2(4-Me Ph) | 2-CF3 | 3-Me-1,2,4-triazol-1-yl |
| 722 | Me | CH2CO(4-Me Ph) | 2-CF3 | 3-Me-1,2,4-triazol-1-yl |
| 723 | Me | CH2(4-Me Ph) | 2-CF3 | 3-Me-1,2,4-triazol-1-yl |
| 724 | Me | SO2(4-Me Ph) | 2-CF3 | CH2(1,2,4-triazol-1-yl) |
| 725 | Me | CH2CO(4-Me Ph) | 2-CF3 | CH2(1,2,4-triazol-1-yl) |
| 1114 | Me | CH2CO(4-Me Ph) | 2-Cl-3-Me | 1,2,4-triazol-1-yl |
| 1115 | Me | SO2(4-Me Ph) | 2-Cl-3-Me | 1,2,4-triazol-1-yl |
| 1116 | Me | H | 2-Cl | 1,2,4-triazol-1-yl |
| 1117 | H | COPh | 2-CF3 | 1,2,4-triazol-1-yl |
| 1118 | H | COtBu | 2-CF3 | 1,2,4-triazol-1-yl |
| 1119 | H | SO2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 1120 | H | SO2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1121 | Me | COMe | 2-Cl | 1,2,4-triazol-1-yl |
| 1122 | Me | COtBu | 2-Cl | 1,2,4-triazol-1-yl |
| 1123 | Me | SO2Ph | 2-Cl | 1,2,4-triazol-1-yl |
| 1124 | Me | SO2iPr | 2-Cl | 1,2,4-triazol-1-yl |
| 1125 | Me | Me | 2-Cl | 1,2,4-triazol-1-yl |

| Cpd N. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 1126 | Me | CH2COPh | 2-Cl | 1,2,4-triazol-1-yl |
| 1127 | Me | CH2Ph | 2-Cl | 1,2,4-triazol-1-yl |
| 1128 | Me | prop-2-ynyl | 2-Cl | 1,2,4-triazol-1-yl |
| 1129 | Me | CH2CH=CH2 | 2-Cl | 1,2,4-triazol-1-yl |
| 1130 | H | COMe | 2-CF3 | 1,2,4-triazol-1-yl |
| 1131 | H | CH2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 1132 | H | CH2CH=CH2 | 2-CF3 | 1,2,4-triazol-1-yl |
| 1133 | Me | H | 2-Br | 1,2,4-triazol-1-yl |
| 1134 | H | H | 2-Br | 1,2,4-triazol-1-yl |
| 1135 | H | H | 2-F | 1,2,4-triazol-1-yl |
| 1136 | H | H | 2-Cl | 1,2,4-triazol-1-yl |
| 1137 | H | H | 2-SMe | 1,2,4-triazol-1-yl |
| 1138 | H | H | 2-SOMe | 1,2,4-triazol-1-yl |
| 1139 | H | H | 2-SO2Me | 1,2,4-triazol-1-yl |
| 1140 | H | H | 2-Me | 1,2,4-triazol-1-yl |
| 1141 | Me | H | 2-F | 1,2,4-triazol-1-yl |
| 1142 | Me | H | 2-SMe | 1,2,4-triazol-1-yl |
| 1143 | Me | H | 2-SOMe | 1,2,4-triazol-1-yl |
| 1144 | Me | H | 2-SO2Me | 1,2,4-triazol-1-yl |
| 1145 | Me | H | 2-Me | 1,2,4-triazol-1-yl |
| 1146 | cPr | H | 2-F | 1,2,4-triazol-1-yl |
| 1147 | cPr | H | 2-Cl | 1,2,4-triazol-1-yl |
| 1148 | cPr | H | 2-Br | 1,2,4-triazol-1-yl |
| 1149 | cPr | H | 2-SMe | 1,2,4-triazol-1-yl |
| 1150 | cPr | H | 2-SOMe | 1,2,4-triazol-1-yl |
| 1151 | cPr | H | 2-SO2Me | 1,2,4-triazol-1-yl |
| 1152 | cPr | H | 2-Me | 1,2,4-triazol-1-yl |
| 1153 | cPr | SO2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1154 | cPr | CH2CO(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1155 | cPr | CH2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1156 | cPr | H | 2-CF3 | 1,2,4-triazol-1-yl |

TABLE 7

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 726 | Me | SO2(4-Me Ph) | 4-Br | 1,2,3-triazol-1-yl |
| 727 | Me | SO2(4-Me Ph) | 4-CF3 | 1,2,3-triazol-1-yl |
| 728 | Me | SO2(4-Me Ph) | 4-Cl | 1,2,3-triazol-1-yl |
| 729 | Me | SO2(4-Me Ph) | 4-F | 1,2,3-triazol-1-yl |
| 730 | Me | SO2(4-Me Ph) | 4-SMe | 1,2,3-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 731 | Me | SO2(4-Me Ph) | 4-Br | 1,2,3-triazol-2-yl |
| 732 | Me | SO2(4-Me Ph) | 4-CF3 | 1,2,3-triazol-2-yl |
| 733 | Me | SO2(4-Me Ph) | 4-Cl | 1,2,3-triazol-2-yl |
| 734 | Me | SO2(4-Me Ph) | 4-F | 1,2,3-triazol-2-yl |
| 735 | Me | SO2(4-Me Ph) | 4-SMe | 1,2,3-triazol-2-yl |
| 736 | Me | SO2(4-Me Ph) | 3,4-Cl2 | 1,2,4-triazol-1-yl |
| 737 | Me | SO2(4-Me Ph) | 3,4-F2 | 1,2,4-triazol-1-yl |
| 738 | Me | SO2(4-Me Ph) | 3-Br | 1,2,4-triazol-1-yl |
| 739 | Me | SO2(4-Me Ph) | 3-CF3 | 1,2,4-triazol-1-yl |
| 740 | Me | SO2(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 741 | Me | CH2CO(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 742 | Me | SO2(4-Me Ph) | 3-CH2SOMe | 1,2,4-triazol-1-yl |
| 743 | Me | SO2(4-Me Ph) | 3-Cl | 1,2,4-triazol-1-yl |
| 744 | Me | SO2(4-Me Ph) | 3-F | 1,2,4-triazol-1-yl |
| 745 | Me | SO2(4-Me Ph) | 3-Me | 1,2,4-triazol-1-yl |
| 746 | Me | SO2(4-Me Ph) | 3-Me-4-F | 1,2,4-triazol-1-yl |
| 747 | Me | SO2(4-Me Ph) | 3-Me-4-SMe | 1,2,4-triazol-1-yl |
| 748 | Me | SO2(4-Me Ph) | 3-OMe | 1,2,4-triazol-1-yl |
| 749 | Me | SO2(4-Me Ph) | 3-SMe | 1,2,4-triazol-1-yl |
| 750 | Me | SO2(4-Me Ph) | 3-SMe-4-F | 1,2,4-triazol-1-yl |
| 751 | Me | SO2(4-Me Ph) | 3-SMe-4-OMe | 1,2,4-triazol-1-yl |
| 752 | Me | SO2(4-Me Ph) | 3-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 753 | Me | SO2(4-Me Ph) | 3-SO2Me | 1,2,4-triazol-1-yl |
| 754 | Me | SO2(4-Me Ph) | 3-SO2Me-4-F | 1,2,4-triazol-1-yl |
| 755 | Me | SO2(4-Me Ph) | 3-SO2Me-4-OMe | 1,2,4-triazol-1-yl |
| 756 | Me | SO2(4-Me Ph) | 3-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 757 | Me | SO2(4-Me Ph) | 3-SOMe | 1,2,4-triazol-1-yl |
| 758 | Me | SO2(4-Me Ph) | 3-SOMe-4-F | 1,2,4-triazol-1-yl |
| 759 | Me | SO2(4-Me Ph) | 3-SOMe-4-OMe | 1,2,4-triazol-1-yl |
| 760 | Me | SO2(4-Me Ph) | 4-Br | 1,2,4-triazol-1-yl |
| 761 | Me | H | 4-CF3 | 1,2,4-triazol-1-yl |
| 762 | Me | CH2COPh | 4-CF3 | 1,2,4-triazol-1-yl |
| 763 | Me | Me | 4-CF3 | 1,2,4-triazol-1-yl |
| 764 | Me | Et | 4-CF3 | 1,2,4-triazol-1-yl |
| 765 | Me | iPr | 4-CF3 | 1,2,4-triazol-1-yl |
| 766 | Me | CH2Ph | 4-CF3 | 1,2,4-triazol-1-yl |
| 767 | Me | CH2CO2Me | 4-CF3 | 1,2,4-triazol-1-yl |
| 768 | Me | CH(CO2Me)Me | 4-CF3 | 1,2,4-triazol-1-yl |
| 769 | Me | CH2CH=CH2 | 4-CF3 | 1,2,4-triazol-1-yl |
| 770 | Me | prop-2-ynyl | 4-CF3 | 1,2,4-triazol-1-yl |
| 771 | Me | SO2Ph | 4-CF3 | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 772 | Me | COMe | 4-CF3 | 1,2,4-triazol-1-yl |
| 773 | Me | COtBu | 4-CF3 | 1,2,4-triazol-1-yl |
| 774 | Me | SO2(4-Me Ph) | 4-CF3 | 1,2,4-triazol-1-yl |
| 775 | Me | SO2iPr | 4-CF3 | 1,2,4-triazol-1-yl |
| 776 | Me | CH2CO(4-Me Ph) | 4-CF3 | 1,2,4-triazol-1-yl |
| 777 | H | SO2(4-Me Ph) | 4-CF3 | 1,2,4-triazol-1-yl |
| 778 | H | H | 4-CF3 | 1,2,4-triazol-1-yl |
| 779 | Me | SO2(4-Me Ph) | 4-Cl | 1,2,4-triazol-1-yl |
| 780 | Me | SO2(4-Me Ph) | 4-F | 1,2,4-triazol-1-yl |
| 781 | Me | SO2(4-Me Ph) | 4-Me | 1,2,4-triazol-1-yl |
| 782 | Me | SO2(4-Me Ph) | 4-OMe | 1,2,4-triazol-1-yl |
| 783 | Me | SO2(4-Me Ph) | 4-SMe | 1,2,4-triazol-1-yl |
| 784 | Me | SO2(4-Me Ph) | 4-SO2Me | 1,2,4-triazol-1-yl |
| 785 | Me | SO2(4-Me Ph) | 4-SOMe | 1,2,4-triazol-1-yl |
| 786 | Me | SO2(4-Me Ph) | - | 1,2,4-triazol-1-yl |
| 787 | Me | SO2(4-Me Ph) | 4-Br | imidazol-1-yl |
| 788 | Me | SO2(4-Me Ph) | 4-CF3 | imidazol-1-yl |
| 789 | Me | SO2(4-Me Ph) | 4-Cl | imidazol-1-yl |
| 790 | Me | SO2(4-Me Ph) | 4-F | imidazol-1-yl |
| 791 | Me | SO2(4-Me Ph) | 4-SMe | imidazol-1-yl |
| 792 | Me | SO2(4-Me Ph) | - | pyrazol-1-yl |
| 793 | Me | SO2(4-Me Ph) | 4-Br | tetrazol-1-yl |
| 794 | Me | SO2(4-Me Ph) | 4-CF3 | tetrazol-1-yl |
| 795 | Me | SO2(4-Me Ph) | 4-Cl | tetrazol-1-yl |
| 796 | Me | SO2(4-Me Ph) | 4-F | tetrazol-1-yl |
| 797 | Me | SO2(4-Me Ph) | 4-SMe | tetrazol-1-yl |
| 798 | Me | SO2(4-Me Ph) | 4-Br | benzimidazol-1-yl |
| 799 | Me | SO2(4-Me Ph) | 4-CF3 | benzimidazol-1-yl |
| 800 | Me | SO2(4-Me Ph) | 4-Cl | benzimidazol-1-yl |
| 801 | Me | SO2(4-Me Ph) | 4-F | benzimidazol-1-yl |
| 802 | Me | SO2(4-Me Ph) | 4-SMe | benzimidazol-1-yl |
| 803 | Me | CH2CO(4-Me Ph) | 4-Br | 1,2,3-triazol-1-yl |
| 804 | Me | CH2CO(4-Me Ph) | 4-CF3 | 1,2,3-triazol-1-yl |
| 805 | Me | CH2CO(4-Me Ph) | 4-Cl | 1,2,3-triazol-1-yl |
| 806 | Me | CH2CO(4-Me Ph) | 4-F | 1,2,3-triazol-1-yl |
| 807 | Me | CH2CO(4-Me Ph) | 4-SMe | 1,2,3-triazol-1-yl |
| 808 | Me | CH2CO(4-Me Ph) | 4-Br | 1,2,3-triazol-2-yl |
| 809 | Me | CH2CO(4-Me Ph) | 4-CF3 | 1,2,3-triazol-2-yl |
| 810 | Me | CH2CO(4-Me Ph) | 4-Cl | 1,2,3-triazol-2-yl |
| 811 | Me | CH2CO(4-Me Ph) | 4-F | 1,2,3-triazol-2-yl |
| 812 | Me | CH2CO(4-Me Ph) | 4-SMe | 1,2,3-triazol-2-yl |

| Cpd N. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 813 | Me | CH2CO(4-Me Ph) | 3,4-Cl2 | 1,2,4-triazol-1-yl |
| 814 | Me | CH2CO(4-Me Ph) | 3,4-F2 | 1,2,4-triazol-1-yl |
| 815 | Me | CH2CO(4-Me Ph) | 3-Br | 1,2,4-triazol-1-yl |
| 816 | Me | CH2CO(4-Me Ph) | 3-CF3 | 1,2,4-triazol-1-yl |
| 817 | Me | CH2(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 818 | H | SO2(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 819 | Me | CH2CO(4-Me Ph) | 3-CH2SOMe | 1,2,4-triazol-1-yl |
| 820 | Me | CH2CO(4-Me Ph) | 3-Cl | 1,2,4-triazol-1-yl |
| 821 | Me | CH2CO(4-Me Ph) | 3-F | 1,2,4-triazol-1-yl |
| 822 | Me | CH2CO(4-Me Ph) | 3-Me | 1,2,4-triazol-1-yl |
| 823 | Me | CH2CO(4-Me Ph) | 3-Me-4-F | 1,2,4-triazol-1-yl |
| 824 | Me | CH2CO(4-Me Ph) | 3-Me-4-SMe | 1,2,4-triazol-1-yl |
| 825 | Me | CH2CO(4-Me Ph) | 3-OMe | 1,2,4-triazol-1-yl |
| 826 | Me | CH2CO(4-Me Ph) | 3-SMe | 1,2,4-triazol-1-yl |
| 827 | Me | CH2CO(4-Me Ph) | 3-SMe-4-F | 1,2,4-triazol-1-yl |
| 828 | Me | CH2CO(4-Me Ph) | 3-SMe-4-OMe | 1,2,4-triazol-1-yl |
| 829 | Me | CH2CO(4-Me Ph) | 3-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 830 | Me | CH2CO(4-Me Ph) | 3-SO2Me | 1,2,4-triazol-1-yl |
| 831 | Me | CH2CO(4-Me Ph) | 3-SO2Me-4-F | 1,2,4-triazol-1-yl |
| 832 | Me | CH2CO(4-Me Ph) | 3-SO2Me-4-OMe | 1,2,4-triazol-1-yl |
| 833 | Me | CH2CO(4-Me Ph) | 3-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 834 | Me | CH2CO(4-Me Ph) | 3-SOMe | 1,2,4-triazol-1-yl |
| 835 | Me | CH2CO(4-Me Ph) | 3-SOMe-4-F | 1,2,4-triazol-1-yl |
| 836 | Me | CH2CO(4-Me Ph) | 3-SOMe-4-OMe | 1,2,4-triazol-1-yl |
| 837 | Me | CH2CO(4-Me Ph) | 4-Br | 1,2,4-triazol-1-yl |
| 838 | H | CH2CO(4-Me Ph) | 4-CF3 | 1,2,4-triazol-1-yl |
| 839 | Me | CH2CO(4-Me Ph) | 4-Cl | 1,2,4-triazol-1-yl |
| 840 | Me | CH2CO(4-Me Ph) | 4-F | 1,2,4-triazol-1-yl |
| 841 | Me | CH2CO(4-Me Ph) | 4-Me | 1,2,4-triazol-1-yl |
| 842 | Me | CH2CO(4-Me Ph) | 4-OMe | 1,2,4-triazol-1-yl |
| 843 | Me | CH2CO(4-Me Ph) | 4-SMe | 1,2,4-triazol-1-yl |
| 844 | Me | CH2CO(4-Me Ph) | 4-SO2Me | 1,2,4-triazol-1-yl |
| 845 | Me | CH2CO(4-Me Ph) | 4-SOMe | 1,2,4-triazol-1-yl |
| 846 | Me | CH2CO(4-Me Ph) | - | 1,2,4-triazol-1-yl |
| 847 | Me | CH2CO(4-Me Ph) | 4-Br | imidazol-1-yl |
| 848 | Me | CH2CO(4-Me Ph) | 4-CF3 | imidazol-1-yl |
| 849 | Me | CH2CO(4-Me Ph) | 4-Cl | imidazol-1-yl |
| 850 | Me | CH2CO(4-Me Ph) | 4-F | imidazol-1-yl |
| 851 | Me | CH2CO(4-Me Ph) | 4-SMe | imidazol-1-yl |
| 852 | Me | CH2CO(4-Me Ph) | - | pyrazol-1-yl |
| 853 | Me | CH2CO(4-Me Ph) | 4-Br | tetrazol-1-yl |

| Cpd N. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 854 | Me | CH2CO(4-Me Ph) | 4-CF3 | tetrazol-1-yl |
| 855 | Me | CH2CO(4-Me Ph) | 4-Cl | tetrazol-1-yl |
| 856 | Me | CH2CO(4-Me Ph) | 4-F | tetrazol-1-yl |
| 857 | Me | CH2CO(4-Me Ph) | 4-SMe | tetrazol-1-yl |
| 858 | Me | CH2CO(4-Me Ph) | 4-Br | benzimidazol-1-yl |
| 859 | Me | CH2CO(4-Me Ph) | 4-CF3 | benzimidazol-1-yl |
| 860 | Me | CH2CO(4-Me Ph) | 4-Cl | benzimidazol-1-yl |
| 861 | Me | CH2CO(4-Me Ph) | 4-F | benzimidazol-1-yl |
| 862 | Me | CH2CO(4-Me Ph) | 4-SMe | benzimidazol-1-yl |
| 863 | Me | CH2(4-Me Ph) | 4-Br | 1,2,3-triazol-1-yl |
| 864 | Me | CH2(4-Me Ph) | 4-CF3 | 1,2,3-triazol-1-yl |
| 865 | Me | CH2(4-Me Ph) | 4-Cl | 1,2,3-triazol-1-yl |
| 866 | Me | CH2(4-Me Ph) | 4-F | 1,2,3-triazol-1-yl |
| 867 | Me | CH2(4-Me Ph) | 4-SMe | 1,2,3-triazol-1-yl |
| 868 | Me | CH2(4-Me Ph) | 4-Br | 1,2,3-triazol-2-yl |
| 869 | Me | CH2(4-Me Ph) | 4-CF3 | 1,2,3-triazol-2-yl |
| 870 | Me | CH2(4-Me Ph) | 4-Cl | 1,2,3-triazol-2-yl |
| 871 | Me | CH2(4-Me Ph) | 4-F | 1,2,3-triazol-2-yl |
| 872 | Me | CH2(4-Me Ph) | 4-SMe | 1,2,3-triazol-2-yl |
| 873 | Me | CH2(4-Me Ph) | 3,4-Cl2 | 1,2,4-triazol-1-yl |
| 874 | Me | CH2(4-Me Ph) | 3,4-F2 | 1,2,4-triazol-1-yl |
| 875 | Me | CH2(4-Me Ph) | 3-Br | 1,2,4-triazol-1-yl |
| 876 | Me | CH2(4-Me Ph) | 3-CF3 | 1,2,4-triazol-1-yl |
| 877 | H | CH2CO(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 878 | H | CH2(4-Me Ph) | 3-CH2SO2Me | 1,2,4-triazol-1-yl |
| 879 | Me | CH2(4-Me Ph) | 3-CH2SOMe | 1,2,4-triazol-1-yl |
| 880 | Me | CH2(4-Me Ph) | 3-Cl | 1,2,4-triazol-1-yl |
| 881 | Me | CH2(4-Me Ph) | 3-F | 1,2,4-triazol-1-yl |
| 882 | Me | CH2(4-Me Ph) | 3-Me | 1,2,4-triazol-1-yl |
| 883 | Me | CH2(4-Me Ph) | 3-Me-4-F | 1,2,4-triazol-1-yl |
| 884 | Me | CH2(4-Me Ph) | 3-Me-4-SMe | 1,2,4-triazol-1-yl |
| 885 | Me | CH2(4-Me Ph) | 3-OMe | 1,2,4-triazol-1-yl |
| 886 | Me | CH2(4-Me Ph) | 3-SMe | 1,2,4-triazol-1-yl |
| 887 | Me | CH2(4-Me Ph) | 3-SMe-4-F | 1,2,4-triazol-1-yl |
| 888 | Me | CH2(4-Me Ph) | 3-SMe-4-OMe | 1,2,4-triazol-1-yl |
| 889 | Me | CH2(4-Me Ph) | 3-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 890 | Me | CH2(4-Me Ph) | 3-SO2Me | 1,2,4-triazol-1-yl |
| 891 | Me | CH2(4-Me Ph) | 3-SO2Me-4-F | 1,2,4-triazol-1-yl |
| 892 | Me | CH2(4-Me Ph) | 3-SO2Me-4-OMe | 1,2,4-triazol-1-yl |
| 893 | Me | CH2(4-Me Ph) | 3-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 894 | Me | CH2(4-Me Ph) | 3-SOMe | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 895 | Me | CH2(4-Me Ph) | 3-SOMe-4-F | 1,2,4-triazol-1-yl |
| 896 | Me | CH2(4-Me Ph) | 3-SOMe-4-OMe | 1,2,4-triazol-1-yl |
| 897 | Me | CH2(4-Me Ph) | 4-Br | 1,2,4-triazol-1-yl |
| 898 | Me | CH2(4-Me Ph) | 4-CF3 | 1,2,4-triazol-1-yl |
| 899 | Me | CH2(4-Me Ph) | 4-Cl | 1,2,4-triazol-1-yl |
| 900 | Me | CH2(4-Me Ph) | 4-F | 1,2,4-triazol-1-yl |
| 901 | Me | CH2(4-Me Ph) | 4-Me | 1,2,4-triazol-1-yl |
| 902 | Me | CH2(4-Me Ph) | 4-OMe | 1,2,4-triazol-1-yl |
| 903 | Me | CH2(4-Me Ph) | 4-SMe | 1,2,4-triazol-1-yl |
| 904 | Me | CH2(4-Me Ph) | 4-SO2Me | 1,2,4-triazol-1-yl |
| 905 | Me | CH2(4-Me Ph) | 4-SOMe | 1,2,4-triazol-1-yl |
| 906 | Me | CH2(4-Me Ph) | - | 1,2,4-triazol-1-yl |
| 907 | Me | CH2(4-Me Ph) | 4-Br | imidazol-1-yl |
| 908 | Me | CH2(4-Me Ph) | 4-CF3 | imidazol-1-yl |
| 909 | Me | CH2(4-Me Ph) | 4-Cl | imidazol-1-yl |
| 910 | Me | CH2(4-Me Ph) | 4-F | imidazol-1-yl |
| 911 | Me | CH2(4-Me Ph) | 4-SMe | imidazol-1-yl |
| 912 | Me | CH2(4-Me Ph) | - | pyrazol-1-yl |
| 913 | Me | CH2(4-Me Ph) | 4-Br | tetrazol-1-yl |
| 914 | Me | CH2(4-Me Ph) | 4-CF3 | tetrazol-1-yl |
| 915 | Me | CH2(4-Me Ph) | 4-Cl | tetrazol-1-yl |
| 916 | Me | CH2(4-Me Ph) | 4-F | tetrazol-1-yl |
| 917 | Me | CH2(4-Me Ph) | 4-SMe | tetrazol-1-yl |
| 918 | Me | CH2(4-Me Ph) | 4-Br | benzimidazol-1-yl |
| 919 | Me | CH2(4-Me Ph) | 4-CF3 | benzimidazol-1-yl |
| 920 | Me | CH2(4-Me Ph) | 4-Cl | benzimidazol-1-yl |
| 921 | Me | CH2(4-Me Ph) | 4-F | benzimidazol-1-yl |
| 922 | Me | CH2(4-Me Ph) | 4-SMe | benzimidazol-1-yl |
| 923 | Me | SO2(4-Me Ph) | 4-Br | CH2-(triazol-1-yl) |
| 924 | Me | SO2(4-Me Ph) | 4-Cl | CH2-(triazol-1-yl) |
| 925 | Me | SO2(4-Me Ph) | 4-F | CH2-(triazol-1-yl) |
| 926 | Me | SO2(4-Me Ph) | 4-CF3 | CH2-(triazol-1-yl) |
| 927 | Me | SO2(4-Me Ph) | 4-OF3 | CH2-(triazol-1-yl) |
| 928 | Me | SO2(4-Me Ph) | 4-Me | CH2-(triazol-1-yl) |
| 1157 | Me | H | 4-F | 1,2,4-triazol-1-yl |
| 1158 | Me | H | 4-Cl | 1,2,4-triazol-1-yl |
| 1159 | Me | H | 4-Br | 1,2,4-triazol-1-yl |
| 1160 | Me | H | 4-SMe | 1,2,4-triazol-1-yl |
| 1161 | Me | H | 4-SOMe | 1,2,4-triazol-1-yl |
| 1162 | Me | H | 4-SO2Me | 1,2,4-triazol-1-yl |
| 1163 | Me | H | 4-Me | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 941 | Me | SO2(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,3-triazol-1-yl |
| 942 | Me | SO2(4-Me Ph) | 2-SOMe | 1,2,3-triazol-1-yl |
| 943 | Me | SO2(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 944 | Me | H | 2-CF3 | 1,2,4-triazol-1-yl |
| 945 | Me | CH2COPh | 2-CF3 | 1,2,4-triazol-1-yl |
| 946 | Me | Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 947 | Me | Et | 2-CF3 | 1,2,4-triazol-1-yl |
| 948 | Me | iPr | 2-CF3 | 1,2,4-triazol-1-yl |
| 949 | Me | CH2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 950 | Me | CH2CO2Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 951 | Me | CH(CO2Me)Me | 2-CF3 | 1,2,4-triazol-1-yl |
| 952 | Me | CH2CH=CH2 | 2-CF3 | 1,2,4-triazol-1-yl |
| 953 | Me | prop-2-ynyl | 2-CF3 | 1,2,4-triazol-1-yl |
| 954 | Me | SO2Ph | 2-CF3 | 1,2,4-triazol-1-yl |
| 955 | Me | COMe | 2-CF3 | 1,2,4-triazol-1-yl |
| 956 | Me | COPh | 2-CF3 | 1,2,4-triazol-1-yl |
| 957 | Me | COtBu | 2-CF3 | 1,2,4-triazol-1-yl |
| 958 | Me | SO2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 959 | Me | SO2iPr | 2-CF3 | 1,2,4-triazol-1-yl |
| 960 | Me | CH2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 961 | Me | CH2CO(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 962 | Me | SO2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 963 | Me | SO2(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |
| 964 | Me | SO2(4-Me Ph) | 2-F | 1,2,4-triazol-1-yl |
| 965 | Me | SO2(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 966 | Me | SO2(4-Me Ph) | 2-SMe-4-Br | 1,2,4-triazol-1-yl |
| 967 | Me | SO2(4-Me Ph) | 2-SMe-4-CF3 | 1,2,4-triazol-1-yl |
| 968 | Me | SO2(4-Me Ph) | 2-SMe-4-Cl | 1,2,4-triazol-1-yl |
| 969 | Me | SO2(4-Me Ph) | 2-SMe-4-F | 1,2,4-triazol-1-yl |
| 970 | Me | SO2(4-Me Ph) | 2-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 971 | Me | SO2(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 972 | Me | SO2(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 973 | Me | SO2(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 974 | Me | SO2(4-Me Ph) | 2-Br | imidazol-1-yl |
| 975 | Me | SO2(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 976 | Me | SO2(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 977 | Me | SO2(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 978 | Me | SO2(4-Me Ph) | 2-F | imidazol-1-yl |
| 979 | Me | SO2(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 980 | Me | SO2(4-Me Ph) | 2-SMe-4-Br | imidazol-1-yl |
| 981 | Me | SO2(4-Me Ph) | 2-SMe-4-CF3 | imidazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 982 | Me | SO2(4-Me Ph) | 2-SMe-4-Cl | imidazol-1-yl |
| 983 | Me | SO2(4-Me Ph) | 2-SMe-4-F | imidazol-1-yl |
| 984 | Me | SO2(4-Me Ph) | 2-SMe-4-SMe | imidazol-1-yl |
| 985 | Me | SO2(4-Me Ph) | 2-SO2Me | imidazol-1-yl |
| 986 | Me | SO2(4-Me Ph) | 2-SO2Me-4-SO2Me | imidazol-1-yl |
| 987 | Me | SO2(4-Me Ph) | 2-SOMe | imidazol-1-yl |
| 988 | Me | SO2(4-Me Ph) | 2-Br | tetrazol-1-yl |
| 989 | Me | SO2(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 990 | Me | SO2(4-Me Ph) | 2-CH2SMe | tetrazol-1-yl |
| 991 | Me | SO2(4-Me Ph) | 2-Cl | tetrazol-1-yl |
| 992 | Me | SO2(4-Me Ph) | 2-F | tetrazol-1-yl |
| 993 | Me | SO2(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 994 | Me | SO2(4-Me Ph) | 2-SMe-4-Br | tetrazol-1-yl |
| 995 | Me | SO2(4-Me Ph) | 2-SMe-4-CF3 | tetrazol-1-yl |
| 996 | Me | SO2(4-Me Ph) | 2-SMe-4-Cl | tetrazol-1-yl |
| 997 | Me | SO2(4-Me Ph) | 2-SMe-4-F | tetrazol-1-yl |
| 998 | Me | SO2(4-Me Ph) | 2-SMe-4-SMe | tetrazol-1-yl |
| 999 | Me | SO2(4-Me Ph) | 2-SO2Me | tetrazol-1-yl |
| 1000 | Me | SO2(4-Me Ph) | 2-SO2Me-4-SO2Me | tetrazol-1-yl |
| 1001 | Me | SO2(4-Me Ph) | 2-SOMe | tetrazol-1-yl |
| 1002 | Me | CH2CO(4-Me Ph) | 2-Br | 1,2,3-triazol-1-yl |
| 1003 | Me | CH2CO(4-Me Ph) | 2-CF3 | 1,2,3-triazol-1-yl |
| 1004 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 1005 | Me | CH2CO(4-Me Ph) | 2-Cl | 1,2,3-triazol-1-yl |
| 1006 | Me | CH2CO(4-Me Ph) | 2-F | 1,2,3-triazol-1-yl |
| 1007 | Me | CH2CO(4-Me Ph) | 2-SMe | 1,2,3-triazol-1-yl |
| 1008 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Br | 1,2,3-triazol-1-yl |
| 1009 | Me | CH2CO(4-Me Ph) | 2-SMe-4-CF3 | 1,2,3-triazol-1-yl |
| 1010 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Cl | 1,2,3-triazol-1-yl |
| 1011 | Me | CH2CO(4-Me Ph) | 2-SMe-4-F | 1,2,3-triazol-1-yl |
| 1012 | Me | CH2CO(4-Me Ph) | 2-SMe-4-SMe | 1,2,3-triazol-1-yl |
| 1013 | Me | CH2CO(4-Me Ph) | 2-SO2Me | 1,2,3-triazol-1-yl |
| 1014 | Me | CH2CO(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,3-triazol-1-yl |
| 1015 | Me | CH2CO(4-Me Ph) | 2-SOMe | 1,2,3-triazol-1-yl |
| 1016 | Me | CH2CO(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 1017 | H | CH2CO(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1018 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 1019 | Me | CH2CO(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |
| 1020 | Me | CH2CO(4-Me Ph) | 2-F | 1,2,4-triazol-1-yl |
| 1021 | Me | CH2CO(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 1022 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Br | 1,2,4-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 1023 | Me | CH2CO(4-Me Ph) | 2-SMe-4-CF3 | 1,2,4-triazol-1-yl |
| 1024 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Cl | 1,2,4-triazol-1-yl |
| 1025 | Me | CH2CO(4-Me Ph) | 2-SMe-4-F | 1,2,4-triazol-1-yl |
| 1026 | Me | CH2CO(4-Me Ph) | 2-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 1027 | Me | CH2CO(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 1028 | Me | CH2CO(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 1029 | Me | CH2CO(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 1030 | Me | CH2CO(4-Me Ph) | 2-Br | imidazol-1-yl |
| 1031 | Me | CH2CO(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 1032 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 1033 | Me | CH2CO(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 1034 | Me | CH2CO(4-Me Ph) | 2-F | imidazol-1-yl |
| 1035 | Me | CH2CO(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 1036 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Br | imidazol-1-yl |
| 1037 | Me | CH2CO(4-Me Ph) | 2-SMe-4-CF3 | imidazol-1-yl |
| 1038 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Cl | imidazol-1-yl |
| 1039 | Me | CH2CO(4-Me Ph) | 2-SMe-4-F | imidazol-1-yl |
| 1040 | Me | CH2CO(4-Me Ph) | 2-SMe-4-SMe | imidazol-1-yl |
| 1041 | Me | CH2CO(4-Me Ph) | 2-SO2Me | imidazol-1-yl |
| 1042 | Me | CH2CO(4-Me Ph) | 2-SO2Me-4-SO2Me | imidazol-1-yl |
| 1043 | Me | CH2CO(4-Me Ph) | 2-SOMe | imidazol-1-yl |
| 1044 | Me | CH2CO(4-Me Ph) | 2-Br | tetrazol-1-yl |
| 1045 | Me | CH2CO(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 1046 | Me | CH2CO(4-Me Ph) | 2-CH2SMe | tetrazol-1-yl |
| 1047 | Me | CH2CO(4-Me Ph) | 2-Cl | tetrazol-1-yl |
| 1048 | Me | CH2CO(4-Me Ph) | 2-F | tetrazol-1-yl |
| 1049 | Me | CH2CO(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 1050 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Br | tetrazol-1-yl |
| 1051 | Me | CH2CO(4-Me Ph) | 2-SMe-4-CF3 | tetrazol-1-yl |
| 1052 | Me | CH2CO(4-Me Ph) | 2-SMe-4-Cl | tetrazol-1-yl |
| 1053 | Me | CH2CO(4-Me Ph) | 2-SMe-4-F | tetrazol-1-yl |
| 1054 | Me | CH2CO(4-Me Ph) | 2-SMe-4-SMe | tetrazol-1-yl |
| 1055 | Me | CH2CO(4-Me Ph) | 2-SO2Me | tetrazol-1-yl |
| 1056 | Me | CH2CO(4-Me Ph) | 2-SO2Me-4-SO2Me | tetrazol-1-yl |
| 1057 | Me | CH2CO(4-Me Ph) | 2-SOMe | tetrazol-1-yl |
| 1058 | Me | CH2(4-Me Ph) | 2-Br | 1,2,3-triazol-1-yl |
| 1059 | Me | CH2(4-Me Ph) | 2-CF3 | 1,2,3-triazol-1-yl |
| 1060 | Me | CH2(4-Me Ph) | 2-CH2SMe | 1,2,3-triazol-1-yl |
| 1061 | Me | CH2(4-Me Ph) | 2-Cl | 1,2,3-triazol-1-yl |
| 1062 | Me | CH2(4-Me Ph) | 2-F | 1,2,3-triazol-1-yl |
| 1063 | Me | CH2(4-Me Ph) | 2-SMe | 1,2,3-triazol-1-yl |

| Cpd No. | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 1064 | Me | CH2(4-Me Ph) | 2-SMe-4-Br | 1,2,3-triazol-1-yl |
| 1065 | Me | CH2(4-Me Ph) | 2-SMe-4-CF3 | 1,2,3-triazol-1-yl |
| 1066 | Me | CH2(4-Me Ph) | 2-SMe-4-Cl | 1,2,3-triazol-1-yl |
| 1067 | Me | CH2(4-Me Ph) | 2-SMe-4-F | 1,2,3-triazol-1-yl |
| 1068 | Me | CH2(4-Me Ph) | 2-SMe-4-SMe | 1,2,3-triazol-1-yl |
| 1069 | Me | CH2(4-Me Ph) | 2-SO2Me | 1,2,3-triazol-1-yl |
| 1070 | Me | CH2(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,3-triazol-1-yl |
| 1071 | Me | CH2(4-Me Ph) | 2-SOMe | 1,2,3-triazol-1-yl |
| 1072 | Me | CH2(4-Me Ph) | 2-Br | 1,2,4-triazol-1-yl |
| 1073 | H | CH2(4-Me Ph) | 2-CF3 | 1,2,4-triazol-1-yl |
| 1074 | Me | CH2(4-Me Ph) | 2-CH2SMe | 1,2,4-triazol-1-yl |
| 1075 | Me | CH2(4-Me Ph) | 2-Cl | 1,2,4-triazol-1-yl |
| 1076 | Me | CH2(4-Me Ph) | 2-F | 1,2,4-triazol-1-yl |
| 1077 | Me | CH2(4-Me Ph) | 2-SMe | 1,2,4-triazol-1-yl |
| 1078 | Me | CH2(4-Me Ph) | 2-SMe-4-Br | 1,2,4-triazol-1-yl |
| 1079 | Me | CH2(4-Me Ph) | 2-SMe-4-CF3 | 1,2,4-triazol-1-yl |
| 1080 | Me | CH2(4-Me Ph) | 2-SMe-4-Cl | 1,2,4-triazol-1-yl |
| 1081 | Me | CH2(4-Me Ph) | 2-SMe-4-F | 1,2,4-triazol-1-yl |
| 1082 | Me | CH2(4-Me Ph) | 2-SMe-4-SMe | 1,2,4-triazol-1-yl |
| 1083 | Me | CH2(4-Me Ph) | 2-SO2Me | 1,2,4-triazol-1-yl |
| 1084 | Me | CH2(4-Me Ph) | 2-SO2Me-4-SO2Me | 1,2,4-triazol-1-yl |
| 1085 | Me | CH2(4-Me Ph) | 2-SOMe | 1,2,4-triazol-1-yl |
| 1086 | Me | CH2(4-Me Ph) | 2-Br | imidazol-1-yl |
| 1087 | Me | CH2(4-Me Ph) | 2-CF3 | imidazol-1-yl |
| 1088 | Me | CH2(4-Me Ph) | 2-CH2SMe | imidazol-1-yl |
| 1089 | Me | CH2(4-Me Ph) | 2-Cl | imidazol-1-yl |
| 1090 | Me | CH2(4-Me Ph) | 2-F | imidazol-1-yl |
| 1091 | Me | CH2(4-Me Ph) | 2-SMe | imidazol-1-yl |
| 1092 | Me | CH2(4-Me Ph) | 2-SMe-4-Br | imidazol-1-yl |
| 1093 | Me | CH2(4-Me Ph) | 2-SMe-4-CF3 | imidazol-1-yl |
| 1094 | Me | CH2(4-Me Ph) | 2-SMe-4-Cl | imidazol-1-yl |
| 1095 | Me | CH2(4-Me Ph) | 2-SMe-4-F | imidazol-1-yl |
| 1096 | Me | CH2(4-Me Ph) | 2-SMe-4-SMe | imidazol-1-yl |
| 1097 | Me | CH2(4-Me Ph) | 2-SO2Me | imidazol-1-yl |
| 1098 | Me | CH2(4-Me Ph) | 2-SO2Me-4-SO2Me | imidazol-1-yl |
| 1099 | Me | CH2(4-Me Ph) | 2-SOMe | imidazol-1-yl |
| 1100 | Me | CH2(4-Me Ph) | 2-Br | tetrazol-1-yl |
| 1101 | Me | CH2(4-Me Ph) | 2-CF3 | tetrazol-1-yl |
| 1102 | Me | CH2(4-Me Ph) | 2-CH2SMe | tetrazol-1-yl |
| 1103 | Me | CH2(4-Me Ph) | 2-Cl | tetrazol-1-yl |
| 1104 | Me | CH2(4-Me Ph) | 2-F | tetrazol-1-yl |

| Cpd N . | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|
| 1105 | Me | CH2(4-Me Ph) | 2-SMe | tetrazol-1-yl |
| 1106 | Me | CH2(4-Me Ph) | 2-SMe-4-Br | tetrazol-1-yl |
| 1107 | Me | CH2(4-Me Ph) | 2-SMe-4-CF3 | tetrazol-1-yl |
| 1108 | Me | CH2(4-Me Ph) | 2-SMe-4-Cl | tetrazol-1-yl |
| 1109 | Me | CH2(4-Me Ph) | 2-SMe-4-F | tetrazol-1-yl |
| 1110 | Me | CH2(4-Me Ph) | 2-SMe-4-SMe | tetrazol-1-yl |
| 1111 | Me | CH2(4-Me Ph) | 2-SO2Me | tetrazol-1-yl |
| 1112 | Me | CH2(4-Me Ph) | 2-SO2Me-4-SO2Me | tetrazol-1-yl |
| 1113 | Me | CH2(4-Me Ph) | 2-SOMe | tetrazol-1-yl |

The following compounds of formula (Id) also form part of the present invention.

TABLE 9

| Cpd No. | Ra | Rb | Q | (R2)n | (XR3)z |
|---|---|---|---|---|---|
| 1188 | Et | H | H | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1189 | Et | H | SO2(4-Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1190 | Et | H | CH2CO(4Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1191 | Et | H | CH2(4Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1192 | Et | Me | H | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1193 | Et | Me | SO2(4-Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1194 | Et | Me | CH2CO(4Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1195 | Et | Me | CH2(4Me Ph) | 2-CF3 | 4-(1,2,4-triazol-1-yl) |
| 1196 | Et | H | H | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1197 | Et | H | SO2(4-Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1198 | Et | H | CH2CO(4Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1199 | Et | H | CH2(4Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1200 | Et | Me | H | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1201 | Et | Me | SO2(4-Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1202 | Et | Me | CH2CO(4Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |
| 1203 | Et | Me | CH2(4Me Ph) | 4-CF3 | 2-(1,2,4-triazol-1-yl) |

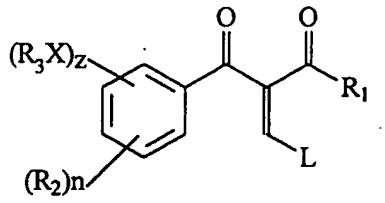
Compounds of formula (I) may be prepared by the application or adaptation of known methods (i.e. methods heretofore used or described in the literature), for example as hereinafter described.

In the following description where symbols appearing in formulae are not specifically defined, it is to be understood that they are "as hereinbefore defined" in accordance with the first definition of each symbol in the specification.

It is to be understood that in the descriptions of the following processes the sequences may be performed in different orders, and that suitable protecting groups may be required to achieve the compounds sought.

It is understood that when a process of the invention leads to the formation of a mixture of (Ia) and (Ib), these compounds may be separated by known methods.

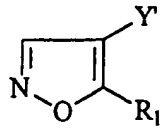
According to a feature of the present invention compounds of formula (Ia) or (Ib) in which R represents hydrogen and $R^1$, $R^2$, $R^3$, X, z and n are as defined above may be prepared by the reaction of a compound of formula (III):



(III)

wherein L is a leaving group and $R^1$, $R^2$, $R^3$, X, z and n are as hereinbefore defined, with hydroxylamine or a salt of hydroxylamine. Hydroxylamine hydrochloride is generally preferred. Generally L is alkoxy, for example ethoxy, or N,N-dialkylamino, for example dimethylamino. The reaction is generally carried out in an organic solvent such as ethanol or acetonitrile or a mixture of a water-miscible organic solvent and water, preferably in a ratio of organic solvent: water of from 1:99 to 99:1, optionally in the presence of a base or acid acceptor such as triethylamine or sodium acetate at a temperature from room temperature to the boiling point of the solvent. Compounds of formula (III) wherein X represents $-(CR^9R^{10})_v-$ and v represents zero are novel and as such constitute a further feature of the present invention.

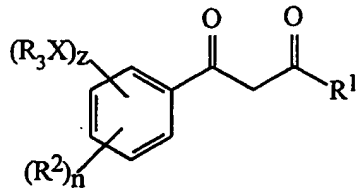
According to a further feature of the present invention compounds of formula (Ia) in which R represents hydrogen and $R^1$, $R^2$, $R^3$, X, z and n are as defined above may be prepared by the reaction of a compound of formula (IV):



(IV)

wherein $R^1$ is as hereinbefore defined and Y' represents a carboxy group or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an appropriate organometallic reagent such as a Grignard reagent or an organolithium reagent. The reaction is generally carried out in an inert solvent such as ether or tetrahydrofuran at a temperature from 0°C to the reflux temperature of the mixture.

According to a further feature of the present invention compounds of formula (Ia) or (Ib) wherein R represents $-CO_2R^4$ and $R^1$, $R^2$, $R^3$, X, z and n are as defined above, may be prepared by the reaction of a salt of a compound of formula (V):

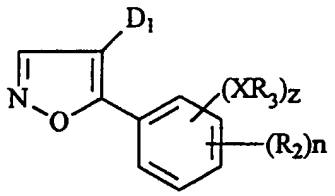


(V)

wherein $R^1$, $R^2$, $R^3$, X, z and n are as hereinbefore defined with a compound of formula $R^4O_2CC(Z^1)$=NOH wherein $R^4$ is as hereinbefore defined and $Z^1$ represents halogen preferably chlorine. Preferred salts include sodium or magnesium salts. The reaction is generally performed in an inert solvent such as dichloromethane or acetonitrile at a temperature between room temperature and the reflux temperature of the mixture. The salt of a compound of formula (V) is generally prepared in-situ by treating the compound of formula (V) with a base. Examples of suitable bases include alkaline earth metal alkoxides such as magnesium methoxide. Compounds of formula (V) wherein X represents $-(CR^9R^{10})_v-$ and v represents zero are novel and therefore constitute a further feature of the present invention.

According to a further feature of the present invention compounds of general formula (Ib) in which R represents hydrogen and $R^1$, $R^2$, $R^3$,

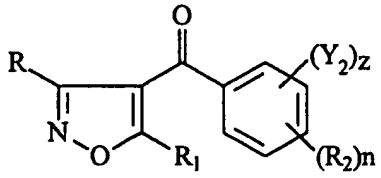
X, z and n are as defined above may be prepared by the reaction of a compound of formula (VI):

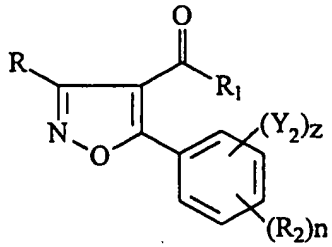


(VI)

in which $D^1$ represents a carboxy group, or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an appropriate organometallic reagent (for example Grignard or organolithium reagent), preferably of formula $R^1M^1$ in which $M^1$ is a metal halide such as magnesium bromide or a metal such as lithium. The reaction is generally carried out in an inert solvent such as ether or tetrahydrofuran, at a temperature from 0°C to the reflux temperature of the solvent. Compounds of formula (VI) wherein X represents $-(CR^9R^{10})_v-$ and v represents zero are novel and therefore constitute a further feature of the invention.

According to a further feature of the present invention compounds of formula (Ia) and (Ib) wherein R, $R^1$, $R^2$, $R^3$, X, z and n are as defined above, may be prepared by the reaction of a compound of formula (VII) or (VIII) respectively:





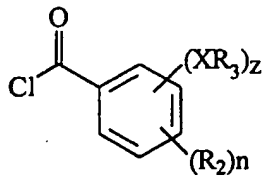
(VII) (VIII)

wherein R, $R^1$, $R^2$, $R^3$, z and n are as defined above and $Y^2$ represents a leaving group for example halogen (preferably fluoro), with a compound of formula $R^3$-H. The reaction is generally performed in the presence of a base, for example sodium hydride or caesium carbonate, in an inert solvent, for example N,N-dimethylformamide at a

temperature from 0°C to 100°C. The reaction is preferably carried out using compounds in which R represents $-CO_2R^4$.

According to a further feature of the present invention compounds of formula (Ic) may be prepared from the corresponding compound of formula (Ia) or (Ib) in which R is as defined above. Where R represents a hydrogen atom the reaction is preferably carried out by treatment with a base. Examples of suitable bases include alkali or alkaline earth metal hydroxides, alkoxides such as sodium ethoxide or organic bases such as triethylamine. Where R represents $-CO_2R^4$ the conversion is generally carried out by a hydrolytic reaction. The hydrolytic reaction may be performed in the presence of an acid or base. Acidic hydrolysis may be achieved for example using aqueous hydrochloric acid. Basic hydrolysis may be achieved for example using sodium hydroxide in a mixture of alcohol and water. The reactions are preferably carried out at a temperature between 20°C and the reflux temperature of the mixture.

According to a further feature of the present invention, compounds of formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined above may also be prepared by the reaction of a benzoyl chloride of formula (IX):




(IX)

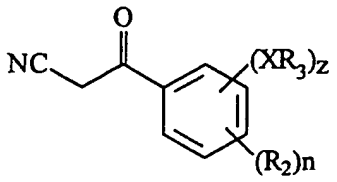
wherein $R^2$, $R^3$, X, z and n are as hereinbefore defined, with a beta-ketonitrile of formula (X):

$$R^1C(=O)CH_2CN \qquad (X)$$

wherein $R^1$ is as hereinbefore defined. The reaction is generally performed in the presence of a base, in a solvent or solvent mixture. Suitable bases include metal hydrides, hydroxides or alkoxides (e.g. sodium or lithium hydride, sodium hydroxide, potassium hydroxide, magnesium ethoxide or magnesium methoxide). Suitable solvents include for example tetrahydrofuran; hydrocarbons such as toluene; or halogenated hydrocarbons such as dichloromethane. The reaction is

generally performed at a temperature from 0°C to the reflux temperature.

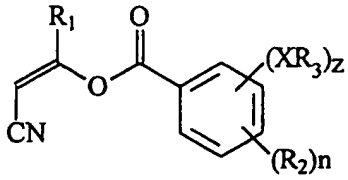
According to a further feature of the present invention, compounds of formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined above may also be prepared by the reaction of an acid chloride of formula $R^1COCl$ wherein $R^1$ is as hereinbefore defined, with a beta-ketonitrile of formula (XI):



(XI)

wherein $R^2$, $R^3$, X, z and n are as hereinbefore defined. The reaction is generally performed under the same conditions as described above for the reaction of compounds of formula (IX) with compounds of formula (X).

According to a further feature of the present invention compounds of formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined above may also be prepared by the reaction of a benzoyl chloride of formula (IX) above wherein $R^2$, $R^3$, X, z and n are as hereinbefore defined, with a beta-ketonitrile of formula (X) wherein $R^1$ is as hereinbefore defined, via an intermediate of formula (XII):

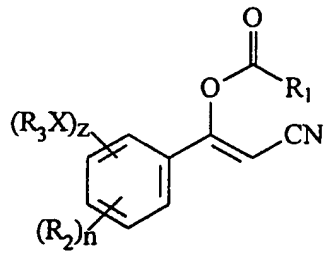


(XII)

wherein $R^1$, $R^2$, $R^3$, X, z and n are as hereinbefore defined. The formation of the intermediate of formula (XII) may be carried out in the presence of a mild base such as an organic base e.g. triethylamine, in an inert solvent such as acetonitrile or dichloromethane at a temperature between room temperature and the reflux temperature of the mixture. The rearrangement of the intermediate of formula (XII) to a compound of formula (Ic) is generally carried out in situ in an inert solvent such as

acetonitrile or dichloromethane in the presence of a catalyst such as a source of cyanide. Examples of such sources of cyanide are acetone cyanohydrin or an alkali metal cyanide such as potassium cyanide, optionally in the presence of a crown ether such as 18-crown-6.

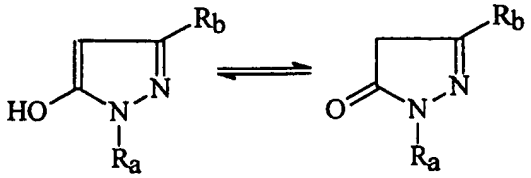
According to a further feature of the present invention compounds of formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined above, may be prepared by the reaction of an acid chloride of formula $R^1COCl$ wherein $R^1$ is as hereinbefore defined, with a beta-ketonitrile of formula (XI) wherein $R^2$, $R^3$, X, z and n are as hereinbefore defined via an intermediate of formula (XIII):



(XIII)

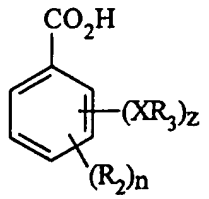
wherein $R^1$, $R^2$, $R^3$, X, z and n are as hereinbefore defined. The formation and rearrangement of the intermediate of formula (XIII) is generally carried out under the same conditions as described above for the formation and rearrangement of compounds of formula (XII).

According to a feature of the present invention compounds of formula (Id) wherein $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined above and Q represents hydrogen may be prepared by the reaction of a compound of formula (XIV):



(XIV) (XIVa)

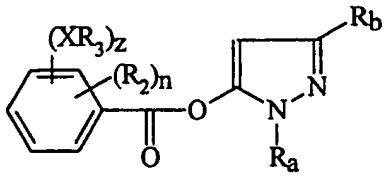
wherein $R^a$ and $R^b$ are as defined above, with a carboxylic acid of formula (XV):



(XV)

wherein $R^2$, $R^3$, X, n and z are as defined above. The compounds of formula (XIV) may exist as the tautomer (XIVa) and both forms may be used. The reaction is generally performed in the presence of a coupling agent, generally a carbodiimide such as N,N1-dicyclohexylcarbodiimide. One to 1.5 equivalents of the coupling agent are generally used. The reaction is preferably conducted in the presence of a base such as an alkali metal carbonate preferably potassium carbonate, and in a solvent such as an alcohol for example t-amyl alcohol, t-butanol or i-propanol, and at a temperature of about 20°C to the reflux temperature of the solvent and preferably 50-100°C.

According to a further feature of the present invention compounds of formula (Id) wherein $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined above and Q represents hydrogen may also be prepared by the reaction of a compound of formula (XIV) or (XIVa) above with an acid chloride of formula (IX) wherein $R^2$, $R^3$, X, n and z are as defined above, to give an ester of formula (XVI):



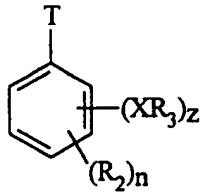
(XVI)

followed by rearrangement to give the compound of formula (Id). The first stage of this process to give the ester intermediates of formula (XVI) is generally performed in the presence of a base such as potassium carbonate, triethylamine or pyridine, and in a solvent such as toluene, acetone, dichloromethane, acetonitrile or tetrahydrofuran, at a temperature of from 0-60°C. The rearrangement process to give the compounds of formula (Id) wherein Q is hydrogen is generally performed using a Lewis acid such as aluminium chloride; or preferably

using a base for example potassium carbonate or calcium hydroxide. One to ten equivalents of the base are used, optionally in the presence of a solvent such as acetone, methyl ethyl ketone, t-amyl alcohol, t-butanol, dioxan, toluene or xylene, at a temperature of 50-150°C. The rearrangement process is preferably performed in the presence of a catalytic amount of the corresponding compound of formula (XIV). Alternatively the rearrangement process may be performed in the presence of a source of cyanide in the presence of a base. Cyanide sources include metal cyanides for example alkali metal cyanides such as sodium cyanide, hydrogen cyanide, or cyanhydrins of dialkyl ketones preferably acetone cyanhydrin. Generally up to 0.5 equivalent (preferably 0.1 equivalent) of cyanide source is employed. Suitable bases include trialkylamines such as triethylamine, or pyridine or alkali metal carbonates such as potassium carbonate. Generally 1-4 equivalents (preferably 2 equivalents) of the base is used. Solvents which may be used include toluene, acetonitrile, dichloromethane or preferably 1,2-dichloroethane. The reaction is generally performed at a temperature of from 0°C-60°C (generally at 20°C-30°C).

Compounds of formula (XVI) are novel and as such constitute a further feature of the invention.

According to a further feature of the present invention compounds of formula (Id) wherein $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined above and Q represents hydrogen may also be prepared by the reaction of a compound of formula (XIV) above with an aryl halide of formula (XVII):




(XVII)

wherein $R^2$, $R^3$, X, n and z are as defined above and T represents a bromine or iodine atom, in the presence of carbon monoxide and a palladium, nickel, cobalt or rhodium catalyst preferably bis(triphenylphosphine)palladium (II) chloride. The reaction is conducted in the presence of a base generally a trialkylamine such as

triethylamine in a solvent which may be water and a phase transfer catalyst for example tetrabutylammonium bromide.

According to a further feature of the present invention compounds of formula (Id) wherein $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined above and Q represents

According to a further feature of the present invention compounds of formula (Id) wherein $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined above and Q represents $-SO_2R^4$, $-SO_2R^{20}$, $-COR^{12}$, $-COR^{20}$, $-COCH_2OC(O)R^{16}$, $-COCH_2OR^{12}$, $-COCH_2OR^{20}$, $-CONR^5R^6$, $-CONR^{20}R^{21}$, $-CONR^{22}R^{23}$, $-CO_2R^{12}$, $-CO_2R^{20}$ or $-P(O)R^{5a}R^{5b}$, may be prepared by the reaction of the corresponding compound of formula (Id) wherein Q represents hydrogen, with an appropriately substituted sulphonyl halide, acyl halide, phosphonyl halide or phosphinyl halide (chlorides are the preferred halides). The reaction is generally performed using the same conditions as are employed for the above preparation of compounds of formula (Id) wherein Q represents alkyl and related derivatives.

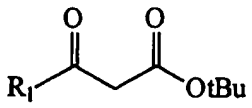
According to a further feature of the present invention compounds in which p or q is one or two may be prepared by the oxidation of the sulphur atom of the corresponding compounds in which p or q is 0 or 1. The oxidation of the sulphur atom is generally carried out using for example 3-chloroperoxybenzoic acid in an inert solvent such as dichloromethane at a temperature from -40°C to room temperature.

Intermediates in the preparation of compounds of formula (Ia), (Ib), (Ic) and (Id) may be prepared by the application or adaptation of known methods.

Compounds of formula (III) may be prepared by the reaction of compounds of formula (V) with either a trialkyl orthoformate such as triethyl orthoformate or a dimethylformamide dialkyl acetal such as dimethylformamide dimethyl acetal.

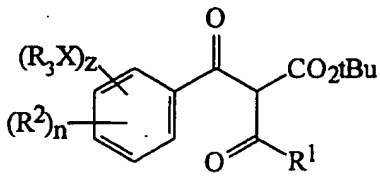
The reaction with a trialkyl orthoformate can be carried out in the presence of acetic anhydride at the reflux temperature of the mixture and the reaction with dialkylformamide dialkyl acetal can be carried out optionally in the presence of an inert solvent at a temperature from room temperature to the reflux temperature of the mixture.

Compounds of formula (V) may be prepared by the reaction of an acid chloride of formula (IX) with a metal salt of a compound of formula (XVIII):



(XVIII)

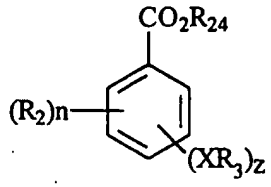
wherein $R^1$ is as hereinbefore defined, to give a compound of formula (XIX):



(XIX)

wherein $R^1$, $R^2$, $R^3$, X, z and n are as hereinbefore defined, which is subsequently decarboxylated to give a compound of formula (V). Generally the reaction to produce the compound of formula (XIX) is performed in a solvent such as a lower alcohol, preferably methanol, in the presence of a metal, preferably magnesium. The reaction may also be performed using a pre-prepared metal salt of a compound of formula (XVIII). The decarboxylation is generally performed by refluxing the compound of formula (XIX) in the presence of a catalyst, such as para-toluenesulphonic acid or trifluoroacetic acid, in an inert solvent e.g. toluene or 1,2-dichloroethane.

Compounds of formula (V) may also be prepared by the reaction of a benzoic acid ester of formula (XX):



(XX)

wherein $R^2$, $R^3$, X, z and n are as hereinbefore defined and $R^{24}$ represents a lower alkyl group (preferably methyl), with a compound of formula (XXI):

$R^1$-C(O)-$CH_3$ (XXI)

wherein $R^1$ is as hereinbefore defined. The reaction is generally performed in a solvent such as ether, tetrahydrofuran or N,N-dimethylformamide, in the presence of a base, preferably an alkali metal base such as sodium hydride, at a temperature from 0°C to the reflux temperature. A number of compounds of formula (XX) wherein X represents -$(CR^9R^{10})_v$- and v represents zero are novel and as such constitute a further feature of the present invention.

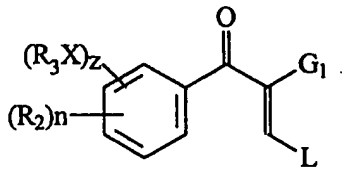
Intermediates of formula (VII) or (VIII) may be prepared by any of the processes described above for the preparation of a compound of formula (Ia) or (Ib) from the corresponding compounds of formula (III), (IV), (V) or (VI).

Acid chlorides of formula (IX) may be prepared by the reaction of a benzoic acid of formula (XV) with a chlorinating agent, for example thionyl chloride at the reflux temperature of the mixture. In some cases the benzoyl chlorides may also be prepared by reaction of the benzoic acid with oxalyl chloride in a solvent such as 1,2-dichloroethane at from ambient to reflux temperature.

A number of the benzoic acids of formula (XV) wherein X represents -$(CR^9R^{10})_v$- and v represents zero are novel and as such constitute a further feature of the present invention.

Esters of formula (XX) may be prepared from acids of formula (XV) according to known methods.

Compounds of general formula (VI) wherein $D^1$ is -$CO_2$-alkyl or -CN may be prepared by the reaction of compounds of general formula (XXII):



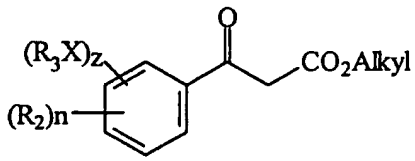

(XXII)

wherein $G^1$ represents $CO_2$-alkyl or -CN and L is as hereinbefore defined, with a salt of hydroxylamine such as hydroxylamine hydrochloride, in a solvent such as ethanol or acetonitrile, optionally in

the presence of a base or acid acceptor such as triethylamine or sodium acetate.

Compounds of general formula (VI) in which $D^1$ represents a carboxylic acid or carboxylic acid chloride may be prepared from the corresponding compound of general formula (VI) in which $D^1$ represents a carboxylic ester group by the hydrolysis of said ester group and conversion, as necessary, of the acid thus obtained to the acid chloride, e.g. by heating with thionyl chloride.

Compounds of general formula (XXII) may be prepared by the reaction of a ketonitrile of formula (XI) or a ketoester of formula (XXIII):




(XXIII)

with either triethyl orthoformate in the presence of acetic anhydride at the reflux temperature of the mixture or with dimethylformamide dimethylacetal optionally in an inert solvent such as toluene at a temperature from room temperature to the reflux temperature of the mixture.

Beta-ketonitriles of formula (X) may be prepared from acid chlorides of formula $R^1COCl$ by a number of methods well known in the chemical literature. For example, see Krauss, et al, Synthesis, **1983**, 308, or Muth, et al, J. Org. Chem, **1960**, 25, 736. Alternatively beta-ketonitriles of formula (X) may be prepared by the reaction of an ester of formula $R^1$-$CO_2Et$, wherein $R^1$ is as hereinbefore defined, with acetonitrile. This reaction is described in the literature, for example see the article by Abramovitch and Hauser, J.Am. Chem. Soc., **1942**, 64, 2720.

Beta-ketonitriles of formula (XI) may be prepared from benzoyl chlorides of formula (IX) or from corresponding ethyl benzoates in a manner analogous to the preparation of beta-ketonitriles of formula (X) set forth above.

Benzoic acids of formula (XV) or esters of formula (XX) in which $R^2$, $R^3$, $R^{24}$, X, z and n are as defined above, may be prepared by the reaction of the corresponding compound of formula (XV) or (XX) in which $R^3$ is replaced by a $Y^2$ ($Y^2$ is as defined above preferably fluorine), with a compound of formula $R^3$-H using the same procedure as described above for the preparation of compounds of formula (Ia) and (Ib) from compounds of formula (VII) and (VIII).

Intermediates of formula (IV), (XIV), (XVIII), (XXI) and (XXIII), are known or may be prepared by the application or adaptation of known methods.

Those skilled in the art will appreciate that some compounds of formula (I) may be prepared by the interconversion of other compounds of formula (I) and such interconversions constitute yet more features of the present invention.

The following non-limiting Examples illustrate the preparation of compounds of formula (I) and the Reference Examples illustrate the preparation of intermediates in their synthesis. NMR Spectra are recorded as d (ppm) in deuterochloroform as solvent (unless ortherwise stated).

**Example 1**

Ethyl 5-cyclopropyl-4-(4-fluoro-2-trifluoromethyl)benzoylisoxazole-3-carboxylate (0.74g) was added to a suspension of sodium hydride (60%, 0.12g) and 1,2,4-triazole (0.21g) in dry N,N-dimethylformamide at 20°C. The solution was stirred for 3 hours, poured into water, extracted (ethyl acetate), dried (magnesium sulphate) and evaporated. The residue was purified by chromatography to give ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate as a colourless solid (Compound 1, 0.79g), NMR 1.25(m,2H), 1.40(m,2H), 1.19(t,3H), 2.46(m,1H), 4.09(q,2H), 7.65(d,1H), 8.00(dd,1H), 8.16-8.23(m,3H), 8.80(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 2), NMR

1.25(m,2H), 1.38(m,2H), 1.19(t,3H), 2.47(m,1H), 2.70(s,3H), 4.09(q,2H), 7.61(d,1H), 7.92(dd,1H), 8.12(d,1H), 8.66(s,1H);

ethyl 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 3), NMR 1.18(m,2H), 1.37(m,2H), 1.92(t,3H), 2.39(m,1H), 3.92(q,2H), 7.50-7.85(m,5H), 8.28(s,1H), 8.48(s,1H), 8.58(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 4), NMR 1.20-1.40(m,4H), 1.23(t,3H), 2.45(m,1H), 4.15(q,2H), 7.62-7.90(m,3H), 8.15(s,1H), 8.69(s,1H);

ethyl 5-cyclopropyl-4-[2-iodo-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 5), NMR 1.20-1.40(m,4H), 1.24(t,3H), 2.40(m,1H), 4.15(q,2H), 7.52(d,1H),7.78(m,1H), 8.15(s,1H), 8.37(m,1H), 8.66(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 6), NMR 1.15-1.40(m,4H), 1.19(t,3H), 2.32(m,1H), 2.64(s,3H), 4.11(q,2H), 7.55(m,2H), 7.70(s,1H), 8.14(s,1H), 8.65(s,1H);

ethyl 5-cyclopropyl-4-[2-benzyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 7), NMR 1.15-1.30(m,4H), 1.22(t,3H), 2.00(m,1H), 4.18(q,2H), 4.34(s,2H),7.20-7.65(m,8H), 8.11(s,1H), 8.55(s,1H);

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 8), NMR 1.25(m,2H), 1.38(m,2H), 1.19(t,3H), 2.50(m,1H), 4.09(q,2H), 7.28(d,1H), 7.39(d,1H), 7.64(m,2H), 7.82(d,1H), 7.98(s,1H);

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)naphth-1-oyl]isoxazole-3-carboxylate (Compound 9), NMR 1.25(m,2H), 1.38(m,2H), 0.90(t,3H), 2.42(m,1H), 3.90(q,2H), 7.25-7.80(m,7H), 8.60(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 10), NMR 1.20-1.45(m,4H), 1.23(t,3H), 2.46(m,1H), 4.16(q,2H), 7.23-7.53(m,4H), 7.65(d,1H),7.95(s,1H) ;

ethyl 5-cyclopropyl-4-[4-(imidazol-1-yl)-2-iodobenzoyl]isoxazole-3-carboxylate (Compound 11), NMR 1.18-

1.42(m,4H), 1.23(t,3H), 2.40(m,1H), 4.14(q,2H), 7.25(s,1H),7.33(m,1H), 7.50(m,2H),7.93(s,1H), 8.02(m,1H);

ethyl 5-cyclopropyl-4-[4-(pyrazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 12), NMR 1.20-1.40(m,4H), 1.21(t,3H), 2.40(m,1H), 4.10(q,2H), 6.57(m,1H), 7.59(d,1H), 7.80(d,1H), 7.92(dd,1H), 8.04(d,1H), 8.20(d,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(pyrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 13), NMR 1.18-1.40(m,4H), 1.23(t,3H), 2.38(m,1H), 4.18(q,2H), 6.54(m,1H), 7.58-8.04(m,5H).

By proceeding in a similar manner and heating at 50°C the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 21), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.1 (s,3H) , 2.4 (bs,4H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.4 (d,1H) , 8.1 (s,1H) ,8.6 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 22), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.0 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.2 - 7.4 (m,4H) , 7.8 (s,1H);

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 23), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.8 (m,1H) , 8.1 (s,1H) , 8.7 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-3,4-bis-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 24), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.0 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.6 (d,1H) , 7.7 (d,1H) , 7.9 (s,1H) , 8.0 (s,1H)8.2 (s,1H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 25), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 8.2 (s,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 26), NMR 1.1 (t,3H) , 1.0 - 1.3 (m,4H) , 2.2 (m,1H) , 2.5 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.6 (d,1H) , 7.7 (s,1H) , 8.1 (s,1H) , 8.6 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 27), NMR 1.1 (t,3H), 1.0 - 1.3 (m,4H), 2.1 (m,1H), 2.4 (s,3H), 4.1 (q,2H), 7.1 (d,1H), 7.2 (s,1H), 7.3 (d,2H), 7.5 (d,1H), 7.8 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 28), NMR 1.1 (t,3H), 1.2 (t,3H), 1.0 - 1.3 (m,4H), 2.3 (m,1H), 2.9 (s,3H), 3.1 (q,2H), 4.1 (q,2H), 7.3 (s,2H), 8.1 (s,1H), 8.7 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 29), NMR 0.9 (d,6H), 1.2 (t,3H), 1.1 - 1.3 (m,4H), 2.0 (m,1H), 2.2 (m,1H), 2.8 (d,2H), 4.1 (q,2H), 7.5 (m,2H), 7.6 (s,1H), 8.1 (s,1H), 8.6 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 30), NMR 0.9 (d,6H), 1.2 (t,3H), 1.1 - 1.4 (m,4H), 2.0 (m,1H), 2.2 (m,1H), 2.8 (d,2H), 4.1 (q,2H), 7.2 - 7.4 (m,4H), 7.5 (d,1H), 8.0 (s,1H);

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 31), NMR 1.1 (t,3H), 1.1 - 1.3 (m,4H), 2.3 (m,1H), 2.4 (s,3H), 3.7 (s,3H), 4.1 (q,2H), 7.2 (d,1H), 7.7 (d,1H), 8.1 (s,1H), 8.9 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 32), NMR 1.2 (t,3H), 1.2 - 1.4 (m,4H), 2.1 (s,3H), 2.4 (s,3H), 2.5 (m,1H), 4.1 (q,2H), 7.4 (d,1H), 7.5 (d,1H), 7.9 (s,2H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 33), NMR 1.3 (t,3H), 1.2 - 1.4 (m,4H), 2.4 (m,1H), 2.4 (s,3H), 4.2 (q,2H), 7.4 (d,1H), 7.5 (d,1H), 7.9 (s,2H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 34), NMR 1.2 (t,3H), 1.2 - 1.4 (m,4H), 2.4 (s,3H), 2.5 (m,1H), 4.2 (q,2H), 7.4 (d,1H), 7.5 (d,1H), 7.9 (s,1H), 8.0 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 35), NMR 1.1 - 1.4 (m,4H), 1.1 (t,3H), 2.2 (m,1H), 2.6 (s,3H), 4.1 (q,2H), 7.6 (d,1H), 7.9 (m,3H), 8.1 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 36), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.1 (s,3H) , 2.3 (m,1H) , 2.4 (s,3H) , 2.5 (s,3H), 4.1 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 37), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 2.5 (s,3H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.5 (d,1H) , 8.4 (s,1H);

ethyl 5-cyclopropyl-4-[3-bromo-2-methylthio-4-(5-methyl-1,2,4-triazol-4-yl)benzoyl]isoxazole-3-carboxylate (Compound 38), NMR 1.2 - 1.4 (m,4H) , 1.3 (t,3H) , 2.3 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H), 7.4 (m,2H) , 8.0 (s,1H).

By proceeding in a similar manner and heating at 100°C the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[2-methylthiomethyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 39), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.0 (s,3H) , 2.1 (m,1H) , 4.0 (s,2H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 7.8 (s,1H) , 8.1 (s,1H) , 8.6 (s,1H);

ethyl 5-cyclopropyl-4-[2,3-bis-(methylthio)-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 40), NMR 1.2 (t,3H) , 1.2 - 1.4 (m,4H) , 2.2 (s,3H) , 2.4 (s,3H) , 2.5 (m,1H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 9.1 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 41), NMR 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 2.3 (m,1H) , 2.6 (s,3H) , 4.2 (q,2H) , 7.4 (d,1H) , 7.7 (d,1H) , 7.8 (s,1H) , 9.1 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 42), NMR 1.1 (t,3H) , 1.2 (t,3H) , 1.1 - 1.4 (m,4H) , 1.9 (s,3H) , 2.4 (m,1H) , 3.1 (q,2H) , 4.0 (q,2H) , 7.4 (m,2H) , 9.1 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 43), NMR 1.1 - 1.4 (m,4H) , 1.2 (t,3H) , 1.3 (t,3H) , 2.0 (s,3H) , 2.3 (m,1H) , 3.2 (q,2H) , 4.1 (q,2H) , 7.4 (s,2H) , 7.9 (s,2H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 44), NMR 1.1 - 1.4

(m,4H) , 1.2 (t,3H) , 1.3 (t,3H) , 1.9 (s,3H) , 2.4 (m,1H) , 3.1 (q,2H) , 4.1 (q,2H) , 7.4 (s,2H) , 7.9 (s,1H) , 8.2 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 45), NMR 0.9 (d,6H) , 1.1 (t,3H) , 1.0 - 1.3 (m,4H) , 1.9 (m,1H) , 2.1 (m,1H) , 2.7 (d,2H) , 4.1 (q,2H) , 7.5 (d,1H) , 7.6 (d,1H) , 7.7 (s,1H) , 7.8 (s,1H) , 8.0 (s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 46), NMR 1.1 (t,3H) , 1.1 - 1.4 (m,4H) , 2.2 (m,1H) , 2.5 (s,3H) , 2.6 (s,3H) , 4.1 (q,2H) , 7.3 (d,1H) , 7.6 (d,1H) , 7.7 (s,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-ethyl-3-methylthio-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 47), NMR 1.1 - 1.3 (m,7H) , 1.2 (t,3H) , 1.9 (s,3H) , 2.0 (s,3H) , 2.2 (m,1H) , 3.1 (q,2H), 4.1 (q,2H) , 7.2 (s,1H) , 7.3 (s,2H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(3-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 48), NMR 0.9 (d,6H) , 1.1 - 1.3 (m,4H) , 1.2 (t,3H) , 2.0 (m,1H) , 2.1 (m,1H) , 2.5 (s,3H) , 2.8 (d,2H) , 4.2 (q,2H) , 7.5 (m,2H) , 7.6 (m,1H) , 8.5 (s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 132), NMR 1.2-1.3(m,5H), 1.3(m,2H), 2.5(m,1H), 4.1(q,2H), 7.7(m,2H), 7.9(d,1H), 9.1(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3,4-tetrazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 129), NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.0(q,2H), 7.5(m,2H), 7.6(s,1H), 9.0(s,1H);

ethyl 5-cyclopropyl-4-[8-(1,2,3,4-tetrazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 429), NMR 1.1-1.3(m,7H), 2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.5(t,2H), 7.3(d,1H), 7.5(d,1H), 9.1(s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 160), NMR 1.2(m,5H), 1.3(m,2H), 2.4(m,1H), 4.1(q,2H), 7.7(d,1H), 7.8(d,1H), 7.9(s,1H), 7.9(s,1H), 8.1(s,1H);

ethyl 5-cyclopropyl-4-[2-chloro-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 173), NMR 1.2(m,5H),

1.3(m,2H), 2.4(m,1H), 4.1(q,2H), 7.6(d,1H), 7.9(s,2H), 8.1(d,1H), 8.2(s,1H);

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 154), NMR 1.1(t,3H), 1.2(m,2H), 1.4(m,2H), 2.5(m,1H), 4.1(q,2H), 7.6(d,1H), 7.9(s,1H), 8.0(d,1H), 8.1(s,1H), 8.2(s,1H);

ethyl 5-cyclopropyl-4-[2-trifluoromethyl-4-(1,2,3-triazol-2-yl)benzoyl]isoxazole-3-carboxylate (Compound 167), NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 4.0(q,2H), 7.5(d,1H), 7.8(s,2H), 8.2(d,1H), 8.5(s,1H);

ethyl 5-cyclopropyl-4-[2-methyl-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 158), NMR 1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.1(q,2H), 7.5(d,1H), 7.6(d,1H), 7.7(s,1H), 7.9(s,1H), 8.0(s,1H);

ethyl 5-cyclopropyl-4-[8-(1,2,3-triazol-2-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 430), NMR 1.1-1.3(m,7H), 2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.4(t,2H), 7.1(d,1H), 7.2(d,1H), 7.8(s,2H);

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 431), NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.3-2.4(m,4H), 3.6(s,3H), 4.0(q,2H), 7.2(d,1H), 7.7(d,1H), 7.8(s,1H), 8.2(s,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-benztriazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 184), NMR 1.1(m,5H), 1.2(m,2H), 2.2(m,1H), 2.5(s,3H), 4.1(q,2H), 7.4(t,1H), 7.5(m,2H), 7.7(m,2H), 7.8(s,1H), 8.1(d,1H);

ethyl 5-cyclopropyl-4-[2-methylthio-4-(1,2,3-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 155), NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.3(m,1H), 2.6(s,3H), 4.1(q,2H), 7.4(d,1H), 7.6(d,1H), 7.9(s,2H), 8.1(s,1H) and

ethyl 5-cyclopropyl-4-[8-(1,2,3-benztriazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate (Compound 432), NMR 1.1-1.3(m,7H), 2.2(m,1H), 3.1(t,2H), 4.1(q,2H), 4.4(t,2H), 7.2-7.5(m,5H), 8.1(d,1H).

By proceeding in a similar manner but using 1,2,4-triazole 1-sodium salt and heating at 50°C the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[3-fluoro-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 116), NMR 1.1(t,3H), 1.2-1.3(m,4H), 2.4(m,4H), 4.1(q,2H), 7.1-7.3(m,4H), 7.8(s,1H);

ethyl 5-cyclopropyl-4-[3-methoxy-2-methylthio-4-(imidazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 433), NMR 1.2(t,3H), 1.2-1.3(m,4H), 2.3-2.4(m,4H), 3.5(s,3H), 4.0(q,2H), 7.1-7.3(m,4H), 7.8(s,1H) and

ethyl 5-cyclopropyl-4-[2-isobutyl-4-(5-methyl-1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 434), NMR 0.9(d,6H), 1.2-1.3(m,7H), 2.0(m,1H), 2.2(m,1H), 2.6(s,3H), 2.8(d,2H), 4.2(q,2H), 7.4(m,2H), 7.5(d,1H), 7.9(s,1H).

**Example 2**

Hydroxylamine hydrochloride (0.45 g) was added to a stirred solution of 3-cyclopropyl-2-dimethylaminomethylene-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione in ethanol.

After 2 hours, water was added and the mixture extracted with dichloromethane, washed with water, dried (magnesium sulphate) and evaporated. This residue was purified by chromatography eluting with hexane/ethyl acetate (1:1) to give 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole (Compound 14, 1.56g)as a yellow solid, NMR 1.28(m,2H), 1.38(m,1H), 1.65(m,1H), 7.67(d,1H), 8.03(m,1H), 8.18(m,3H), 8.72(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 15), NMR 1.20-1.35(m,4H), 2.60(m,1H), 7.55-7.76(m,3H), 7.99(s,1H), 8.07(s,1H), 8.38(s,1H);

5-cyclopropyl-4-[2-(pyrazol-1-yl)benzoyl]isoxazole (Compound 16), NMR 1.12-1.30(m,4H), 2.70(m,1H), 6.34(m,1H),7.47-7.65(m,4H), 7.71(m,1H), 7.92(s,1H);

5-cyclopropyl-4-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-oyl]isoxazole (Compound 428), NMR 1.1-1.3(m,4H), 2.7(m,1H), 3.0-

3.2(m,2H), 4.6(q,2H), 7.2(d,2H), 7.6(d,1H), 8.0(s,1H), 8.2(s,1H), 8.8(s,1H);

5-cyclopropyl-4-[2-methylthio-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 51), NMR 1.2(m,2H), 1.3(m,2H), 2.5(s,3H), 2.6(m,1H), 7.4(d,1H), 7.5(d,1H), 7.7(s,1H), 8.1(s,1H), 8.2(s,1H), 8.6(s,1H);

5-cyclopropyl-4-[2-methyl-4-(1,2,4-triazol-1-yl)-benzoyl]isoxazole (Compound 56), NMR 1.1(m,2H), 1.3(m,2H), 2.4(s,3H), 2.5(m,1H), 7.4(d,1H), 7.5(d,1H), 7.6(s,1H),8.0(s,1H), 8.2(s,1H), 8.6(s,1H); and

5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole (Compound 246), NMR 1.2-1.4(m,4H), 2.6(m,1H), 7.6(d,1H), 7.9(m,2H), 8.0(s,2H), 8.5(s,1H).

**Example 3**

To a suspension of magnesium turnings (0.20g) and methanol was added a catalytic amount of carbon tetrachloride at 20°C.The mixture was stirred until dissolved, and a suspension of 3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione (1.44g) in methanol was added. The mixture was warmed to 60°C, then evaporated and the residue dissolved in toluene. Ethyl chloroximidoacetate (0.91g) was added and the mixture stirred overnight. Aqueous hydrochloric acid was added and the organic layer washed (water), dried (magnesium sulphate), evaporated and the residue purified by chromatography eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)benzoyl]isoxazole-3-carboxylate (Compound 17, 1.1g), NMR 1.20(t.3H), 1.20(m,2H) ,1.28(m,2H), 2.33(m,1H), 4.11(q,2H), 7.50-7.77(m,4H), 8.01(s,1H), 8.41(s,1H).

**Example 4**

A mixture of 18-crown-6 (1.06g) and 37% w/w potassium fluoride on basic alumina (0.69g) was added portionwise to a mixture of ethyl 5-cyclopropyl-4-(2-fluoro-4-trifluoromethylbenzoyl)isoxazole-3-carboxylate (1.48g) and 1,2,4-triazole (0.28g) in acetonitrile. The mixture was refluxed for 9.5 hours, evaporated and the residue purified by chromatography, eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 18, 0.77g)

as an orange gum, NMR 1.21(t,3H), 1.25(m,2H), 1.30(m,2H), 2.45(m,1H), 4.12(q,2H), 7.72(d,2H),7.80(d,2H),7.85(s,1H),8.04(s,1H), 8.46(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 311), NMR 1.1(t,3H), 1.1-1.2(m,4H), 2.4(m,1H), 4.2(q,2H), 7.7(m,4H), 8.2(s,1H);

ethyl 5-cyclopropyl-4-[2-(1,2,3-triazol-2-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 321), NMR 1.1(t,3H), 1.1-1.2(m,4H), 2.3(m,1H), 4.0(q,2H), 7.6(s,1H), 7.7(d,1H), 7.8(d,2H), 7.9(s,1H) and

ethyl 5-cyclopropyl-4-[2-(1,2,3,4-tetrazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 291), NMR 1.2(t,3H), 1.2-1.5(m,4H), 2.4(m,1H), 4.1(q,2H), 7.6(d,1H), 7.8(d,1H), 7.9(s,1H), 9.1(s,1H).

**Example 5**

m-Chloroperbenzoic acid (0.18g) was added to a stirred solution of ethyl 5-cyclopropyl-4-[4-(3-methylthio-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (0.44g) in dichloromethane at 20°C. After 2 hours the mixture was washed with sodium metabisulphite solution and potassium carbonate solution. The organic layer was dried (magnesium sulphate), evaporated and the residue purified by chromatography to give ethyl 5-cyclopropyl-4-[4-(3-methylsulphinyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 19, 0.3g), NMR 1.25(m,2H), 1.40(m,2H), 1.20(t,3H), 2.48(m,1H), 3.13(s,3H), 4.09(q,2H), 7.78(d,1H), 8.05(dd,1H), 8.22(s,1H), 8.93(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-[4-(3-methylsulfonyl-1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole-3-carboxylate (Compound 20), NMR 1.25(m,2H), 1.40(m,2H), 1.20(t,3H), 2.50(m,1H), 3.38(s,3H), 4.09(q,2H), 7.68(d,1H), 8.03(dd,1H), 8.20(d,1H), 8.88(s,1H);

5-cyclopropyl-4-[2-methylsulfinyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 91), NMR 1.2(m,2H), 1.3(m,2H),

2.6(m,1H), 3.0(s,3H), 7.9(d,1H), 8.0(d,1H), 8.1(s,1H),8.3(s,1H), 8.6(s,1H), 8.8(s,1H); and

5-cyclopropyl-4-[2-methylsulfonyl-4-(1,2,4-triazol-1-yl)benzoyl]isoxazole (Compound 92), NMR 1.2(m,2H), 1.3(m,2H), 2.5(m,1H), 3.3(s,3H), 7.6(d,1H), 8.1(m,3H), 8.4(s,1H), 8.7(s,1H).

**Example 6**

A mixture of 5-cyclopropyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]isoxazole (0.3g) and triethylamine (3ml) was stirred in dichloromethane for 1.25 hours, washed with citric acid and the organic phase dried (magnesium sulphate) and evaporated to give 2-cyano-3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione as an orange solid (Compound 49, 0.24g), NMR 1.33(m,2H),1.50(m,2H), 1.38(m,1H),7.78(d,1H), 8.05(m,1H), 8.20(m,2H), 8.85(m,1H).

**Example 7**

Sodium methoxide (17mg) followed by sodium hydroxide (2M solution) was added to a solution of 5-cyclopropyl-4-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoyl]isoxazole (0.1g), stirred overnight, acidified (2M hydrochloric acid) and extracted (dichloromethane). The extract was dried (magnesium sulphate) and evaporated to give 2-cyano-3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione (Compound 424), NMR 1.2(m,2H), 1.3(m,2H), 2.1(m,1H), 7.7(m,3H), 8.0(s,1H), 8.5(s,1H), 15(bs,1H).

**Example 8**

To a solution of 1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyloxy]-1H-pyrazole (1.93g) in t-amyl alcohol was added a catalytic amount of 1,3-dimethyl-5-hydroxy-1H-pyrazole and potassium carbonate (0.76g) at 20°C. The reaction mixture was warmed to 100°C, stirred for 4 hours and cooled. After extraction with ether the aqueous layer was acidified (aqueous citric acid), extracted (dichloromethane), dried (magnesium sulfate) and evaporated to give 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole as an orange glassy solid (Compound 501, 1.85g), NMR 1.70(s,3H), 3.65(s,3H), 7.69(1H), 8.00(1H), 8.15(1H), 8.18(s,1H), 8.71(s,1H). By proceeding in a similar manner the following compounds were also prepared:

5-hydroxy-1-methyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 517), NMR 3.73(3H,s), 7.33(1H,s), 7.73(1H,d), 8.00(1H,d), 8.18(2H), 8.71(1H); and

1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 1116), NMR 1.82 (s, 3H), 3.65 (s,3H), 7.47 (d, 1H), 7.76 (d, 1H), 7.90 (d, 1H), 8.16 (s, 1H), 8.67 (s, 1H).

**Example 9**

To a solution of 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (0.35g) in N,N-dimethylformamide was added phenacyl chloride (0.17g) and potassium carbonate (0.15g) at 20°C. The mixture was stirred for 4 hours, diluted with ether and washed with water. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 1,3-dimethyl-5-phenacyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 502, 0.37g), NMR 1.74(s,3H), 3.86(s,3H), 5.85(s,2H), 7.45-7.65(4H), 7.80-7.98(3H), 8.10(1H), 8.16(s,1H), 8.68(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

1,3-dimethyl-5-methoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 503), NMR 2.03(s,3H),3.65(s,3H),3.83(s,3H),7.60(1H),7.96(1H),8.12(1H),8.17(s,1H),8.70(s,1H);

5-ethoxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 504), NMR 1.18(t,3H),2.04(s,3H),3.62(s,3H),4.07(q,2H),7.60(1H),7.95(1H),8.13(1H),8.18(s,1H),8.70(s,1H);

1,3-dimethyl-5-isopropyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 505), NMR 1.19(d,6H),1.99(s,3H),3.65(s,3H),4.60(sep,1H),7.59(1H),7.95(1H),8.14(1H),8.17(s,1H),8.69(s,1H);

5-benzyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 506), NMR 2.02(s,3H),3.43(s,3H), 5.08(s,2H),7.15-7.32(5H),7.53(1H),7.90(1H),8.08(1H),8.17(s,1H),8.64(s,1H);

1,3-dimethyl-5-methoxycarbonylmethoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 507), NMR 1.75(s,3H),3.77(s,3H),3.79(s,3H),5.03(s,2H),7.54(1H),7.98(1H),8.13(1H),8.17(s,1H),8.71(s,1H);

1,3-dimethyl-5-[1-(methoxycarbonyl)ethoxy]-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 508), NMR 1.65(d,3H),1.72(s,3H),3.74(s,3H),3.78(s,3H),5.41(q,1H),7.52(1H),7.97(1H),8.13(1H),8.17(s,1H),8.71(s,1H);

1,3-dimethyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 509), NMR 2.01(s,3H),3.65(s,3H),4.56(d,2H),5.20-5.30(m,2H),5.65-5.90(m,1H),7.58(1H),7.96(1H),8.12(1H),8.17(s,1H),8.71(s,1H);

1,3-dimethyl-5-propargyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 510), NMR 1.88(s,3H),2.56(m,1H),3.73(s,1H),4.91(d,1H),7.58(1H),7.97(1H),8.14(1H),8.17(s,1H),8.71(s,1H);

1,3-dimethyl-5-methoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1125), NMR 2.09 (s, 3H), 3.65 (s, 3H), 3.85 (s, 3H), 7.52 (d, 1H), 7.70 (d, 1H), 7.87 (s, 1H), 8.15 (s, 1H), 8.65 (s, 1H);

1,3-dimethyl-5-phenacyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1126), NMR 1.83 (s, 3H), 3.85 (s, 3H), 5.85 (s, 2H), 7.38-7.52 (m, 3H), 7.55-7.73 (m, 2H), 7.79-7.92 (m, 3H), 8.13 (s, 1H), 8.60 (s, 1H);

1,3-dimethyl-5-benzyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1127), NMR 2.10 (s, 3H), 3.43 (s, 3H), 5.06 (s, 2H), 7.17-7.34 (m, 5H), 7.50 (d, 1H), 7.66 (d, 1H), 7.81 (s, 1H), 8.15 (s, 1H), 8.58 (s, 1H);

1,3-dimethyl-5-propargyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1128), NMR 1.99 (s, 3H), 2.55 (s, 1H), 3.73 (s, 3H), 4.88 (s, 2H), 7.51 (d, 1H), 7.70 (d, 1H), 7.87 (s, 1H), 8.15 (s,1H), 8.64 (s, 1H);

1,3-dimethyl-5-allyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1129), NMR 2.08 (s, 3H), 3.65 (s, 3H), 4.56 (s, 3H), 5.19-5.34 (m, 2H), 5.82 (m, 1H), 7.51 (d, 1H), 7.69 (d, 1H), 7.99 (s, 1H), 8.17 (s, 1H), 8.63 (s, 1H);

1-methyl-5-benzyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1131), NMR 3.52 (s, 3H), 5.58 (s, 2H), 7.24 (s, 1H), 7.59 (d, 1H), 7.94 (d, 1H), 8.14 (s, 1H), 8.18 (s, 1H), 8.69 (s, 1H); and

1-methyl-5-allyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1132), NMR 3.72 (s, 3H), 5.08 (d, 2H), 5.31 (dd, 1H), 5.41 (dd, 1H), 6.03 (m, 1H), 7.25 (d, 1H), 7.60 (d, 1H), 7.95 (dd, 1H), 8.11-8.20 (m, 2H), 8.70 (s, 1H).

**Example 10**

To a solution of 1,3-dimethyl-5-hydroxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (0.1g) in dichloromethane was added benzenesulfonyl chloride (0.06g) and triethylamine (0.04g) at 20°C. The mixture was stirred for 4 hours, extracted with ether and washed with water. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 5-benzenesulfonyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 511, 0.05g), NMR 2.17(s,3H),3.59(s,1H),7.52(3H),7.66(1H),7.83(3H),8.05(1H), 8.19(s,1H), 8.67(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

5-acetyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 512), NMR 2.06(s,3H),2.16(s,3H),3.63(s,3H),7.52(1H),7.98(1H),8.13(1H),8.17(s,1H),8.70(s,1H);

5-benzoyloxy-1,3-dimethyl-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 513), NMR 2.51(s,3H),3.64(s,3H),7.25(2H),7.40(2H),7.55(1H),7.70(2H),7.78(1H),8.06(s,1H),8.22(s,1H);

1,3-dimethyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 514), NMR 1.18(s,9H),2.14(s,3H),3.60(s,3H),7.55(1H),7.92(1H),8.10(1H),8.17(s,1H),8.67(s,1H);

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 515), NMR

2.21(s,3H),2.41(s,3H),3.58(s,3H),7.28(2H),7.52(1H),7.70(2H), 7.75(1H), 8.04(1H), 8.19(s,1H), 8.67(s,1H);

1,3-dimethyl-5-isopropylsulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 516), NMR 1.57(d,6H),1.88(s,3H),3.84(s,3H),3.85(sep,1H),7.62(1H),7.98(1H),8.15( 1H),8.18(s,1H),8.72(s,1H);

1-methyl-5-benzoyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1117), NMR 3.77 (s, 3H), 7.30-7.46 (m, 2H), 7.49-7.60 (m, 2H), 7.74 (dd, 1H), 7.82 (s, 1H), 7.90-8.00 (m, 3H), 8.11 (s, 1H), 8.46 (s, 1H);

1-methyl-5-pivaloyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1118), NMR 1.40 (s, 9H), 3.72 (s, 3H), 7.47 (s, 1H), 7.63 (d, 1H), 7.95 (d, 1H), 8.12 (s, 1H), 8.17 (s, 1H), 8.70 (s, 1H);

1-methyl-5-benzenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1119), NMR 3.85 (s, 3H), 7.40-7.80 (m, 5H), 7.85-8.25 (m, 5H), 8.69 (s, 1H);

1-methyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1120), NMR 2.45 (s, 3H), 3.84 (s, 3H), 7.36 (s, 1H), 7.39 (s, 1H), 7.47-7.50 (m, 2H), 7.85-7.95 (m, 3H), 8.08 (d, 1H), 8.18 (s, 1H), 8.69 (s, 1H);

1,3-dimethyl-5-acetoxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1121), NMR 2.07 (s, 3H), 3.63 (s, 3H), 7.44 (d, 1H), 7.68 (d, 1H), 8.87 (s, 1H), 8.14 (s, 1H), 8.64 (s, 1H);

1,3-dimethyl-5-pivaloyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1122), NMR 1.24 (s, 9H), 2.17 (s, 3H), 3.61 (s, 3H), 7.48 (d, 1H), 7.65 (d, 1H),7.84 (s, 1H), 8.14 (s, 1H), 8.62 (s, 1H);

1,3-dimethyl-5-benzenesulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole (Compound 1123), NMR 2.29 (s, 3H), 3.55 (s, 3H), 7.25-7.90 (m, 8H), 8.16 (s, 1H), 8.62 (s, 1H);

1,3-dimethyl-5-p-toluenesulfonyloxy-4-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyl]-1H-pyrazole (Compound 546), NMR 2.32 (s, 3H), 2.41 (s, 3H), 3.54 (s, 3H), 7.23-7.32 (m, 2H), 7.46 (d, 1H), 7.55-7.65 (m, 3H), 7.75 (s, 1H), 8.16 (s, 1H), 8.63 (s, 1H);

1,3-dimethyl-5-isopropylsulfonyloxy-4-[2-chloro-4-(1,2,4-triazol-1-yl)benzoyl]-1H-pyrazole(Compound 1124), NMR 1.66 (d, 6H), 2.02 (s, 3H), 3.78 (heptet, 1H), 3.92 (s, 3H), 7.56 (s, 1H), 7.70 (d, 1H), 7.87 (d, 1H), 8.15 (s, 1H), 8.66 (s, 1H); and

1-methyl-5-acetoxy-4-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyl]-1H-pyrazole (Compound 1130), NMR 2.40 (s, 3H), 3.75 (s, 3H), 7.48 (s, 3H), 7.63 (d, 1H), 7.96 (d, 1H), 8.14 (s, 1H), 8.17 (s, 1H), 8.70 (s, 1H).

**Reference Example 1**

A mixture of magnesium turnings (1.12g) and methanol was heated under reflux until dissolved, then a solution of 3-cyclopropyl-1-(4-fluoro-3-trifluoromethylphenyl)propan-1,3-dione (11.5g) in methanol added at 20°C. Acetonitrile was added and the mixture heated under reflux for four hours, evaporated and dissolved in dichloromethane. A solution of ethyl chloroximidoacetate (6.72g) in dichloromethane was added and the mixture stirred overnight. Hydrochloric acid (2M) was added and the organic layer was washed with water, dried (magnesium sulphate), evaporated and the residue purified by chromatography eluting with ethyl acetate/hexane to give ethyl 5-cyclopropyl-4-(4-fluoro-2-trifluoromethylbenzoyl)isoxazole-3-carboxylate as a colourless solid (11.75g), NMR 1.05-1.3(m,4H), 1.2(t,3H), 2.1(m,1H), 2.38(s,3H), 4.17(q,2H), 4.3(s,2H), 6.72(d,1H), 6.86(m,1H), 7.06(m,2H), 7.2(m,2H), 7.45(m,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 5-cyclopropyl-4-(4-fluoro-2-methylbenzoyl)isoxazole-3-carboxylate, NMR 1.13-1.35(m,4H), 1.69(t,3H), 2.30(m,1H), 4.10(q,2H), 6.78-7.05(m,2H), 7.40(m,1H);

ethyl 5-cyclopropyl-4-(2-chloro-4-fluorobenzoyl)isoxazole-3-carboxylate, NMR 1.22(m,2H), 1.35(m,2H), 1.22(t,2H), 2.40(m,1H), 4.14(q,2H), 7.08(m,1H),7.20(m,1H), 7.53(m,1H);

ethyl 5-cyclopropyl-4-(4-fluoro-2-iodobenzoyl)isoxazole-3-carboxylate, NMR 1.22(m,2H), 1.35(m,2H),1.22(t,3H), 2.35(m,1H), 4.14(q,2H), 7.15(m,1H), 7.40(m,1H), 7.70(m,1H);

ethyl 5-cyclopropyl-4-(4-fluoronaphthoyl)isoxazole-3-carboxylate, NMR 1.13-1.38(m,4H), 0.88(t,3H), 2.32(m,1H), 3.90(q,2H), 7.12(m,1H), 7.59(m,3H), 8.20(d,1H),8.68(d,1H);

ethyl 5-cyclopropyl-4-[(2-fluoro-4-trifluoromethyl)benzoyl]isoxazole-3-carboxylate, NMR 1.27(m,2H), 1.35(m,2H), 1.18(t,3H), 2.49(m,1H), 4.16(q,2H), 7.39(d,1H), 7.55(d,1H), 7.90(t,1H);

ethyl 5-cyclopropyl-4-[(2-benzyl-4-fluoro)benzoyl]isoxazole-3-carboxylate, NMR 1.20(m,2H), 1.25(m,2H), 1.20(t,3H), 2.00(m,1H), 4.15(q,2H), 4.27(s,2H), 6.93(m,2H), 7.15-7.35(m,5H), 7.42(m,1H);

ethyl 4-(2-isobutyl-4-fluorobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 0.92(d,6H), 1.15(m,2H), 1.21(t,3H), 1.29(m,2H), 1.95(sep,7H), 2.72(d,2H), 4.17(q,2H), 6.89-7.03(m,2H), 7.42(m,1H);

ethyl 4-(3,4-difluoro-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.2(t,3H), 1.22(m,2H), 1.39(m,2H), 2.41(m,1H), 2.5(s,3H), 4.19(q,2H), 7.1-7.22(m,2H);

ethyl 4-(3-bromo-4-fluoro-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.21(m,2H), 1.25(t,3H), 1.32(m,2H), 2.4(m,1H), 2.41(s,3H), 4.18(q,2H), 7.12(t,1H), 7.35(dd,1H);

ethyl 4-(2-ethyl-4-fluoro-3-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.1 - 1.4 (m,4H) , 1.1 (t,3H) , 1.2 (t,3H) , 2.2 (m,1H) , 2.4 (s,3H) , 3.1 (q,2H) , 4.1 (q,2H) , 6.9 (t,1H) , 7.3 (m,1H);

ethyl 4-(4-fluoro-3-methoxy-2-methylthiobenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.18(m,2H), 1.22(t,3H), 1.28(m,2H), 2.32(m,1H), 2.42(s,3H), 4.03(s,3H), 4.15(q,2H), 7.09(d,1H), 7.11(s,1H);

ethyl 4-(4-fluoro-2-methylthiomethylbenzoyl)-5-cyclopropyl-isoxazole-3-carboxylate, NMR 1.16(m,2H), 1.71(t,3H), 1.78(m,2H), 2.06(s,3H), 2.18(m,1H), 4.02(s,2H), 4.19(q,2H), 6.98(m,1H), 7.25(dd,1H), 7.47(dd,1H); and

ethyl 5-cyclopropyl-4-[8-fluoro-1,4-benzoxathian-5-oyl]isoxazole-3-carboxylate, NMR 1.1-1.2(m,5H), 1.3(m,2H), 2.2(m,1H), 3.1(t,2H), 4.2(q,2H), 4.5(t,2H), 6.8(m,1H), 7.1(m,1H).

**Reference Example 2**

To a stirred solution of 3-cyclopropyl-1-[4-fluoro-2,3-bis-(methylthio)phenyl]-propan-1,3-dione in dry toluene was added ethyl cyanoformate (0.35g) and zinc acetate (0.015g). The mixture was stirred

at 90°C for 1.5 hours, then allowed to cool. Hydroxylamine hydrochloride (0.3g) and sodium acetate (0.055g) was added and the mixture stirred at 60°C for 1 hour. Water was added and the mixture extracted (ether), dried (magnesium sulphate)and evaporated to give ethyl 4-[4-fluoro-2,3-bis-(methylthio)-benzoyl]-5-cyclopropylisoxazole-3-carboxylate as a yellow oil, NMR 1.2 (t,3H) , 1.1-1.4 (m,4H) , 2.3 (m,1H) , 2.4 (s,3H) , 2.6 (s,3H) , 4.1 (q,2H), 7.1 (m,1H), (m,2H).

**Reference Example 3**

Oxalyl chloride (6.5ml) and a catalytic amount of N,N-dimethylformamide was added to a suspension of 4-fluoro-2-trifluoromethylbenzoic acid (10.0g) in dry dichloromethane. The mixture was stirred to give a clear solution. Meanwhile a solution of t-butyl 3-cyclopropyl-3-oxopropionate (12.33g) in methanol was added to a stirred mixture of magnesium turnings (1.40g) in methanol. The mixture was heated at 60°C for 0.75 hours, cooled and evaporated. Toluene was added and the mixture was re-evaporated. The residue was redissolved in toluene and the above solution of 4-fluoro-2-trifluoromethylbenzoyl chloride (9.19g) added. The mixture was stirred at 20°C for 24 hours, then hydrochloric acid (2M) added and the stirring continued for a further 1 hour. The organic phase was washed with hydrochloric acid (2 M) and water, dried (magnesium sulphate) and 4-toluenesulphonic acid (0.5g) and toluene were added. The mixture was stirred at reflux for 2 hours, cooled and washed in turn with saturated sodium bicarbonate solution and water, dried (magnesium sulphate) and evaporated to give 3-cyclopropyl-1-(4-fluoro-2-trifluoromethylphenyl)propan-1,3-dione (11.50g) as a red oil, NMR 1.0(m,2H), 1.2(m,2H), 1.7(m,1H), 5.8(s,1H), 7.2-7.6(m,3H).

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-1-(2-fluoro-4-trifluoromethylphenyl)propan-1,3-dione, NMR 1.0(m,2H), 1.22(m,2H), 6.43(s,1H),7.38(dd,1H),7.48(d,1H), 8.08(m,1H);

3-cyclopropyl-1-(4-fluoro-2-iodophenyl)propan-1,3-dione, NMR 1.05(m,2H), 1.25(m,2H), 1.78(m,1H), 5.95(s,1H), 7.12(m,1H), 7.43(m,1H), 7.65(m,1H);

3-cyclopropyl-1-(4-fluoro-2-methylphenyl)propan-1,3-dione, NMR 0.98(m,2H), 1.20(m,2H), 1.75(m,1H), 2.50(s,3H), 5.90(s,1H), 6.92(m,2H),7.48(m,1H);

1-(2-chloro-4-fluorophenyl)-3-cyclopropylpropan-1,3-dione, NMR 1.02(m,2H), 1.22(m,2H), 1.68(m,1H), 6.15(s,1H), 7.05(m,1H), 7.20(m,1H), 7.65(m,1H);

1-(2-benzyl-4-fluorophenyl)-3-cyclopropylpropan-1,3-dione, NMR 0.98(m,2H), 1.16(m,2H), 1.68(m,1H), 4.20(s,2H), 5.82(s,1H), 6.80-7.50(m,8H);

3-cyclopropyl-1-(4-fluoro-3-methoxy-2-methylthiophenyl)propan-1,3-dione, NMR 0.98(m,2H), 1.31(m,2H), 1.82(m,1H), 2.41(s,3H), 4.05(s,3H), 6.02(s,1H), 7.10-7.40(m,2H), 16.0(bs,1H);

3-cyclopropyl-1-(4-fluoro-2-isobutylphenyl)propan-1,3-dione, NMR 0.87(d,6H), 0.98(m,2H), 1.31(m,2H), 1.74(m,1H), 1.85(m,1H), 2.72(d,2H), 5.88(s,1H), 6.90-6.99(m,2H), 7.41(q,1H);

1-(3-bromo-4-fluoro-2-methylthiophenyl)-3-cyclopropylpropan-1,3-dione, NMR 1.01(m,2H), 1.23(m,2H), 1.76(m,1H), 2.45(s,3H), 5.97(s,1H), 7.10-7.40(m,2H);

3-cyclopropyl-1-(3,4-difluoro-2-methylthiophenyl)propan-1,3-dione, NMR 0.98(m,2H), 1.25(m,2H), 1.75(m,1H), 2.52(s,3H), 6.02(s,1H), 7.10-7.40(m,2H);

3-cyclopropyl-1-[2,3-bis-(methylthio)-4-fluorophenyl]propan-1,3-dione, NMR 0.98(m,2H), 1.25(m,2H), 1.82(m,1H), 2.42 (s,3H), 2.51(s,3H), 5.95(s,1H), 7.09(t,1H), 7.32(q,1H);

3-cyclopropyl-1-(4-fluoro-1-naphthyl)propan-1,3-dione;

3-cyclopropyl-1-(2-ethyl-4-fluoro-3-methylthiophenyl)propan-1,3-dione, as an orange oil, NMR 0.95(m,2H), 1.15(m,2H), 1.7(m,1H), 2.4(s,3H), 3.05(q,2H), 5.85(s,1H), 7.0(t,1H), 7.3(t,1H), 16.0(bs,1H);

3-cyclopropyl-1-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-yl]propan-1,3-dione, NMR 0.9(m,2H), 1.1(m,2H), 1.6(m,1H), 3.0(t,2H), 4.5(t,2H), 6.0(s,1H), 7.2(d,1H), 7.5(d,1H), 8.0(s,1H), 8.7(s,1H), 15.9(bs,1H);

3-cyclopropyl-1-[2-methylthio-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione, NMR 0.9(m,2H), 1.2(m,2H), 1.7(m,1H), 2.5(s,3H), 6.1(s,1H), 7.3(d,1H), 7.6(m,2H), 8.1(s,1H), 8.6(s,1H), 16.0(bs,1H);

3-cyclopropyl-1-[2-methyl-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione, NMR 0.9(m,2H), 1.1(m,.2H), 1.5(m,1H), 3.7(s,1H), 5.5(s,1H), 7.1(d,1H), 7.2(m,1H), 7.7(m,1H), 8.1(s,1H), 8.3(s,1H); and

3-cyclopropyl-1-[8-fluoro-1,4-benzoxathian-5-yl]propan-1,3-dione, NMR 1.2(m,2H), 1.4(m,2H), 1.7(m,1H), 3.0(t,2H), 4.5(t,2H), 6.0(s,1H), 6.8(m,1H), 7.1-7.3(m,1H), 16.0(bs,1H).

**Reference Example 4**

A mixture of 3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione (1.56g) and N,N-dimethylformamide-dimethylacetal (5 ml) in toluene was heated at 80°C for 3 hours. The mixture was then evaporated to give 3-cyclopropyl-2-dimethylaminomethylene-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione . This was used directly in the next stage.

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-2-dimethylaminomethylene-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[2-(pyrazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-yl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene-1-[2-methylthio-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione;

3-cyclopropyl-2-dimethylaminomethylene -1-[2-methyl-4-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione; and

3-cyclopropyl-2-dimethylaminomethylene 1-[2-(1,2,4-triazol-1-yl)-4-trifluoromethylphenyl]propan-1,3-dione.

**Reference Example 5**

A solution of methyl [4-(1,2,4-triazol-1-yl)-2-trifluoromethyl]benzoate(1.73g) and methyl cyclopropyl ketone (1.07g) in tetrahydrofuran (10ml) was added dropwise to a suspension of sodium hydride (60% dispersion in mineral oil, 0.55g) in

tetrahydrofuran at 60°C. The mixture was refluxed for 2 hours and then cooled to 20°C. Ether and dilute citric acid were added and the organic layer washed (water), dried (magnesium sulfate) and evaporated. The residue was purified by chromatography eluting with ethyl acetate/hexane to give 3-cyclopropyl-1-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylphenyl]propan-1,3-dione.

By proceeding in a similar manner the following compounds were also prepared:

3-cyclopropyl-1-[2-(1,2,4-triazol-1-yl)phenyl]propan-1,3-dione, NMR 0.95(m,2H), 1.10(m,1H),1.60(m,1H), 5.51(s,1H), 7.50-7.78(m,4H), 8.12(s,1H), 8.30(s,1H);

3-cyclopropyl-1-[2-(pyrazol-1-yl)phenyl]propan-1,3-dione, NMR 0.90(m,2H), 1.05(m,2H), 1.52(m,1H), 5.28(s,1H), 6.40-6.52(m,2H), 7.41-85(m,5H); and

3-cyclopropyl-1-[4-fluoro-2-methylthiomethylphenyl]propan-1,3-dione, NMR 1.05(m,2H), 1.25(m,1H),1.65(m,1H), 2.06(s,3H), 3.98(s,2H), 6.02(s,1H), 6.95-7.43(m,3H), 16.20(br.s,1H).

**Reference Example 6**

To a solution of methyl 4-fluoro-2-trifluoromethylbenzoate (4.95g) in N,N-dimethylformamide was added 1,2,4-triazole (2.0g) and potassium carbonate (4.0g) at 20°C and the mixture stirred for 4 hours at 60°C. The mixture was diluted (ether), washed (aqueous citric acid) and dried (magnesium sulfate). The residue was purified by chromatography to give methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g), NMR 3.98(s,3H),7.94-8.05(2H),8.15(2H),8.71(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

methyl 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylate, NMR 3.2(t,2H), 3.9(s,3H), 4.5(t,2H), 7.6(d,1H), 7.8(d,1H), 8.1(s,1H), 8.8(s,1H).

By proceeding in a similar manner but using 1,2,4-triazole 1-sodium salt the following compounds were also prepared:

methyl 2-methylthio-4-(1,2,4-triazol-1-yl)benzoate, mp155-156°C;

methyl 2-methyl-4-(1,2,4-triazol-1-yl)benzoate, mp106-107°C.

**Reference Example 7**

A solution of lithium hydroxide monohydrate (0.16g) in water was added to a solution of methyl 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylate (0.36g) in methanol and stirred at 20°C for 18 hours. The mixture was evaporated, diluted (water), washed (ether) and acidified with hydrochloric acid to give 8-(1,2,4-triazol-1-yl)-1,4-benzoxathian-5-carboxylic acid (0.32g), mp303-305°C.

By proceeding in a similar manner but using potassium hydroxide as base the following compounds were also prepared:

2-methylthio-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 2.5(s,3H), 7.7(m,2H), 8.0(d,1H), 8.3(s,1H), 9.5(s,1H), 13.2(bs,1H);

2-methyl-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 2.6(s,3H), 7.8(d,1H), 7.9(s,1H), 8.0(d,1H), 8.3(s,1H), 9.4(s,1H), 13.0(bs,1H);

2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoic acid, NMR 7.9-8.1(m,3H), 8.2(s,1H), 9.0(s,1H), 13.6(bs,1H); and

8-fluoro-1,4-benzoxathian-5-carboxylic acid, NMR 3.0(t,2H), 4.4(t,2H), 6.8(m,1H), 7.6(m,1H).

**Reference Example 8**

A solution of methyl 3-(2-chloroethoxy)-4-fluoro-2-methylthiobenzoate (3.46g) in N,N-dimethylformamide was heated at 140°C for 18 hours, cooled, diluted (water) and extracted (ether). The extract was washed (water), dried (magnesium sulphate) and evaporated to give methyl 8-fluoro-1,4-benzoxathian-5-carboxylate (2.96g), NMR 3.1(t,2H), 3.9(s,3H), 4.5(t,2H), 6.8(m,1H), 7.6(m,1H).

By proceeding in a similar manner the following compounds were also prepared:

ethyl 8-fluoro-1,4-benzoxathian-5-carboxylate, NMR 1.4(t,3H), 3.1(m,2H), 4.3(q,2H), 4.5(m,2H), 6.8(m,1H), 7.6(m,1H).

**Reference Example 9**

A mixture of methyl 4-fluoro-3-hydroxy-2-methylthiobenzoate (3.06g), 2-bromo-1-chloroethane (1.3ml) and potassium carbonate (2.15g) was stirred in dry acetonitrile at reflux for 18 hours, cooled, diluted (water), brought to pH11 with sodium hydroxide (2M) and extracted (ether). The organic phase was washed (water), dried (magnesium sulphate) and evaporated to give methyl 3-(2-

chloroethoxy)-4-fluoro-2-methylthiobenzoate (3.46g), used directly in the next stage.

By proceeding in a similar manner the following compound was also prepared:

ethyl 3-(2-chloroethoxy)-2,4-difluorobenzoate, NMR 1.4(t,3H), 3.8(m,2H), 4.3-4.4(m,4H), 6.9(m,1H), 7.7(m,1H).

**Reference Example 10**

A mixture of ethyl 3-(2-chloroethoxy)-2,4-difluorobenzoate (54.5g), and sodium methoxide (15.9g) in dry tetrahydrofuran was stirred at 20°C for 2 days under nitrogen, evaporated and mixed with ether and water. The organic phase was washed (water), dried (magnesium sulphate) and evaporated to give ethyl 3-(2-chloroethoxy)-4-fluoro-2-methylthiobenzoate (59.9g), NMR 1.4(t,3H), 2.5(s,3H), 3.8(m,2H), 4.3-4.4(m,4H), 7.0(m,1H), 7.3(m,1H).

**Reference Example 11**

By proceeding according to the method in Example 4 there was prepared from methyl 2-fluoro-4-trifluoromethylbenzoate, 2-(1,2,4-triazol-1-yl)-4-trifluoromethylbenzoic acid, NMR 3.8(s,3H), 7.8(m,2H), 8.1(m,2H), 8.4(s,1H).

**Reference Example 12**

To a suspension of 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoic acid (2.57g) and a catalytic amount of N,N-dimethylformamide in dichloromethane was added oxalyl chloride (2.2ml) dropwise at 20°C. The mixture was stirred for 3 hours and evaporated. To a suspension of the residue in acetone was added 1,3-dimethyl-5-hydroxy-1H-pyrazole (1.23g) and potassium carbonate (0.76g) dropwise at 20°C. The mixture was stirred for 0.5 hour and water and dichloromethane added. The organic layer was dried (magnesium sulfate), evaporated and the residue purified by chromatography to give 1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyloxy]-1H-pyrazole (1.93g), NMR 2.27(s,3H), 3.71(s,3H), 6.12(s,1H), 8.05-8.28(4H), 8.76(s,1H).

By proceeding in a similar manner the following compounds were also prepared:

1-methyl-5-[4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoyloxy]-1H-pyrazole, NMR 3.8(s,3H), 6.33(1H), 7.48(1H), 8.08(d,1H), 8.2(d,1H), 8.21(s,1H), 8.76(s,1H); and

1,3-dimethyl-5-[4-(1,2,4-triazol-1-yl)-2-chlorobenzoyloxy]-1H-pyrazole, NMR 2.28 (s, 3H), 3.75 (s, 3H), 7.77 (d, 1H), 7.99 (s, 1H), 8.16-8.25 (m, 2H), 8.69 (s, 1H).

**Reference Example 13**

To a solution of methyl 4-fluoro-2-trifluoromethylbenzoate (4.95g) in N,N-dimethylformamide was added 1,2,4-triazole (2.0g) and potassium carbonate (4.0g) at 20°C and the mixture stirred for 4 hours at 60°C. The mixture was diluted (ether), washed (aqueous citric acid) and dried (magnesium sulfate). The residue was purified by chromatography to give methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g), NMR 3.98(s,3H),7.94-8.05(2H),8.15(2H),8.71(s,1H).

By proceeding in a similar manner the following compound was also prepared:

methyl 2-chloro-4-(1,2,4-triazol-1-yl)benzoate, NMR 3.97 (s, 3H), 7.68 (d, 1H), 7.89 (s, 1H), 8.02 (s, 1H), 8.14 (s, 1H), 8.70 (s, 1H).

**Reference Example 14**

To a solution of methyl 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoate (5.07g) in methanol was added aqueous sodium hydroxide (1.50g) at 20°C. The mixture was stirred for 4 hours, partially evaporated and extracted with ether. The aqueous layer was acidified (aqueous citric acid) and extracted with ethyl acetate. The organic layer was dried (magnesium sulfate), and evaporated to give 4-(1,2,4-triazol-1-yl)-2-trifluoromethylbenzoic acid (3.32g), NMR 8.25(1H), 8.34-8.42(3H), 10.00(s,1H).

By proceeding in a similar manner the following compound was also prepared:

2-chloro-4-(1,2,4-triazol-1-yl)benzoic acid, NMR 7.71 (d, 1H), 7.93 (s, 1H), 8.05-8.18 (m, 1H), 8.82 (s, 1H).

According to a further feature of the present invention, there is provided a method for controlling the growth of weeds (i.e. undesired vegetation) at a locus which comprises applying to the locus a

herbicidally effective amount of at least one phenyl derivative of formula (I) or an agriculturally acceptable salt or metal complex thereof. For this purpose, the phenyl derivatives are normally used in the form of herbicidal compositions (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in herbicidal compositions), for example as hereinafter described.

The compounds of formula (I) show herbicidal activity against dicotyledonous (i.e. broad-leafed) and monocotyledonous (i.e. grass) weeds by pre- and/or post-emergence application.

By the term "pre-emergence application" is meant application to the soil in which the weed seeds or seedlings are present before emergence of the weeds above the surface of the soil. By the term "post-emergence application" is meant application to the aerial or exposed portions of the weeds which have emerged above the surface of the soil.

For example, the compounds of formula (I) may be used to control the growth of:

broad-leafed weeds, for example, Abutilon theophrasti, Amaranthus retroflexus, Bidens pilosa, Chenopodium album, Galium aparine, Ipomoea spp. e.g. Ipomoea purpurea, Sesbania exaltata, Sinapis arvensis, Solanum nigrum and Xanthium strumarium, and

grass weeds, for example Alopecurus myosuroides, Avena fatua, Digitaria sanguinalis, Echinochloa crus-galli, Sorghum bicolor, Eleusine indica and Setaria spp, e.g. Setaria faberii or Setaria viridis, and

sedges, for example, Cyperus esculentus.

The amounts of compounds of formula (I) applied vary with the nature of the weeds, the compositions used, the time of application, the climatic and edaphic conditions and (when used to control the growth of weeds in crop-growing areas) the nature of the crops. When applied to a crop-growing area, the rate of application should be sufficient to control the growth of weeds without causing substantial permanent damage to the crop. In general, taking these factors into account, application rates from 0.01kg to 2kg of active material per hectare give good results. However, it is to be understood that higher or lower application rates may be used, depending upon the particular problem of weed control encountered.

The compounds of formula (I) may be used to control selectively the growth of weeds, for example to control the growth of those species hereinbefore mentioned, by pre- or post-emergence application in a directional or non-directional fashion, e.g. by directional or non-directional spraying, to a locus of weed infestation which is an area used, or to be used, for growing crops, for example cereals, e.g. wheat, barley, oats, maize and rice, soya beans, field and dwarf beans, peas, lucerne, cotton, peanuts, flax, onions, carrots, cabbage, oilseed rape, sunflower, sugar beet, and permanent or sown grassland before or after sowing of the crop or before or after emergence of the crop. For the selective control of weeds at a locus of weed infestation which is an area used, or to be used, for growing of crops, e.g. the crops hereinbefore mentioned, application rates from 0.01kg to 1.0kg, and preferably from 0.025kg to 0.25kg, of active material per hectare are particularly suitable.

The compounds of formula (I) may also be used to control the growth of weeds, especially those indicated above, by pre- or post-emergence application in established orchards and other tree-growing areas, for example forests, woods and parks, and plantations, e.g. sugar cane, oil palm and rubber plantations. For this purpose they may be applied in a directional or non- directional fashion (e.g. by directional or non-directional spraying) to the weeds or to the soil in which they are expected to appear, before or after planting of the trees or plantations at application rates from 0.25kg to 2.0kg, and preferably from 0.25kg to 1.0kg of active material per hectare.

The compounds of formula (I) may also be used to control the growth of weeds, especially those indicated above, at loci which are not crop-growing areas but in which the control of weeds is nevertheless desirable.

Examples of such non-crop-growing areas include airfields, industrial sites, railways, roadside verges, the verges of rivers, irrigation and other waterways, scrublands and fallow or uncultivated land, in particular where it is desired to control the growth of weeds in order to reduce fire risks. When used for such purposes in which a total herbicidal effect is frequently desired, the active compounds are normally applied at dosage rates higher than those used in crop-growing

areas as hereinbefore described. The precise dosage will depend upon the nature of the vegetation treated and the effect sought.

Pre- or post-emergence application, and preferably pre-emergence application, in a directional or non-directional fashion (e.g. by directional or non-directional spraying) at application rates from 0.5kg to 2.0kg, and preferably from 0.5kg to 1.0kg, of active material per hectare are particularly suitable for this purpose.

When used to control the growth of weeds by pre-emergence application, the compounds of formula (I) may be incorporated into the soil in which the weeds are expected to emerge. It will be appreciated that when the compounds of formula (I) are used to control the growth of weeds by post-emergence application, i.e. by application to the aerial or exposed portions of emerged weeds, the compounds of formula (I) will also normally come into contact with the soil and may also then exercise a pre-emergence control on later-germinating weeds in the soil.

Where especially prolonged weed control is required, the application of the compounds of formula (I) may be repeated if required.

According to a further feature of the present invention, there are provided compositions suitable for herbicidal use comprising one or more of the phenyl derivatives of formula (I) or an agriculturally acceptable salt or metal complex thereof, in association with, and preferably homogeneously dispersed in, one or more compatible agriculturally- acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use in herbicidal compositions and which are compatible with compounds of formula (I)]. The term "homogeneously dispersed" is used to include compositions in which the compounds of formula (I) are dissolved in other components. The term "herbicidal compositions" is used in a broad sense to include not only compositions which are ready for use as herbicides but also concentrates which must be diluted before use. Preferably, the compositions contain from 0.05 to 90% by weight of one or more compounds of formula (I).

The herbicidal compositions may contain both a diluent or carrier and surface-active (e.g. wetting, dispersing, or emulsifying) agent. Surface-active agents which may be present in herbicidal compositions

of the present invention may be of the ionic or non-ionic types, for example sulphoricinoleates, quaternary ammonium derivatives, products based on condensates of ethylene oxide with alkyl and polyaryl phenols, e.g. nonyl- or octyl-phenols, or carboxylic acid esters of anhydrosorbitols which have been rendered soluble by etherification of the free hydroxy groups by condensation with ethylene oxide, alkali and alkaline earth metal salts of sulphuric acid esters and sulphonic acids such as dinonyl- and dioctyl-sodium sulphonosuccinates and alkali and alkaline earth metal salts of high molecular weight sulphonic acid derivatives such as sodium and calcium lignosulphonates and sodium and calcium alkylbenzene sulphonates.

Suitably, the herbicidal compositions according to the present invention may comprise up to 10% by weight, e.g. from 0.05% to 10% by weight, of surface-active agent but, if desired, herbicidal compositions according to the present invention may comprise higher proportions of surface-active agent, for example up to 15% by weight in liquid emulsifiable suspension concentrates and up to 25% by weight in liquid water soluble concentrates.

Examples of suitable solid diluents or carriers are aluminium silicate, talc, calcined magnesia, kieselguhr, tricalcium phosphate, powdered cork, absorbent carbon black and clays such as kaolin and bentonite. The solid compositions (which may take the form of dusts, granules or wettable powders) are preferably prepared by grinding the compounds of formula (I) with solid diluents or by impregnating the solid diluents or carriers with solutions of the compounds of formula (I) in volatile solvents, evaporating the solvents and, if necessary, grinding the products so as to obtain powders. Granular formulations may be prepared by absorbing the compounds of formula (I) (dissolved in suitable solvents, which may, if desired, be volatile) onto the solid diluents or carriers in granular form and, if desired, evaporating the solvents, or by granulating compositions in powder form obtained as described above. Solid herbicidal compositions, particularly wettable powders and granules, may contain wetting or dispersing agents (for example of the types described above), which may also, when solid, serve as diluents or carriers.

Liquid compositions according to the invention may take the form of aqueous, organic or aqueous-organic solutions, suspensions and emulsions which may incorporate a surface-active agent. Suitable liquid diluents for incorporation in the liquid compositions include water, glycols, tetrahydrofurfuryl alcohol, acetophenone, cyclohexanone, isophorone, toluene, xylene, mineral, animal and vegetable oils and light aromatic and naphthenic fractions of petroleum (and mixtures of these diluents). Surface-active agents, which may be present in the liquid compositions, may be ionic or non-ionic (for example of the types described above) and may, when liquid, also serve as diluents or carriers.

Powders, dispersible granules and liquid compositions in the form of concentrates may be diluted with water or other suitable diluents, for example mineral or vegetable oils, particularly in the case of liquid concentrates in which the diluent or carrier is an oil, to give compositions ready for use.

Liquid concentrates in which the diluent or carrier is an oil may be used without further dilution using the electrostatic spray technique.

Herbicidal compositions according to the present invention may also contain, if desired, conventional adjuvants such as adhesives, protective colloids, thickeners, penetrating agents, spreading agents, stabilisers, sequestering agents, anti-caking agents, colouring agents and corrosion inhibitors. These adjuvants may also serve as carriers or diluents.

Herbicidal compositions according to the present invention may also comprise the compounds of formula (I) in association with, and preferably homogeneously dispersed in, one or more other pesticidally active compounds and, if desired, one or more compatible pesticidally acceptable diluents or carriers, surface-active agents and conventional adjuvants as hereinbefore described.

Examples of other pesticidally active compounds which may be included in, or used in conjunction with, the herbicidal compositions of the present invention include herbicides, for example to increase the range of weed species controlled for example alachlor [2-chloro-2,6'-diethyl-N-(methoxy-methyl)-acetanilide], atrazine [2-chloro-4-ethylamino-6-isopropylamino-1,3,5-triazine], bromoxynil [3,5-dibromo-

4-hydroxybenzonitrile], chlortoluron [N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea], cyanazine [2-chloro-4-(1-cyano-1-methylethylamino)-6-ethylamino-1,3,5-triazine], 2,4-D [2,4-dichlorophenoxy-acetic acid], dicamba [3,6-dichloro-2-methoxybenzoic acid], difenzoquat [1,2- dimethyl-3,5-diphenyl-pyrazolium salts], flampropmethyl [methyl N-2-(N- benzoyl-3-chloro-4-fluoroanilino)-propionate], fluometuron [N'-(3-trifluoro- methylphenyl)-N,N-dimethylurea], isoproturon [N'-(4-isopropylphenyl)-N,N-dimethylurea], insecticides, e.g. synthetic pyrethroids, e.g permethrin and cypermethrin, and fungicides, e.g. carbamates, e.g. methyl N-(1-butyl-carbamoyl-benzimidazol-2-yl)carbamate, and triazoles e.g. 1-(4-chloro-phenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-butan-2-one.

Pesticidally active compounds and other biologically active materials which may be included in, or used in conjunction with, the herbicidal compositions of the present invention, for example those hereinbefore mentioned, and which are acids, may, if desired, be utilised in the form of conventional derivatives, for example alkali metal and amine salts and esters.

The following Examples illustrate herbicidal compositions according to the present invention. The following trade marks appear in the Examples: Synperonic, Solvesso, Arylan, Arkopon, Sopropon, Tixosil, Soprophor, Attagel, Rhodorsil.

**Example C1:**

An emulsifiable concentrate is formed from:

| | |
|---|---|
| Active ingredient (Compound 1) | 20% w/v |
| N-Methylpyrrolidinone (NMP) | 25% w/v |
| Calcium dodecylbenzenesulphonate 70% (CaDDBS) (Arylan CA) | 4% w/v |
| Nonylphenol ethylene oxide propylene oxide condensate (NPEOPO) (Synperonic NPE 1800) | 6% w/v |
| Aromatic solvent (Solvesso) | to 100 volumes |

by stirring NMP, active ingredient (Compound 1), CaDDBS, NPEOPO and Aromatic solvent until a clear solution is formed, and adjusting to volume with Aromatic solvent.

**Example C2**

A wettable powder is formed from:

| | |
|---|---|
| Active ingredient (Compound 1) | 50% w/w |
| Sodium dodecylbenzenesulphonate (Arylan SX85) | 3% w/w |
| Sodium methyl oleoyl taurate (Arkopon T) | 5% w/w |
| Sodium polycarboxylate (Sopropon T36) | 1% w/w |
| Microfine silicon dioxide (Tixosil 38) | 3% w/w |
| China clay | 38% w/w |

by blending the above ingredients together and grinding the mixture in an air jet mill.

**Example C3**

A suspension concentrate is formed from:

| | |
|---|---|
| Active ingredient (Compound 1) | 50% w/v |
| Antifreeze (Propylene glycol) | 5% w/v |
| Ethoxylated tristyrylphenol phosphate (Soprophor FL) | 0.5% w/v |
| Nonyl phenol 9 mole ethoxylate (Ethylan BCP) | 0.5% w/v |
| Sodium polycarboxylate (Sopropon T36) | 0.2% w/v |
| Attaclay (Attagel) | 1.5% w/v |
| Antifoam (Rhodorsil AF426R) | 0.003% w/v |
| Water | to 100 volumes |

by stirring the above ingredients together and milling in a bead mill.

**Example C4**

A water dispersible granule is formed from:

| | |
|---|---|
| Active ingredient (Compound 1) | 50% w/w |
| Sodium dodecylbenzenesulphonate (Arylan SX 85) | 3% w/w |
| Sodium methyl oleoyl taurate (Arkopon T) | 5% w/w |
| Sodium polycarboxylate (Sopropon T36) | 1% w/w |
| Binder (Sodium lignosulphonate) | 8% w/w |

| | |
|---|---|
| China clay | 30% w/w |
| Microfine silicon dioxide (Tixosil 38) | 3% w/w |

by blending the above ingredients together, grinding the mixture in an air jet mill and granulating by addition of water in a suitable granulation plant (e.g. Fluid bed drier) and drying. Optionally the active ingredient may be ground either on its own or admixed with some or all of the other ingredients.

The compounds of the invention have been used in herbicidal applications according to the following procedures.

**METHOD OF USE OF HERBICIDAL COMPOUNDS:**

**TEST METHOD A**

a) General

Appropriate quantities of the compounds used to treat the plants were dissolved in acetone to give solutions equivalent to application rates of up to 1000g test compound per hectare (g/ha). These solutions were applied from a standard laboratory herbicide sprayer delivering the equivalent of 290 litres of spray fluid per hectare. The weed species used in the test were as follows:-

Broadleaf weeds: Abutilon theophrasti, Amaranthus retroflexus, Galium aparine, Ipomoea purpurea, Xanthium strumarium;

Grassweeds: Alopecurus myosuroides, Avena fatua, Echinochloa crus-galli, Setaria viridis;

Sedges: Cyperus esculentus.

The crop species used in the test were as follows:-

Cotton, Soya, Maize, Rice and Wheat.

b) Weed control : Pre-emergence

The seeds were sown in 70 mm square, 75 mm deep plastic pots in non-sterile soil .

The compounds of the invention were applied to the soil surface, containing the seeds, as described in (a). A single pot of each crop and each weed was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting kept in a glass house, and watered overhead . Visual assessment of crop damage was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

c) Weed control : Post-emergence

The weeds and crops were sown directly into John Innes potting compost in 75 mm deep, 70 mm square pots except for Amaranthus which was pricked out at the seedling stage and transferred to the pots one week before spraying. The plants were then grown in the greenhouse until ready for spraying with the compounds used to treat the plants.

The compounds used to treat the plants were applied to the plants as described in (a). A single pot of each crop and weed species was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting in a glass house, and watered overhead once after 24 hours and then by controlled sub-irrigation. Visual assessment of crop damage and weed control was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

**TEST METHOD B**

**Paddy post-emergence application in greenhouse**

Paddy field soil was filled in 170 $cm^2$ plastic pots, a suitable amount of water and chemical fertilisers were added thereto and kneaded to convert it to a state of a paddy.

Paddy rice plants (variety; Koshihikari), that had been grown in advance in a greenhouse to a stage of two leaves, were transplanted to each pot (two seedlings per pot). Then in each pot there were sown predetermined amounts of seeds of Echinochloa oryzicola, Monochoria

vaginalis, Lindernia procumbens and Scirpus juncoides respectively, and water was added to a depth of 3 cm.

After having grown the plants in a greenhouse until Echinochloa oryzicola reached a stage of 1.5 leaves, solutions were prepared in 100% acetone using compounds described in the Examples so that they contained active ingredients in an amount equivalent to 75, 300 and 1200 g/ha. The solutions were applied by dropping with a pipette.

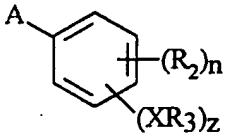
After 21 days from the application with the chemicals, herbicidal effects on each weed and phytotoxicity on paddy rice plants were visually assessed, and the results expressed as the percentage reduction in growth or damage to the crop or weeds in comparison with the plants in the control pots.

When applied pre- or post-emergence in Test Method A at 1000g/ha or less compounds 1, 4-6, 8, 12, 15-17, 19-29, 39, 502, 517, 546 and 1116-1128 of the invention gave at least 80% reduction in growth of one or more of the weed species; at levels of application toxic to the weeds these compounds were selective in at least one of the crop species.

When applied at 1200 g/ha or less, in Test Method B, compounds 1-15, 18-27, 49, 501-507, 509-517, 546 and 1116-1132 of the invention gave at least 90% reduction in growth of one or more of the weed species listed above.

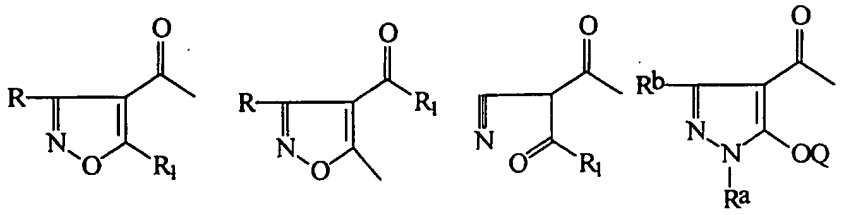
## CLAIMS

1. A phenyl derivative of formula (I):



(I)

wherein A represents a group (A-1), (A-2), (A-3) or (A-4):



(A-1) (A-2) (A-3) (A-4);

z represents one or two; when z represents two the $XR^3$ groups may be the same or different;

R represents hydrogen or $-CO_2R^4$;

$R^1$ represents:-

lower alkyl or lower haloalkyl; or

a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more $R^{12}$ groups or one or more halogen atoms;

$R^a$ represents lower alkyl, lower haloalkyl, lower alkenyl or lower alkynyl;

$R^b$ represents hydrogen, lower alkyl, lower haloalkyl, lower alkoxy, halogen, $-S(O)_xR^4$, $-CO_2R^4$, $-CH_2OR^4$, $-CH_2SR^4$ or cyclopropyl;

x represents zero, one or two;

$R^2$ represents:-

halogen;

lower alkyl which is substituted by one or more groups $-OR^5$;

a cycloalkyl group containing from three to six carbon atoms; or a group selected from nitro, cyano, $-CO_2R^5$, $-NR^5R^6$, $-S(O)_pR^7$, $-O(CH_2)_mOR^5$, $-COR^5$, $-N(R^8)SO_2R^7$, $-OR^7$, $-OH$, $-OSO_2R^7$, $-(CR^9R^{10})_tS(O)_qR^7$, $-CONR^5R^6$, $-N(R^8)-C(Z)=Y$,

$-C(R^9R^{10})NR^8R^{11}$, $-CH_2P(=O)R^{5a}R^{5b}$, $R^{12}$, $SF_5$ and benzyl optionally substituted by from one to five groups $R^{13}$ which may be the same or different;

or two groups $R^2$, together with adjacent carbon atoms of the phenyl ring form a second phenyl ring or a 5- or 6-membered saturated or unsaturated heterocyclic ring which is fused to the first ring and contains one or two oxygen or sulphur atoms and is optionally substituted by one or more halogen , lower alkyl, lower haloalkyl or lower alkoxy groups, or one of the ring carbon atoms of the heterocyclic ring forms part of a carbonyl group or an oxime or lower alkoxyimine derivative thereof; (examples of the optionally substituted fused ring systems when formed include thiochroman, chroman, 2H-thiochromene, 2H-chromene, 4H-thiochromene, 4H-chromene, isothiochroman, isochroman, isothiochromene, isochromene, 1,3-benzodithiole, 1,3-benzodioxole, 1,3-benzoxathiole, 1,4-benzodithiin, 1,4-benzoxathiin, 1,4-benzoxathian, 1,3-benzoxathian, 3,1-benzoxathian and 1,3-benzodithian);

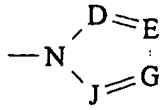
n represents zero or an integer from one to three; where n is greater than one the groups $R^2$ may be the same or different;

m represents one, two or three;

p and q represent zero, one or two;

t represents one, two, three or four (preferably one); when t is more than one the $R^9$ and $R^{10}$ groups may be the same or different;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II):



(II)

in which D, E, G and J independently represent $CR^{14}$ or a nitrogen atom, with at least one of D, E, G and J representing $CR^{14}$ (when more than one $CR^{14}$ group is present they may be the same or different); or

two adjacent groups $CR^{14}$ may form a phenyl or 5- to 7-membered heteroaromatic ring which is fused to the first ring and is optionally substituted by one or more groups $R^{15}$; and when present the 5- to 7- membered heterocyclic ring contains from one to four

heteroatoms in the ring which may be the same or different selected from nitrogen, oxygen and sulphur;

X represents $-(CR^9R^{10})_v-$;

$R^4$ represents:-

lower alkyl or lower haloalkyl;

$R^5$ and $R^6$ which may be the same of different, each represents hydrogen or $R^{12}$;

$R^{5a}$ and $R^{5b}$ which may be the same of different, each represents lower alkyl or lower alkoxy;

$R^7$ represents:-

$R^{12}$; or a cycloalkyl group containing from three to six carbon atoms; or a group $-(CH_2)_w-$[phenyl optionally substituted by from one to five groups $R^{13}$ which may be the same or different];

w represents zero or one;

$R^8$ represents:-

hydrogen, $R^7$, or $OR^{16}$;

$R^9$ and $R^{10}$ independently represent hydrogen, lower alkyl or lower haloalkyl (preferably containing up to three carbon atoms);

$R^{11}$ represents $-SO_2R^7$ or -C(Z)=Y;

$R^{12}$ represents:-

lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl or lower haloalkynyl;

$R^{13}$ represents a group selected halogen, $R^{17}$, nitro, cyano, $-CO_2R^5$, $-S(O)_pR^{16}$, $-OR^{16}$ and $-NR^5R^6$;

$R^{14}$ represents:-

a group selected from hydrogen, halogen, $R^{17}$, nitro, cyano, $-CO_2R^5$, $-S(O)_pR^{16}$, $-OR^{16}$, $-NR^5R^6$ and cyclopropyl;

$R^{15}$ represents halogen, or $R^{12}$;

$R^{16}$ represents lower alkyl or lower haloalkyl;

$R^{17}$ represents a straight- or branched- chain alkyl group containing one to three carbon atoms optionally substituted by one or more halogen atoms;

Y is oxygen or sulphur (preferably Y represents oxygen);

Z represents a group selected from $R^{12}$, $-NR^{18}R^{19}$, $-SR^7$ and $-OR^7$;

$R^{18}$ and $R^{19}$ independently represent hydrogen or $R^7$;

Q represents hydrogen, lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl, $-CH_2CN$, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, $-(C_uH_{2u})C(O)R^{20}$, $-CH_2OCO_2R^{12}$, $-CH_2NHC(O)R^{12}$, $-CH_2NHCO_2R^{12}$, $-COCH_2OC(O)R^{16}$, $-COCH_2OR^{12}$, $-COCH_2OR^{20}$, $-CONR^5R^6$, $-CONR^{20}R^{21}$, $-CONR^{22}R^{23}$, $-CO_2R^{12}$, $-CO_2R^{20}$, $-P(O)R^{5a}R^{5b}$ and lower alkyl substituted by a group selected from $-CONR^9R^{10}$, $OR^9$, $-NR^5R^6$, $-CO_2R^9$, $R^{20}$ and $-S(O)_pR^{12}$;

$R^{20}$ represents phenyl optionally substituted by one to five groups selected from halogen, lower alkyl, lower haloalkyl, lower alkoxy, lower haloalkoxy, nitro, cyano, $-S(O)_pR^7$ and $-NR^5R^6$;

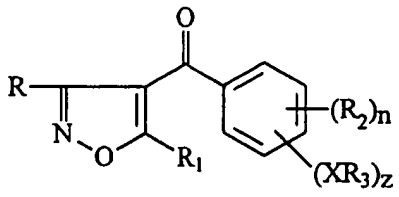
$R^{21}$ represents $R^5$ or $R^{20}$;

$R^{22}$ and $R^{23}$ together with the adjacent nitrogen atom represent a piperidine, pyrrolidine, piperazine or morpholine ring;

s and u represent zero or one to six;

and wherein v represents the value zero when A represents a formula (A-1), (A-2) or (A-3); or when A represents a formula (A-4), v represents the value zero or one; or an agriculturally acceptable salt or metal complex thereof.

2. A compound according to claim 1 which is of formula (Ia):



(Ia)

wherein R, $R^1$, $R^2$, $R^3$, n and z are as defined in claim 1; X represents $-(CR^9R^{10})_v-$ and v represents zero.

3. A compound of formula (Ia) according to claim 1 or 2 in which R represents hydrogen or $-CO_2R^4$;

$R^1$ represents cyclopropyl or 1-methylcyclopropyl;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^7$, $-OR^7$, $-CH_2S(O)_qR^7$, $-CH_2NR^8R^{11}$, $-N(R^8)SO_2R^7$, $-N(R^8)CO_2R^7$, $-CH_2P(O)R^{5a}R^{5b}$ and benzyl optionally substituted by $-S(O)_pR^{16}$; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring;

n represents zero, one or two;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl, indazol-1-yl, benzotriazol-1-yl, benzimidazol-1-yl and indol-1-yl, which are substituted by one or two groups $R^{14}$ (and wherein one or two $R^3$ groups are present);

$R^4$ represents methyl or ethyl;

$R^7$ and $R^8$ independently represent lower alkyl or lower haloalkyl;

$R^{11}$ represents $-SO_2R^7$ or $CO_2R^7$;

$R^{14}$ represents hydrogen, halogen, a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or $-S(O)_pR^{16}$, $NO_2$ or $CO_2R^5$ wherein $R^5$ represents lower alkyl; and

$R^{16}$ represents lower alkyl.

4. A compound of formula (Ia) according to any one of the preceding claims in which R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

$R^1$ represents cyclopropyl;

$R^2$ represents:-

halogen; a straight- or branched- chain alkyl group containing up to four carbon atoms optionally substituted by one or more halogen atoms; or a group selected from $-S(O)_pR^7$, $-CH_2S(O)_qR^7$, $-OR^7$ and benzyl optionally substituted by $-S(O)_pR^{16}$; or two groups $R^2$ together with adjacent carbon atoms of the phenyl ring form a second phenyl ring; or two groups $R^2$ together with adjacent carbon atoms form a 1,4-benzoxathian ring;

$R^3$ represents a pyrazol-1-yl, imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl or benz-1,2,3-triazol-1-yl ring substituted by one or two $R^{14}$ groups, wherein $R^{14}$ represents hydrogen, optionally halogenated methyl or $-S(O)_pR^{16}$;

$R^7$ and $R^{16}$ represent methyl or ethyl; and

n represents 0, 1 or 2.

5. A compound of formula (Ia) according to any one of the preceding claims in which R represents hydrogen or $-CO_2R^4$ wherein $R^4$ represents ethyl;

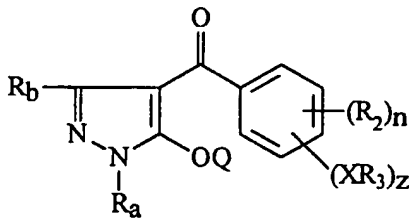
$R^1$ represents cyclopropyl;

$R^2$ represents:-

halogen, optionally halogenated methyl, $-S(O)_pCH_3$, $OCH_3$ or benzyl optionally substituted by $-S(O)_pCH_3$;

$R^3$ represents imidazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl or 1,2,4-triazol-1-yl, optionally substituted on the ring carbon atoms by one or two methyl groups; and n represents one or two.

6. A compound according to claim 1 having the formula (Id):



(Id)

in which $R^b$, $R^2$, $R^3$, Q, X, n and z are as defined in claim 1 and $R^a$ represents methyl or ethyl.

7. A compound of formula (Id) according to claim 1 or 6 in which $R^b$ represents hydrogen, $C_{1-3}$ alkyl, haloalkyl or cyclopropyl.

8. A compound of formula (Id) according to claims 1, 6 or 7 in which $R^a$ represents methyl or ethyl;

Q represents a group selected from hydrogen, lower alkyl, lower alkenyl, lower alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, and $-(C_uH_{2u})C(O)R^{20}$; or methyl or ethyl substituted by $CO_2R^9$ or $R^{20}$;

s and u represent zero or one;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^{12}$, $-OR^{12}$, $-CH_2S(O)_qR^{12}$, $-CH_2NR^8R^{11}$, $-N(R^8)SO_2R^{12}$, and $-N(R^8)CO_2R^{12}$; or benzyl optionally substituted by $-S(O)_pR^{16}$;

n represents zero, one or two;

X represents $-(CH_2)_v-$;

$R^3$ represents a 5-membered heteroaromatic ring of formula (II) which is selected from pyrazol-1-yl, imidazol-1-yl,

1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl, 1,2,3,4-tetrazol-1-yl and benzimidazol-1-yl, the ring systems of which are substituted by one or two $R^{14}$ groups;

z represents one;

$R^b$ represents hydrogen or methyl;

$R^8$, $R^9$ and $R^{12}$ independently represent $C_{1-4}$ alkyl or haloalkyl;

$R^{11}$ represents $-SO_2R^{12}$ or $CO_2R^{12}$;

$R^{16}$ represents $C_{1-4}$ alkyl; and

$R^{17}$ represents phenyl optionally substituted by $C_{1-3}$ alkyl.

9. A compound of formula (Id) according to claims 1, 6, 7 or 8 in which $R^a$ represents methyl;

Q represents a group selected from hydrogen, $C_{1-4}$ alkyl, $C_{2-4}$ alkenyl, $C_{3-4}$ alkynyl, $-SO_2R^4$, $-SO_2R^{20}$, $-(C_sH_{2s})C(O)R^{12}$, and $-(C_uH_{2u})C(O)R^{20}$; or methyl or ethyl substituted by a group selected from $CO_2R^9$ and $R^{20}$;

s and u represent zero or one;

$R^2$ represents:-

a straight- or branched- chain alkyl group containing up to three carbon atoms optionally substituted by one or more halogen atoms; or

a group selected from halogen, nitro, $-S(O)_pR^{12}$, $-OR^{12}$ and $-CH_2S(O)_qR^{12}$; or benzyl optionally substituted by $-S(O)_pR^{16}$;

n represents one;

X represents a bond;

$R^3$ is selected from pyrazol-1-yl, imidazol-1-yl, 1,2,4-triazol-1-yl, 1,2,3-triazol-1-yl, 1,2,3-triazol-2-yl and 1,2,3,4-tetrazol-1-yl, the ring systems of which are substituted by one or two $R^{14}$ groups;

z represents one;

$R^b$ represents hydrogen or methyl;

$R^9$, $R^{12}$, $R^{14}$ and $R^{16}$ represent $C_{1-4}$ alkyl; and

$R^{20}$ represents phenyl optionally substituted by methyl.

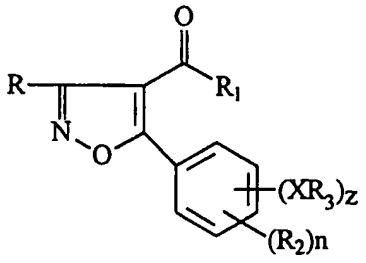
10. A herbicidal composition comprising an effective amount of a phenyl derivative according to any one of claims 1 to 9 or an agriculturally acceptable salt or metal complex thereof, in association with an agriculturally acceptable diluent or carrier and/or surface active agent.

11. A method for the control of weeds at a locus which comprises applying to said locus an effective amount of a phenyl derivative according to any one of claims 1 to 9 or an agriculturally acceptable salt or metal complex thereof or a herbicidal composition according to claim 10.

12. A method according to claim 11 wherein the locus is an area used, or to be used, for the growing of crops and the phenyl derivative is applied at an application rate of from 0.01kg to 2kg/ha.

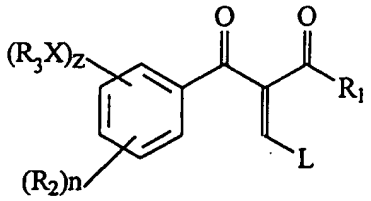
13. A process for the preparation of a phenyl derivative of formula (I) as defined in claim 1 which comprises:-

(a) where (I) conforms to a formula (Ia) as depicted in claim 2 or a formula (Ib):




(Ib)

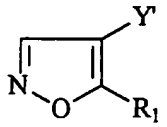
wherein R represents hydrogen and $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1; the reaction of a compound of formula (III):



(III)

wherein L is a leaving group and $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, with hydroxylamine or a salt of hydroxylamine;

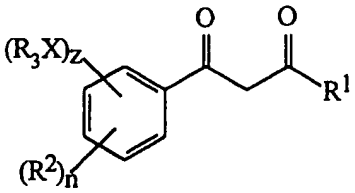
(b) where (I) conforms to formula (Ia) in which R represents hydrogen and $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a compound of formula (IV):



(IV)

wherein $R^1$ is as defined in claim 1 and Y' represents a carboxy group or a reactive derivative thereof (such as a carboxylic acid chloride or carboxylic ester), or a cyano group, with an organometallic reagent;

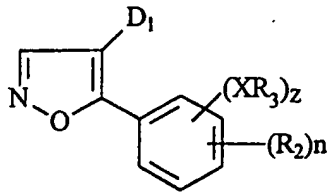
(c) where (I) conforms to formula (Ia) or (Ib) in which R represents $-CO_2R^4$ and $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a salt of a compound of formula (V):



(V)

wherein $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, with a compound of formula $R^4O_2CC(Z^1)=NOH$ wherein $R^4$ is as defined in claim 1 and $Z^1$ represents halogen;

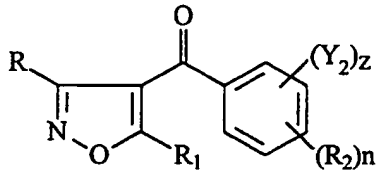
(d) where (I) conforms to formula (Ib) in which R represents hydrogen and $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a compound of formula (VI):

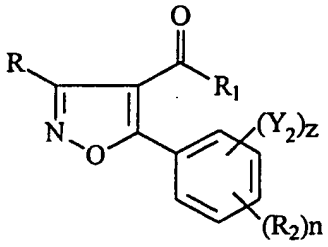


(VI)

in which $D^1$ represents a carboxy group, or a reactive derivative thereof, or a cyano group, with an appropriate organometallic reagent of formula $R^1M^1$ in which $M^1$ is a metal halide or a metal;

(e) where (I) conforms to formula (Ia) or (Ib) in which R, $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a compound of formula (VII) or (VIII) respectively:

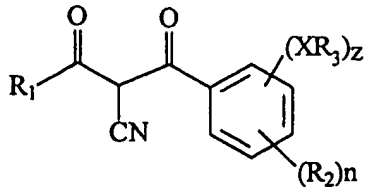




(VII) (VIII)

wherein R, $R^1$, $R^2$, $R^3$, z and n are as defined in claim 1 and $Y^2$ represents a leaving group, with a compound of formula $R^3$-H;

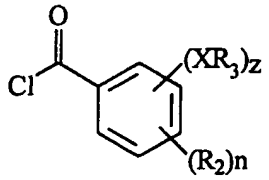
(f) where (I) conforms to formula (Ic):



(Ic)

in which $R^1$, $R^2$, $R^3$, z and n are as defined in claim 1; X represents $-(CR^9R^{10})_v-$ and v represents zero; the reaction of the corresponding compound of formula (Ia) or (Ib) in which R represents hydrogen, with a base; or the hydrolysis of the corresponding compound of formula (Ia) or (Ib) in which R represents $-CO_2R^4$;

(g) where (I) conforms to formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a benzoyl chloride of formula (IX):



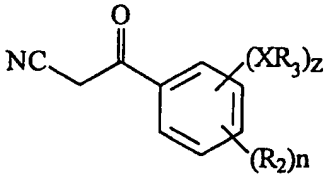
(IX)

wherein $R^2$, $R^3$, X, z and n are as defined in claim 1, with a beta-ketonitrile of formula (X):

$$R^1C(=O)CH_2CN \qquad (X)$$

wherein $R^1$ is as defined in claim 1;

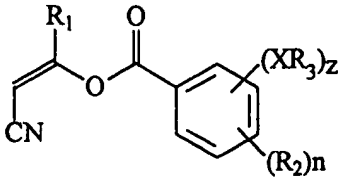
(h) where (I) conforms to a formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of an acid chloride of formula $R^1COCl$ wherein $R^1$ is as defined in claim 1, with a beta-ketonitrile of formula (XI):



(XI)

wherein $R^2$, $R^3$, X, z and n are as defined in claim 1;

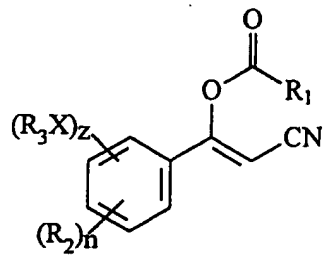
(i) where (I) conforms to formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of a benzoyl chloride of formula (IX) above wherein $R^2$, $R^3$, X, z and n are as defined in claim 1, with a beta-ketonitrile of formula (X) wherein $R^1$ is as defined in claim 1, via an intermediate of formula (XII):



(XII)

wherein $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim1;

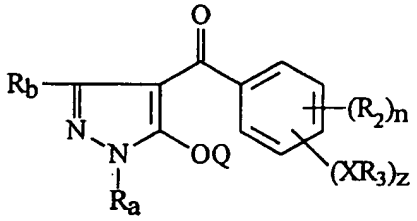
(j) where (I) conforms to formula (Ic) in which $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1, the reaction of an acid chloride of formula $R^1COCl$ wherein $R^1$ is as defined in claim 1, with a beta-ketonitrile of formula (XI) wherein $R^2$, $R^3$, X, z and n are as defined in claim 1 via an intermediate of formula (XIII):



(XIII)

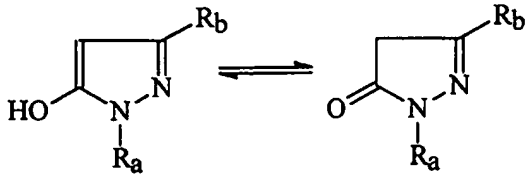
wherein $R^1$, $R^2$, $R^3$, X, z and n are as defined in claim 1;

(k) where (I) conforms to formula (Id):



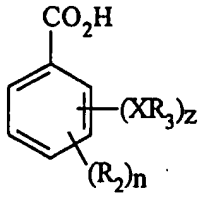
(Id)

in which $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined in claim 1 and Q represents hydrogen, the reaction of a compound of formula (XIV):



(XIV) (XIVa)

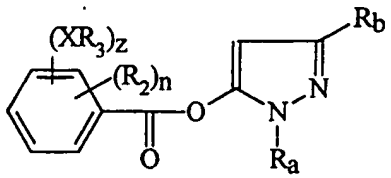
wherein $R^a$ and $R^b$ are as defined in claim 1, with a carboxylic acid of formula (XV):



(XV)

wherein $R^2$, $R^3$, X, n and z are as defined in claim 1;

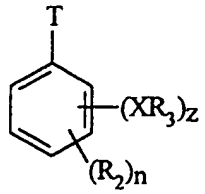
(l) where (I) conforms to formula (Id) in which $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined in claim 1 and Q represents hydrogen, the reaction of a compound of formula (XIV) or (XIVa) above with an acid chloride of formula (IX) wherein $R^2$, $R^3$, X, n and z are as defined in claim 1, to give an ester of formula (XVI):



(XVI)

followed by rearrangement to give the compound of formula (Id);

(m) where (I) conforms to formula (Id) in which $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined in claim 1 and Q represents hydrogen, the reaction of a compound of formula (XIV) above with an aryl halide of formula (XVII):



(XVII)

wherein $R^2$, $R^3$, X, n and z are as defined in claim 1 and T represents a bromine or iodine atom, in the presence of carbon monoxide and a palladium, nickel, cobalt or rhodium catalyst;

(n) where (I) conforms to formula (Id) in which $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined in claim 1 and Q represents lower alkyl, lower haloalkyl, lower alkenyl, lower haloalkenyl, lower alkynyl, lower haloalkynyl, $-CH_2CN$, $-(C_sH_{2s})C(O)R^{12}$, $-(C_uH_{2u})C(O)R^{20}$, $-CH_2OCO_2R^{12}$, $-CH_2NHC(O)R^{12}$, $-CH_2NHCO_2R^{12}$ or lower alkyl substituted by a group selected from $CONR^9R^{10}$, $OR^9$, $NR^5R^6$, $CO_2R^9$, $R^{20}$ and $-S(O)_pR^{12}$, and wherein s and u represent one to six, the reaction of the corresponding compound of formula (Id) wherein Q

represents hydrogen, with an appropriately substituted alkyl, alkenyl or alkynyl halide;

(o) where (I) conforms to formula (Id) in which $R^a$, $R^b$, $R^2$, $R^3$, X, n and z are as defined in claim 1 and Q represents $-SO_2R^4$, $-SO_2R^{20}$, $-COR^{12}$, $-COR^{20}$, $-COCH_2OC(O)R^{16}$, $-COCH_2OR^{12}$, $-COCH_2OR^{20}$, $-CONR^5R^6$, $-CONR^{20}R^{21}$, $-CONR^{22}R^{23}$, $-CO_2R^{12}$, $-CO_2R^{20}$ or $-P(O)R^{5a}R^{5b}$, reaction of the corresponding compound of formula (Id) wherein Q represents hydrogen, with an appropriately substituted sulphonyl halide, acyl halide, phosphonyl halide or phosphinyl halide;

(p) where p or q is one or two, the oxidation of the sulphur atom of the corresponding compounds in which p or q is 0 or 1.

# INTERNATIONAL SEARCH REPORT

Intern: al Application No
PCT/EP 98/04950

A. CLASSIFICATION F SUBJECT MATTER
IPC 6 C07D413/10 C07D403/10 C07D249/08 C07D233/61 A01N43/80 A01N43/82

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D C07C A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

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| Date of the actual completion of the international search | Date of mailing of the international search report |
|---|---|
| 5 October 1998 | 15/10/1998 |

| Name and mailing address of the ISA | Authorized officer |
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